```
=> d his

     (FILE 'HOME' ENTERED AT 14:39:49 ON 18 SEP 2002)

     FILE 'REGISTRY' ENTERED AT 14:39:57 ON 18 SEP 2002
L1             STRUCTURE UPLOADED
L2          1027 S L1 FUL
L3           798 S L2 AND CAPLUS/LC
L4             STRUCTURE UPLOADED
L5           202 S L4 FUL SUB=L2
L6           164 S L5 AND CAPLUS/LC

     FILE 'CAPLUS' ENTERED AT 14:46:16 ON 18 SEP 2002
L7            18 S L6 FUL

     FILE 'REGISTRY' ENTERED AT 14:47:42 ON 18 SEP 2002
L8           634 S L3 NOT L6
L9             STRUCTURE UPLOADED
L10          609 S L9 FUL

=> s l10 and caplus/lc
      24503186 CAPLUS/LC
L11         431 L10 AND CAPLUS/LC

=> fil caplus
COST IN U.S. DOLLARS                                SINCE FILE      TOTAL
                                                      ENTRY     SESSION
FULL ESTIMATED COST                                  161.60      426.73

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)          SINCE FILE      TOTAL
                                                      ENTRY     SESSION
CA SUBSCRIBER PRICE                                    0.00      -11.15

FILE 'CAPLUS' ENTERED AT 14:50:29 ON 18 SEP 2002
USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.
PLEASE SEE "HELP USAGETERMS" FOR DETAILS.
COPYRIGHT (C) 2002 AMERICAN CHEMICAL SOCIETY (ACS)
```


FILE COVERS 1907 - 18 Sep 2002 VOL 137 ISS 12
FILE LAST UPDATED: 17 Sep 2002 (20020917/ED)

This file contains CAS Registry Numbers for easy and accurate substance identification.

CAS roles have been modified effective December 16, 2001. Please check your SDI profiles to see if they need to be revised. For information on CAS roles, enter HELP ROLES at an arrow prompt or use the CAS Roles thesaurus (/RL field) in this file.

```
=> s py>2000
L12     1648093 PY>2000

=> s l11 not py>2000
            107 L11
        1648093 PY>2000
L13          99 L11 NOT PY>2000

=> d 1-99 ibib abs hitstr
```

=>

---Logging off of STN---

=>
Executing the logoff script...

=> LOG Y

COST IN U.S. DOLLARS	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	441.33	868.06

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)	SINCE FILE ENTRY	TOTAL SESSION
CA SUBSCRIBER PRICE	-61.33	-72.48

STN INTERNATIONAL LOGOFF AT 14:56:03 ON 18 SEP 2002

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=> d his

     (FILE 'HOME' ENTERED AT 14:39:49 ON 18 SEP 2002)

     FILE 'REGISTRY' ENTERED AT 14:39:57 ON 18 SEP 2002
L1             STRUCTURE UPLOADED
L2          1027 S L1 FUL
L3           798 S L2 AND CAPLUS/LC
L4             STRUCTURE UPLOADED
L5           202 S L4 FUL SUB=L2
L6           164 S L5 AND CAPLUS/LC

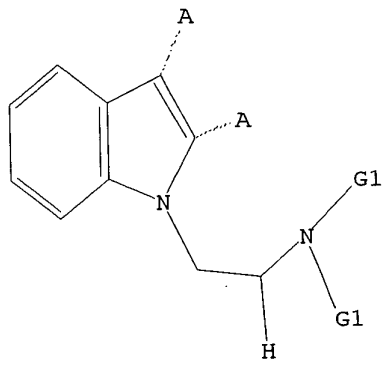
     FILE 'CAPLUS' ENTERED AT 14:46:16 ON 18 SEP 2002
L7            18 S L6 FUL

     FILE 'REGISTRY' ENTERED AT 14:47:42 ON 18 SEP 2002
L8           634 S L3 NOT L6

=>
Uploading 10009568.str

L9       STRUCTURE UPLOADED

=> d
L9 HAS NO ANSWERS
L9                STR
```




```
G1 H,Ak


Structure attributes must be viewed using STN Express query preparation.

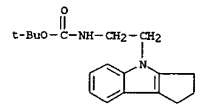

=> s l9 ful
FULL SEARCH INITIATED 14:49:47 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED -  67804 TO ITERATE

100.0% PROCESSED   67804 ITERATIONS                          609 ANSWERS
SEARCH TIME: 00.00.12
```

```
L10          609 SEA SSS FUL L9

=> d 1-5
```

L8 ANSWER 1 OF 634 REGISTRY COPYRIGHT 2002 ACS
RN 433333-63-2 REGISTRY
CN Carbamic acid, [2-(2,3-dihydrocyclopent[b]indol-4(1H)-yl)ethyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)
FS 3D CONCORD
MF C18 H24 N2 O2
SR CA
LC STN Files: CA, CAPLUS, TOXCENTER



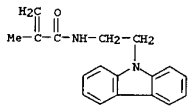
PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

1 REFERENCES IN FILE CA (1967 TO DATE)
1 REFERENCES IN FILE CAPLUS (1967 TO DATE)

L8 ANSWER 2 OF 634 REGISTRY COPYRIGHT 2002 ACS
RN 410523-28-3 REGISTRY
CN 1-Propanesulfonic acid, 2-methyl-2-[(1-oxo-2-propenyl)amino]-, monosodium
salt, polymer with N-[2-(9H-carbazol-9-yl)ethyl]-2-methyl-2-propenamide (9CI) (CA INDEX NAME)
OTHER NAMES:
CN 2-(9-Carbazolyl)ethylmethacrylamide-sodium 2-acrylamido-2-methylpropanesulfonate copolymer
MF (C18 H18 N2 O . C7 H13 N O4 S . Na)x
CI PMS
PCT Polyacrylic
SR CA
LC STN Files: CA, CAPLUS

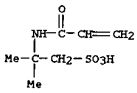
CM 1

CRN 410523-27-2
CMF C18 H18 N2 O



CM 2

CRN 5165-97-9 (15214-89-8)
CMF C7 H13 N O4 S . Na

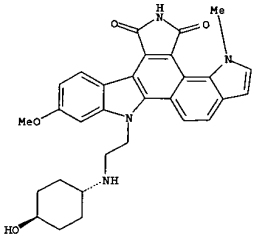


● Na

1 REFERENCES IN FILE CA (1967 TO DATE)
1 REFERENCES IN FILE CAPLUS (1967 TO DATE)

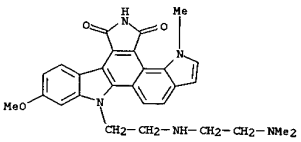
L8 ANSWER 3 OF 634 REGISTRY COPYRIGHT 2002 ACS
RN 408355-59-9 REGISTRY
CN 3H-Indolo[6,7-a]pyrrolo[3,4-c]carbazole-4,6(5H,11H)-dione, 11-[2-[(trans-4-hydroxycyclohexyl)amino]ethyl]-9-methoxy-3-methyl- (9CI)
(CA INDEX NAME)
FS STEREOSEARCH
MF C30 H30 N4 O4
SR CA
LC STN Files: CA, CAPLUS, TOXCENTER

Relative stereochemistry.



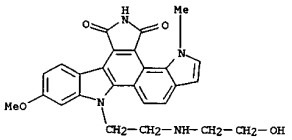
1 REFERENCES IN FILE CA (1967 TO DATE)
1 REFERENCES IN FILE CAPLUS (1967 TO DATE)

L8 ANSWER 4 OF 634 REGISTRY COPYRIGHT 2002 ACS
RN 408355-58-8 REGISTRY
CN 3H-Indolo[6,7-a]pyrrolo[3,4-c]carbazole-4,6(5H,11H)-dione, 11-[2-[[2-(dimethylamino)ethyl]amino]ethyl]-9-methoxy-3-methyl- (9CI) (CA
INDEX NAME)
MF C28 H29 N5 O3
SR CA
LC STN Files: CA, CAPLUS, TOXCENTER



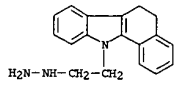
1 REFERENCES IN FILE CA (1967 TO DATE)
1 REFERENCES IN FILE CAPLUS (1967 TO DATE)

L8 ANSWER 5 OF 634 REGISTRY COPYRIGHT 2002 ACS
RN 408355-57-7 REGISTRY
CN 3H-Indolo[6,7-a]pyrrolo[3,4-c]carbazole-4,6(5H,11H)-dione, 11-[2-[(2-hydroxyethyl)amino]ethyl]-9-methoxy-3-methyl- (9CI) (CA INDEX NAME)
MF C26 H24 N4 O4
SR CA
LC STN Files: CA, CAPLUS, TOXCENTER



1 REFERENCES IN FILE CA (1967 TO DATE)
1 REFERENCES IN FILE CAPLUS (1967 TO DATE)

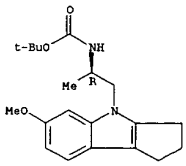
L10 ANSWER 1 OF 609 REGISTRY COPYRIGHT 2002 ACS
RN 446278-56-4 REGISTRY
CN 5H-Benzo[a]carbazole, 11-(2-hydrazinoethyl)-6,11-dihydro- (9CI) (CA INDEX NAME)
FS 3D CONCORD
MF C18 H19 N3
SR Chemical Library



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

L10 ANSWER 2 OF 609 REGISTRY COPYRIGHT 2002 ACS
RN 433333-70-1 REGISTRY
CN Carbamic acid, [(1R)-2-(2,3-dihydro-6-methoxycyclopent[b]indol-4(1H)-yl)-1-methylethyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)
FS STEREOSEARCH
MF C20 H28 N2 O3
SR CA
LC STN Files: CA, CAPLUS, TOXCENTER

Absolute stereochemistry.

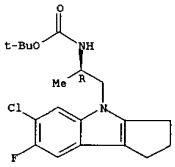


PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

1 REFERENCES IN FILE CA (1967 TO DATE)
1 REFERENCES IN FILE CAPLUS (1967 TO DATE)

L10 ANSWER 3 OF 609 REGISTRY COPYRIGHT 2002 ACS
RN 433333-69-8 REGISTRY
CN Carbamic acid, [(1R)-2-(6-chloro-7-fluoro-2,3-dihydrocyclopent[b]indol-4(1H)-yl)-1-methylethyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)
FS STEREOSEARCH
MF C19 H24 Cl F N2 O2
SR CA
LC STN Files: CA, CAPLUS, TOXCENTER

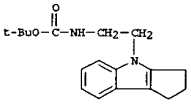
Absolute stereochemistry.



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

1 REFERENCES IN FILE CA (1967 TO DATE)
1 REFERENCES IN FILE CAPLUS (1967 TO DATE)

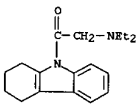
L10 ANSWER 4 OF 609 REGISTRY COPYRIGHT 2002 ACS
RN 433333-63-2 REGISTRY
CN Carbamic acid, [2-(2,3-dihydrocyclopent[b]indol-4(1H)-yl)ethyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)
FS 3D CONCORD
MF C18 H24 N2 O2
SR CA
LC STN Files: CA, CAPLUS, TOXCENTER



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

1 REFERENCES IN FILE CA (1967 TO DATE)
1 REFERENCES IN FILE CAPLUS (1967 TO DATE)

L10 ANSWER 5 OF 609 REGISTRY COPYRIGHT 2002 ACS
RN 420100-12-5 REGISTRY
CN 1H-Carbazole, 9-[(diethylamino)acetyl]-2,3,4,9-tetrahydro- (9CI) (CA INDEX NAME)
FS 3D CONCORD
MF C18 H24 N2 O
SR Chemical Library



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

L13 ANSWER 66 OF 99 CAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 1972:448236 CAPLUS
DOCUMENT NUMBER: 77:48236
TITLE: Indole-1-alkylamine derivatives
INVENTOR(S): Okamoto, Tadashi; Kobayashi, Tsuyoshi; Yamamoto, Hisao
PATENT ASSIGNEE(S): Sumitomo Chemical Co., Ltd.
SOURCE: Jpn. Tokkyo Koho, 2 pp.
CODEN: JAXXAD
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

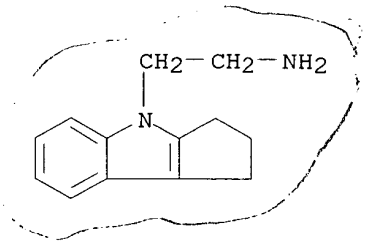
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 47013661	B4	19720424	JP 1968-87469	19681128

GI For diagram(s), see printed CA Issue.

AB The title compds. (I), acting on the central nervous system, were prepd. by reducing the corresponding indole-1-fatty acid amide. E.g., 3.5 g 1-cyclopent[b]indolylacetamide in THF was refluxed with LiAlH4 and treated with HCl to give 3 g I.HCl (X = H). Similarly prepd. was I (X = Cl).

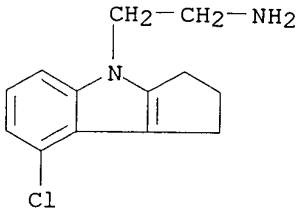
IT **36856-42-5P 36856-43-6P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of)

RN 36856-42-5 CAPLUS
CN Cyclopent[b]indole-4(1H)-ethanamine, 2,3-dihydro-, monohydrochloride (9CI)
(CA INDEX NAME)



● HCl

RN 36856-43-6 CAPLUS
CN Cyclopent[b]indole-4(1H)-ethanamine, 8-chloro-2,3-dihydro- (9CI) (CA INDEX NAME)


CH2—CH2—NH2
N
Cl

```
Connecting via Winsock to STN


Welcome to STN International!  Enter x:X

LOGINID:ssspta1626amd

PASSWORD:
TERMINAL (ENTER 1, 2, 3, OR ?):2


* * * * * * * * * *   Welcome to STN International   * * * * * * * * * *

 NEWS  1           Web Page URLs for STN Seminar Schedule - N. America
 NEWS  2  Apr 08   "Ask CAS" for self-help around the clock
 NEWS  3  Apr 09   BEILSTEIN: Reload and Implementation of a New Subject Area
 NEWS  4  Apr 09   ZDB will be removed from STN
 NEWS  5  Apr 19   US Patent Applications available in IFICDB, IFIPAT, and
IFIUDB
 NEWS  6  Apr 22   Records from IP.com available in CAPLUS, HCAPLUS, and
ZCAPLUS
 NEWS  7  Apr 22   BIOSIS Gene Names now available in TOXCENTER
 NEWS  8  Apr 22   Federal Research in Progress (FEDRIP) now available
 NEWS  9  Jun 03   New e-mail delivery for search results now available
 NEWS 10  Jun 10   MEDLINE Reload
 NEWS 11  Jun 10   PCTFULL has been reloaded
 NEWS 12  Jul 02   FOREGE no longer contains STANDARDS file segment
 NEWS 13  Jul 22   USAN to be reloaded July 28, 2002;
                   saved answer sets no longer valid
 NEWS 14  Jul 29   Enhanced polymer searching in REGISTRY
 NEWS 15  Jul 30   NETFIRST to be removed from STN
 NEWS 16  Aug 08   CANCERLIT reload
 NEWS 17  Aug 08   PHARMAMarketLetter(PHARMAML) - new on STN
 NEWS 18  Aug 08   NTIS has been reloaded and enhanced
 NEWS 19  Aug 19   Aquatic Toxicity Information Retrieval (AQUIRE)
                   now available on STN
 NEWS 20  Aug 19   IFIPAT, IFICDB, and IFIUDB have been reloaded
 NEWS 21  Aug 19   The MEDLINE file segment of TOXCENTER has been reloaded
 NEWS 22  Aug 26   Sequence searching in REGISTRY enhanced
 NEWS 23  Sep 03   JAPIO has been reloaded and enhanced
 NEWS 24  Sep 16   Experimental properties added to the REGISTRY file
 NEWS 25  Sep 16   Indexing added to some pre-1967 records in CA/CAPLUS
 NEWS 26  Sep 16   CA Section Thesaurus available in CAPLUS and CA

 NEWS EXPRESS  February 1 CURRENT WINDOWS VERSION IS V6.0d,
               CURRENT MACINTOSH VERSION IS V6.0a(ENG) AND V6.0Ja(JP),
               AND CURRENT DISCOVER FILE IS DATED 05 FEBRUARY 2002
 NEWS HOURS    STN Operating Hours Plus Help Desk Availability
 NEWS INTER    General Internet Information
 NEWS LOGIN    Welcome Banner and News Items
 NEWS PHONE    Direct Dial and Telecommunication Network Access to STN
 NEWS WWW      CAS World Wide Web Site (general information)

Enter NEWS followed by the item number or name to see news on that
specific topic.

  All use of STN is subject to the provisions of the STN Customer
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agreement. Please note that this agreement limits use to scientific research. Use for software development or design or implementation of commercial gateways or other similar uses is prohibited and may result in loss of user privileges and other penalties.

* * * * * * * * * * * * * * STN Columbus * * * * * * * * * * * * * * *

FILE 'HOME' ENTERED AT 14:39:49 ON 18 SEP 2002

=> fil reg

COST IN U.S. DOLLARS	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	0.21	0.21

FILE 'REGISTRY' ENTERED AT 14:39:57 ON 18 SEP 2002
USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.
PLEASE SEE "HELP USAGETERMS" FOR DETAILS.

Property values tagged with IC are from the ZIC/VINITI data file provided by InfoChem.

STRUCTURE FILE UPDATES: 17 SEP 2002 HIGHEST RN 452274-20-3
DICTIONARY FILE UPDATES: 17 SEP 2002 HIGHEST RN 452274-20-3

TSCA INFORMATION NOW CURRENT THROUGH MAY 20, 2002

Please note that search-term pricing does apply when conducting SmartSELECT searches.

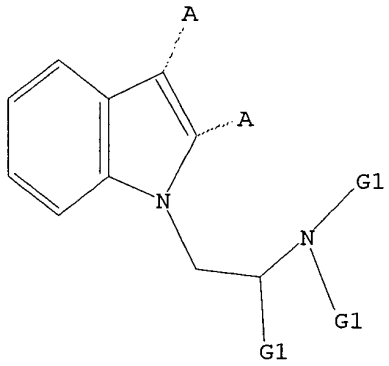
Crossover limits have been increased. See HELP CROSSOVER for details.

Experimental and calculated property data are now available. See HELP PROPERTIES for more information. See STNote 27, Searching Properties in the CAS Registry File, for complete details:
http://www.cas.org/ONLINE/STN/STNOTES/stnotes27.pdf

=>
Uploading 10009568.str

L1 STRUCTURE UPLOADED

=> d
L1 HAS NO ANSWERS
L1 STR



G1 H,Ak

Structure attributes must be viewed using STN Express query preparation.

```
=> s l1 ful
FULL SEARCH INITIATED 14:40:12 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED - 140033 TO ITERATE

100.0% PROCESSED  140033 ITERATIONS                          1027 ANSWERS
SEARCH TIME: 00.00.13

L2          1027 SEA SSS FUL L1

=> s l2 and caplus/lc
      24503186 CAPLUS/LC
L3          798 L2 AND CAPLUS/LC

=>
Uploading 10009568.str

L4       STRUCTURE UPLOADED

=> d
L4 HAS NO ANSWERS
L4                STR
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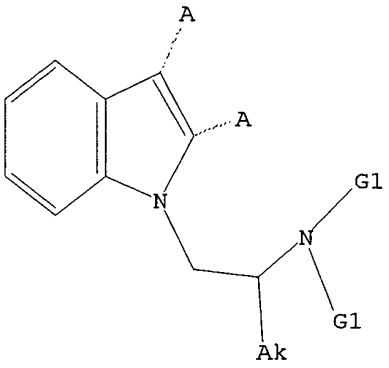


G1 H,Ak

Structure attributes must be viewed using STN Express query preparation.

=> s l4 subset=l2 ful
FULL SUBSET SEARCH INITIATED 14:41:28 FILE 'REGISTRY'
FULL SUBSET SCREEN SEARCH COMPLETED - 1027 TO ITERATE

100.0% PROCESSED 1027 ITERATIONS 202 ANSWERS
SEARCH TIME: 00.00.01

L5 202 SEA SUB=L2 SSS FUL L4

=> s l5 and caplus/lc
24503186 CAPLUS/LC
L6 164 L5 AND CAPLUS/LC

=> fil caplus

COST IN U.S. DOLLARS	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	185.13	185.34

FILE 'CAPLUS' ENTERED AT 14:46:16 ON 18 SEP 2002

FILE COVERS 1907 - 18 Sep 2002 VOL 137 ISS 12
FILE LAST UPDATED: 17 Sep 2002 (20020917/ED)

This file contains CAS Registry Numbers for easy and accurate substance identification.

CAS roles have been modified effective December 16, 2001. Please check your SDI profiles to see if they need to be revised. For information on CAS roles, enter HELP ROLES at an arrow prompt or use the CAS Roles thesaurus (/RL field) in this file.

```
=> s l6 ful
L7          18 L6

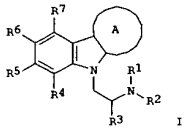
=> d 1-18 ibib abs hitstr
```

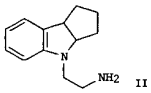
L7 ANSWER 1 OF 18 CAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 2002:428881 CAPLUS
DOCUMENT NUMBER: 137:6087
TITLE: Preparation of indoline derivatives as 5-HT2 receptor ligands
INVENTOR(S): Bentley, Jonathan Mark; Davidson, James Edward Paul; Mansell, Howard Langham; Monck, Nathaniel Julius Thomas
PATENT ASSIGNEE(S): F. Hoffmann-La Roche Ag, Switz.; Vernalis Research Limited
SOURCE: PCT Int. Appl., 55 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002044152	A1	20020606	WO 2001-EP11814	20011012

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

PRIORITY APPLN. INFO.: EP 2000-122539 A 20001016
OTHER SOURCE(S): MARPAT 137:6087
GI


I


II

L7 ANSWER 1 OF 18 CAPLUS COPYRIGHT 2002 ACS (Continued)

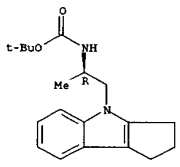
AB Title compds. I [R1-2 = H, alkyl, alkenyl, alkynyl, cycloalkyl; R3 = alkyl, alkenyl, alkynyl, cycloalkyl; R4-7 = H, alkyl, alkenyl, alkynyl, cycloalkyl, halogen, haloalkyl, hydroxy, aryl, amino, mono- and dialkylamino, alkoxy, cycloalkyloxy, aryloxy, heteroaryloxy, alkylthio, alkylsulfoxyl, alkylsulfonyl, nitro, cyano, alkoxycarbonyl, aryloxycarbonyl, heteroaryloxycarbonyl, heteroaryl, alkylcarbonylamino, arylcarbonylamino, heteroarylcarbonylamino, carboxy; A = a 5 or 6 membered (un)satd. carbocyclic, heterocyclic ring, wherein the two atoms of the indoline ring to which ring A is fused form a satd. C-C single bond] were prepd. For instance, 2-[1,2,3,4-tetrahydrocyclopent[b]indol-4-yl]ethylamine.bul.HCl prepn. given was protected as the tert-butoxycarbonyl deriv., reduced (HOAc, NaCNBH3) and deprotected (MeOH, HCl) to give II isolated as the fumarate salt. In one assay, selected example compds. tested had. Ki = 88 - 318 nM for the 5-HT2A receptor. I are useful for the prevention and treatment of disorders of the central nervous system, damage to the central nervous system, cardiovascular disorders, gastrointestinal disorders, diabetes, sleep apnea, and for the treatment and prevention of obesity.

IT **327022-13-9P 327022-17-3P 327022-22-0P 327022-25-3P 327022-27-5P 327022-44-6P 327022-45-7P 327022-46-8P 327022-47-9P 327022-49-1P 327022-51-5P 433333-69-8P 433333-70-1P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(intermediate; prepn. of indoline derivs. as 5-HT2 receptor ligands)

RN 327022-13-9 CAPLUS
CN Carbamic acid, [(1R)-2-(2,3-dihydrocyclopent[b]indol-4(1H)-yl)-1-methylethyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

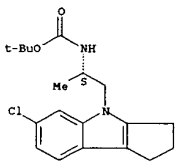
Absolute stereochemistry.

L7 ANSWER 1 OF 18 CAPLUS COPYRIGHT 2002 ACS (Continued)



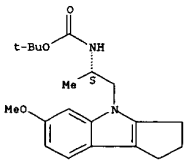
RN 327022-17-3 CAPLUS
CN Carbamic acid, [(1S)-2-(6-chloro-2,3-dihydrocyclopent[b]indol-4(1H)-yl)-1-methylethyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 327022-22-0 CAPLUS
CN Carbamic acid, [(1S)-2-(2,3-dihydro-6-methoxycyclopent[b]indol-4(1H)-yl)-1-methylethyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

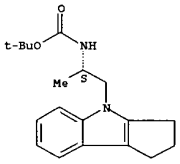
Absolute stereochemistry.



L7 ANSWER 1 OF 18 CAPLUS COPYRIGHT 2002 ACS (Continued)

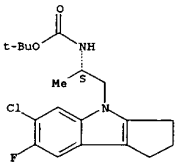
RN 327022-25-3 CAPLUS
CN Carbamic acid, [(1S)-2-(2,3-dihydrocyclopent[b]indol-4(1H)-yl)-1-methylethyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 327022-27-5 CAPLUS
CN Carbamic acid, [(1S)-2-(6-chloro-7-fluoro-2,3-dihydrocyclopent[b]indol-4(1H)-yl)-1-methylethyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

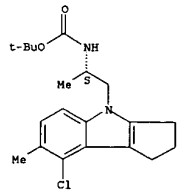
Absolute stereochemistry.



RN 327022-44-6 CAPLUS
CN Carbamic acid, [(1S)-2-(8-chloro-2,3-dihydro-7-methylcyclopent[b]indol-4(1H)-yl)-1-methylethyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

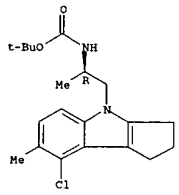
Absolute stereochemistry.

L7 ANSWER 1 OF 18 CAPLUS COPYRIGHT 2002 ACS (Continued)



RN 327022-45-7 CAPLUS
CN Carbamic acid,
[(1R)-2-(8-chloro-2,3-dihydro-7-methylcyclopent[b]indol-
4(1H)-yl)-1-methylethyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

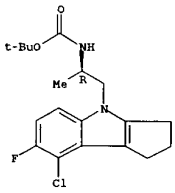
Absolute stereochemistry.



RN 327022-46-8 CAPLUS
CN Carbamic acid,
[(1R)-2-(7-fluoro-2,3-dihydro-6-methoxycyclopent[b]indol-
4(1H)-yl)-1-methylethyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

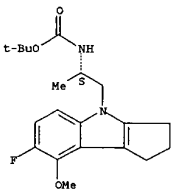
Absolute stereochemistry.

L7 ANSWER 1 OF 18 CAPLUS COPYRIGHT 2002 ACS (Continued)



RN 327022-51-5 CAPLUS
CN Carbamic acid,
[(1S)-2-(7-fluoro-2,3-dihydro-8-methoxycyclopent[b]indol-
4(1H)-yl)-1-methylethyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

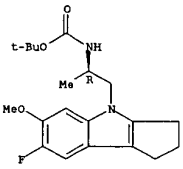
Absolute stereochemistry.



RN 433333-69-8 CAPLUS
CN Carbamic acid,
[(1R)-2-(6-chloro-7-fluoro-2,3-dihydrocyclopent[b]indol-
4(1H)-yl)-1-methylethyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

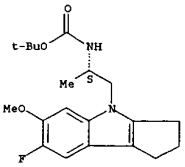
Absolute stereochemistry.

L7 ANSWER 1 OF 18 CAPLUS COPYRIGHT 2002 ACS (Continued)



RN 327022-47-9 CAPLUS
CN Carbamic acid,
[(1S)-2-(7-fluoro-2,3-dihydro-6-methoxycyclopent[b]indol-
4(1H)-yl)-1-methylethyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

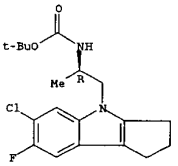
Absolute stereochemistry.



RN 327022-49-1 CAPLUS
CN Carbamic acid,
[(1R)-2-(8-chloro-7-fluoro-2,3-dihydrocyclopent[b]indol-
4(1H)-yl)-1-methylethyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

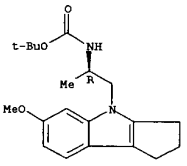
Absolute stereochemistry.

L7 ANSWER 1 OF 18 CAPLUS COPYRIGHT 2002 ACS (Continued)



RN 433333-70-1 CAPLUS
CN Carbamic acid,
[(1R)-2-(2,3-dihydro-6-methoxycyclopent[b]indol-4(1H)-yl)-1-
methylethyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS
RECORD. ALL CITATIONS AVAILABLE IN THE RE
FORMAT

L7 ANSWER 2 OF 18 CAPLUS COPYRIGHT 2002 ACS

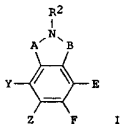
ACCESSION NUMBER: 2001:833276 CAPLUS
DOCUMENT NUMBER: 135:371989
TITLE: Preparation of novel multicyclic compounds and their amino acid derivatives as inhibitors of enzymes such as poly(ADP-ribose) polymerase
INVENTOR(S): Ator, Mark A.; Bihovsky, Ron; Chatterjee, Sankar; Dunn, Derek; Hudkins, Robert L.
PATENT ASSIGNEE(S): Cephalon, Inc., USA
SOURCE: PCT Int. Appl., 209 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001085686	A2	20011115	WO 2001-US14996	20010509
WO 2001085686	A3	20020530		

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG

US 2002028815	A1	20020307	US 2001-850858	20010508
PRIORITY APPLN. INFO.:			US 2000-202947P	P 20000509
			US 2001-850858	A 20010508

OTHER SOURCE(S): MARPAT 135:371989
GI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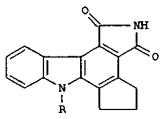
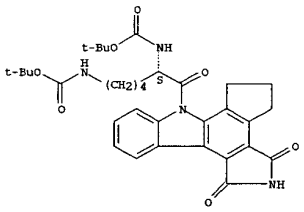

II

L7 ANSWER 2 OF 18 CAPLUS COPYRIGHT 2002 ACS (Continued)

AB The title compds. such as penta[a]pyrrolo[3,4-c]carbazole, hexano[a]pyrrolo[3,4-c]carbazole, pyrrolo[3,4-c]carbazole, and furano[a-3,2]pyrrolo[3,4-c]carbazole derivs. [I; A, B = CO, CH(OR3), CH(SR3), CH2, CHR3, CHR3CHR4, CR3R4, COR3, N:CR3, SO, SO2 (wherein R3, R4 = H, optionally substituted lower alkyl or aryl); Y and Z, together with the carbon to which they are attached, form an (un)substituted mono- or bicyclic aryl or bicyclic heteroaryl, or C3-5 heteroaryl; E, F = lower alkyl or E and F, together with the carbon to which they are attached, form an (un)substituted C4-7 cycloalkyl, C3-6 heterocycloalkyl or heteroaryl, or an (un)substituted heterocycloalkyl endocyclically comprising at least one group G (wherein G = O, S, SO, SO2, NR2, NR2CO, NR2CONR3, NR2SO2, NR3SO2; R2 = H, optionally substituted lower alkyl or alkanoyl, CHO, acetyl, lower alkylsulfonyl, arylsulfonyl, an optionally protected amino acid)] are prepd. These compds. are effective in the treatment of diseases or disease states related to the activity of enzymes such as poly(ADP-ribose) polymerase (PARP), vascular endothelial growth factor receptor kinase (VEGFR2 kinase), and MLK3 kinase (a member of the mixed lineage kinase family), including, for example, traumatic central nervous system injuries, neurodegenerative diseases (in particular Parkinson's, Huntington's, or Alzheimer's disease), inflammation, cerebral or cardiac ischemia, endotoxic shock, diabetes, or cellular proliferative disorders (in particular cancer, solid tumors, diabetic retinopathy, intraocular neovascular syndromes, macular degeneration, rheumatoid arthritis, psoriasis, or endometriosis). They also suppress the formation of blood vessels (angiogenesis) and prevent neuronal degrdn. assocd. with traumatic central nervous system injuries. Thus, 2H-1,3,4,5,6,7-hexahydrocyclopenta[a]pyrrolo[3,4-c]carbazole-1,3-dione (II; R = H) (prepn. given) was treated with NaH in DMF at room temp. for 30 min and condensed with a stirred mixt. of Boc-Lys(Boc)-OH dicyclohexylamine salt, TBTU, N-Methylmorpholine, and DMF at room temp. for 1 h, followed by treatment of the product with 4 N HCl in dioxane to give II (R = H-Lys). II (R = H-Lys) showed IC50 of .mu.g/mL against of 22 nM against PARP.

IT **374069-19-9P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(prepn. of novel multicyclic compds. and their amino acid derivs. as inhibitors of enzymes for treatment of diseases related to enzymes such as poly(ADP-ribose) polymerase, VEGFR2 kinase, and MLK3 kinase)
RN 374069-19-9 CAPLUS
CN Carbamic acid, [(1S)-1-[(1,2,3,4,5,6-hexahydro-1,3-dioxo-7H-cyclopenta[a]pyrrolo[3,4-c]carbazol-7-yl)carbonyl]-1,5-pentanediyl]bis-, bis(1,1-dimethylethyl) ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

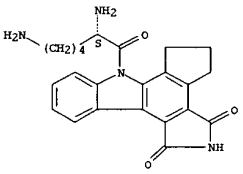


L7 ANSWER 2 OF 18 CAPLUS COPYRIGHT 2002 ACS (Continued)

IT **374069-09-7P 374069-20-2P 374070-85-6P 374070-86-7P 374070-87-8P 374070-89-0P 374070-90-3P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of novel multicyclic compds. and their amino acid derivs. as inhibitors of enzymes for treatment of diseases related to enzymes such as poly(ADP-ribose) polymerase, VEGFR2 kinase, and MLK3 kinase)
RN 374069-09-7 CAPLUS
CN 1H-Cyclopenta[a]pyrrolo[3,4-c]carbazole-1,3(2H)-dione, 7-[(2S)-2,6-diamino-1-oxohexyl]-4,5,6,7-tetrahydro-, dihydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry.

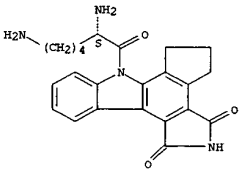
L7 ANSWER 2 OF 18 CAPLUS COPYRIGHT 2002 ACS (Continued)



●2 HCl

RN 374069-20-2 CAPLUS
CN 1H-Cyclopenta[a]pyrrolo[3,4-c]carbazole-1,3(2H)-dione, 7-[(2S)-2,6-diamino-1-oxohexyl]-4,5,6,7-tetrahydro- (9CI) (CA INDEX NAME)

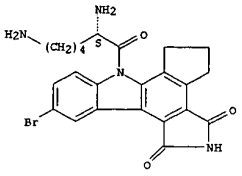
Absolute stereochemistry.



RN 374070-85-6 CAPLUS
CN 1H-Cyclopenta[a]pyrrolo[3,4-c]carbazole-1,3(2H)-dione, 10-bromo-7-[(2S)-2,6-diamino-1-oxohexyl]-4,5,6,7-tetrahydro- (9CI) (CA INDEX NAME)

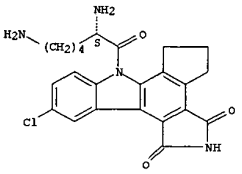
Absolute stereochemistry.

L7 ANSWER 2 OF 18 CAPLUS COPYRIGHT 2002 ACS (Continued)



RN 374070-86-7 CAPLUS
CN 1H-Cyclopenta[a]pyrrolo[3,4-c]carbazole-1,3(2H)-dione, 10-chloro-7-[(2S)-2,6-diamino-1-oxohexyl]-4,5,6,7-tetrahydro- (9CI) (CA INDEX NAME)

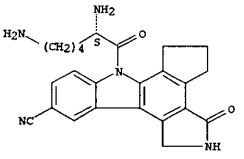
Absolute stereochemistry.



RN 374070-87-8 CAPLUS
CN 1H-Cyclopenta[a]pyrrolo[3,4-c]carbazole-1,3(2H)-dione, 7-[(2S)-2,6-diamino-1-oxohexyl]-10-fluoro-4,5,6,7-tetrahydro- (9CI) (CA INDEX NAME)

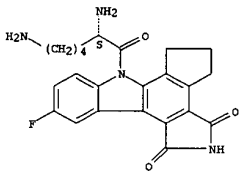
Absolute stereochemistry.

L7 ANSWER 2 OF 18 CAPLUS COPYRIGHT 2002 ACS (Continued)



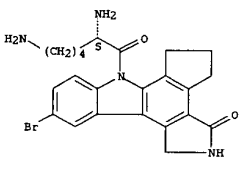
●2 HCl

L7 ANSWER 2 OF 18 CAPLUS COPYRIGHT 2002 ACS (Continued)



RN 374070-89-0 CAPLUS
CN 3H-Cyclopenta[a]pyrrolo[3,4-c]carbazol-3-one, 10-bromo-7-[(2S)-2,6-diamino-1-oxohexyl]-1,2,4,5,6,7-hexahydro-, dihydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry.



●2 HCl

RN 374070-90-3 CAPLUS
CN 1H-Cyclopenta[a]pyrrolo[3,4-c]carbazole-10-carbonitrile, 7-[(2S)-2,6-diamino-1-oxohexyl]-2,3,4,5,6,7-hexahydro-3-oxo-, dihydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry.

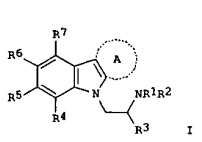
L7 ANSWER 3 OF 18 CAPLUS COPYRIGHT 2002 ACS

ACCESSION NUMBER: 2001:137192 CAPLUS
DOCUMENT NUMBER: 134:193339
TITLE: Preparation of indole derivatives as agonists or antagonists of a 5-HT receptor, particularly a 5-HT2C receptor
INVENTOR(S): Bentley, Jonathan Mark; Roffey, Jonathan Richard Anthony; Davidson, James Edward Paul; Mansell, Howard Langham; Hamlyn, Richard John; Cliffe, Ian Anthony; Adams, David Reginald; Monck, Nathaniel Julius
PATENT ASSIGNEE(S): Vernalis Research Limited, UK
SOURCE: PCT Int. Appl., 67 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

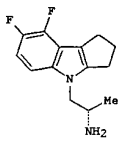
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001012603	A1	20010222	WO 2000-GB3011	20000804
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
EP 1202965	A1	20020508	EP 2000-951697	20000804
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL				
BR 2000013307	A	20020528	BR 2000-13307	20000804

PRIORITY APPLN. INFO.: GB 1999-18962 A 19990811
WO 2000-GB3011 W 20000804
OTHER SOURCE(S): MARPAT 134:193339
GI

L7 ANSWER 3 OF 18 CAPLUS COPYRIGHT 2002 ACS (Continued)



I



II

AB The title compds. [I; R1, R2 = H, alkyl; R3 = alkyl; R4, R6, R7 = H, halo, OH, etc.; R5 = H, halo, OH, etc.; A = 5-6 membered partially unsatd. or arom. heterocyclic ring or 5-6 membered partially unsatd. carbocyclic ring (wherein if A = 6-membered partially unsatd. carbocyclic ring then at least one of R4-R7 is other than H atom)] and their pharmaceutically acceptable salts, useful in therapy, particularly as agonists or antagonists of 5-HT receptor, particularly a 5-HT2C receptor, for instance in the treatment of disorders of the central nervous system, damage to the central nervous system, cardiovascular disorders, gastrointestinal disorders, diabetes insipidus, and sleep apnea, and particularly for the treatment of obesity, were prepd. E.g., a multi-step synthesis of (S)-II fumarate which showed Ki of 65 nM against 5-HT2C receptor binding, was given.

IT **327021-15-8P 327021-16-9P 327021-18-1P**
327021-19-2P 327021-20-5P 327021-22-7P
327021-24-9P 327021-26-1P 327021-28-3P
327021-30-7P 327021-32-9P 327021-33-0P
327021-34-1P 327021-37-4P 327021-38-5P
327021-39-6P 327021-40-9P 327021-41-0P
327021-42-1P 327021-43-2P 327021-44-3P
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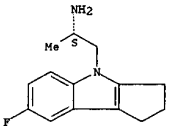
327021-66-9P 327021-68-1P 327021-69-2P
327021-70-5P 327021-71-6P 327021-72-7P
327021-73-8P 327021-74-9P 327021-75-0P
327021-76-1P 327021-77-2P 327022-55-9P
327022-56-0P 327022-57-1P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use);

L7 ANSWER 3 OF 18 CAPLUS COPYRIGHT 2002 ACS (Continued)
BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of indole derivs. as agonists or antagonists of a 5-HT receptor, particularly a 5-HT2C receptor)

RN 327021-15-8 CAPLUS
CN Cyclopent[b]indole-4(1H)-ethanamine, 7-fluoro-2,3-dihydro-.alpha.-methyl-, (.alpha.S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

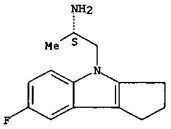


RN 327021-16-9 CAPLUS
CN Cyclopent[b]indole-4(1H)-ethanamine, 7-fluoro-2,3-dihydro-.alpha.-methyl-, (.alpha.S)-, (2E)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 327021-15-8
CMF C14 H17 F N2

Absolute stereochemistry.

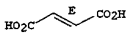


CM 2

CRN 110-17-8
CMF C4 H4 O4

Double bond geometry as shown.

L7 ANSWER 3 OF 18 CAPLUS COPYRIGHT 2002 ACS (Continued)

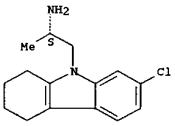


RN 327021-18-1 CAPLUS
CN 9H-Carbazole-9-ethanamine, 2-chloro-5,6,7,8-tetrahydro-.alpha.-methyl-, (.alpha.S)-, (2E)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 327021-17-0
CMF C15 H19 Cl N2

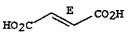
Absolute stereochemistry.



CM 2

CRN 110-17-8
CMF C4 H4 O4

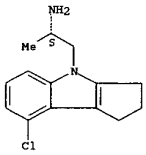
Double bond geometry as shown.



RN 327021-19-2 CAPLUS
CN Cyclopent[b]indole-4(1H)-ethanamine, 8-chloro-2,3-dihydro-.alpha.-methyl-, (.alpha.S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L7 ANSWER 3 OF 18 CAPLUS COPYRIGHT 2002 ACS (Continued)

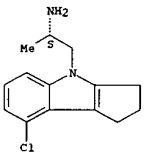


RN 327021-20-5 CAPLUS
CN Cyclopent[b]indole-4(1H)-ethanamine, 8-chloro-2,3-dihydro-.alpha.-methyl-, (.alpha.S)-, (2E)-2-butenedioate (10:11) (9CI) (CA INDEX NAME)

CM 1

CRN 327021-19-2
CMF C14 H17 Cl N2

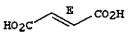
Absolute stereochemistry.



CM 2

CRN 110-17-8
CMF C4 H4 O4

Double bond geometry as shown.



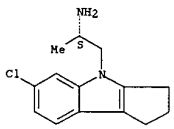
RN 327021-22-7 CAPLUS
CN Cyclopent[b]indole-4(1H)-ethanamine, 6-chloro-2,3-dihydro-.alpha.-methyl-,

L7 ANSWER 3 OF 18 CAPLUS COPYRIGHT 2002 ACS (Continued)
(.alpha.S)-, (2E)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 327021-21-6
CMF C14 H17 Cl N2

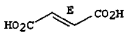
Absolute stereochemistry.



CM 2

CRN 110-17-8
CMF C4 H4 O4

Double bond geometry as shown.

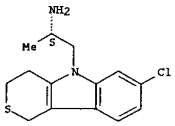


RN 327021-24-9 CAPLUS
CN Thiopyrano[4,3-b]indole-5(1H)-ethanamine,
7-chloro-3,4-dihydro-.alpha.-
methyl-, (.alpha.S)-, (2E)-2-butenedioate (2:1) (9CI) (CA INDEX NAME)

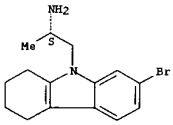
CM 1

CRN 327021-23-8
CMF C14 H17 Cl N2 S

Absolute stereochemistry.



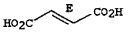
L7 ANSWER 3 OF 18 CAPLUS COPYRIGHT 2002 ACS (Continued)
Absolute stereochemistry.



CM 2

CRN 110-17-8
CMF C4 H4 O4

Double bond geometry as shown.

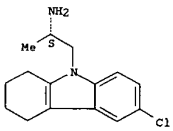


RN 327021-30-7 CAPLUS
CN 9H-Carbazole-9-ethanamine,
3-chloro-5,6,7,8-tetrahydro-.alpha.-methyl-,
(.alpha.S)-, (2E)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 327021-29-4
CMF C15 H19 Cl N2

Absolute stereochemistry.



CM 2

CRN 110-17-8
CMF C4 H4 O4

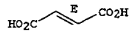
Double bond geometry as shown.

L7 ANSWER 3 OF 18 CAPLUS COPYRIGHT 2002 ACS (Continued)

CM 2

CRN 110-17-8
CMF C4 H4 O4

Double bond geometry as shown.

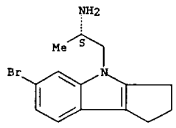


RN 327021-26-1 CAPLUS
CN Cyclopent[b]indole-4(1H)-ethanamine,
6-bromo-2,3-dihydro-.alpha.-methyl-,
(.alpha.S)-, (2E)-2-butenedioate (2:1) (9CI) (CA INDEX NAME)

CM 1

CRN 327021-25-0
CMF C14 H17 Br N2

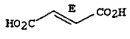
Absolute stereochemistry.



CM 2

CRN 110-17-8
CMF C4 H4 O4

Double bond geometry as shown.

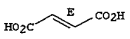


RN 327021-28-3 CAPLUS
CN 9H-Carbazole-9-ethanamine, 2-bromo-5,6,7,8-tetrahydro-.alpha.-methyl-,
(.alpha.S)-, (2E)-2-butenedioate (2:1) (9CI) (CA INDEX NAME)

CM 1

CRN 327021-27-2
CMF C15 H19 Br N2

L7 ANSWER 3 OF 18 CAPLUS COPYRIGHT 2002 ACS (Continued)

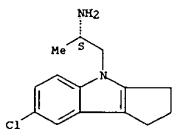


RN 327021-32-9 CAPLUS
CN Cyclopent[b]indole-4(1H)-ethanamine,
7-chloro-2,3-dihydro-.alpha.-methyl-,
(.alpha.S)-, (2E)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 327021-31-8
CMF C14 H17 Cl N2

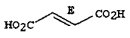
Absolute stereochemistry.



CM 2

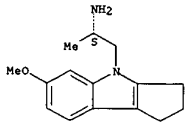
CRN 110-17-8
CMF C4 H4 O4

Double bond geometry as shown.



RN 327021-33-0 CAPLUS
CN Cyclopent[b]indole-4(1H)-ethanamine,
2,3-dihydro-6-methoxy-.alpha.-methyl-
, (.alpha.S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

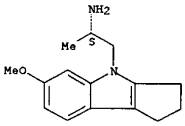


RN 327021-34-1 CAPLUS
CN Cyclopent[b]indole-4(1H)-ethanamine, 2,3-dihydro-6-methoxy-.alpha.-methyl-, (.alpha.S)-, (2E)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 327021-33-0
CMF C15 H20 N2 O

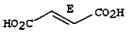
Absolute stereochemistry.



CM 2

CRN 110-17-8
CMF C4 H4 O4

Double bond geometry as shown.

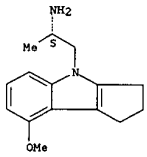


RN 327021-37-4 CAPLUS
CN Cyclopent[b]indole-4(1H)-ethanamine, 2,3-dihydro-8-methoxy-.alpha.-methyl-, (.alpha.S)-, (2E)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 327021-36-3
CMF C15 H20 N2 O

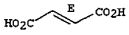
Absolute stereochemistry.



CM 2

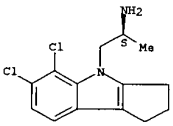
CRN 110-17-8
CMF C4 H4 O4

Double bond geometry as shown.



RN 327021-38-5 CAPLUS
CN Cyclopent[b]indole-4(1H)-ethanamine, 5,6-dichloro-2,3-dihydro-.alpha.-methyl-, monohydrochloride, (.alpha.S)- (9CI) (CA INDEX NAME)

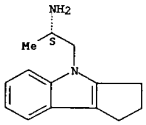
Absolute stereochemistry.



● HCl

RN 327021-39-6 CAPLUS
CN Cyclopent[b]indole-4(1H)-ethanamine, 2,3-dihydro-.alpha.-methyl-, monohydrochloride, (.alpha.S)- (9CI) (CA INDEX NAME)

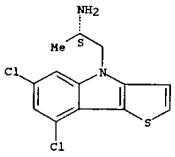
Absolute stereochemistry.



● HCl

RN 327021-40-9 CAPLUS
CN 4H-Thieno[3,2-b]indole-4-ethanamine, 6,8-dichloro-.alpha.-methyl-, monohydrochloride, (.alpha.S)- (9CI) (CA INDEX NAME)

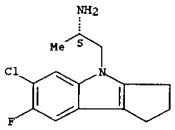
Absolute stereochemistry.



● HCl

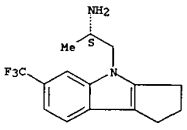
RN 327021-41-0 CAPLUS
CN Cyclopent[b]indole-4(1H)-ethanamine, 6-chloro-7-fluoro-2,3-dihydro-.alpha.-methyl-, (.alpha.S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 327021-42-1 CAPLUS
CN Cyclopent[b]indole-4(1H)-ethanamine, 2,3-dihydro-.alpha.-methyl-6-(trifluoromethyl)-, monohydrochloride, (.alpha.S)- (9CI) (CA INDEX NAME)

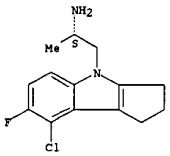
Absolute stereochemistry.



● HCl

RN 327021-43-2 CAPLUS
CN Cyclopent[b]indole-4(1H)-ethanamine, 8-chloro-7-fluoro-2,3-dihydro-.alpha.-methyl-, monohydrochloride, (.alpha.S)- (9CI) (CA INDEX NAME)

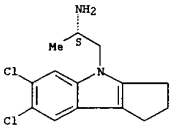
Absolute stereochemistry.



● HCl

RN 327021-44-3 CAPLUS
CN Cyclopent[b]indole-4(1H)-ethanamine, 6,7-dichloro-2,3-dihydro-.alpha.-methyl-, monohydrochloride, (.alpha.S)- (9CI) (CA INDEX NAME)

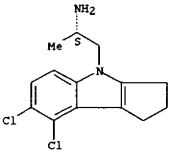
Absolute stereochemistry.



● HCl

RN 327021-45-4 CAPLUS
CN Cyclopent[b]indole-4(1H)-ethanamine, 2,3-dihydro-7-methoxy-.alpha.-methyl-, monohydrochloride, (.alpha.S)- (9CI) (CA INDEX NAME)

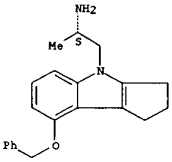
Absolute stereochemistry.



● HCl

RN 327021-48-7 CAPLUS
CN Cyclopent[b]indole-4(1H)-ethanamine, 2,3-dihydro-.alpha.-methyl-8-(phenylmethoxy)-, monohydrochloride, (.alpha.S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

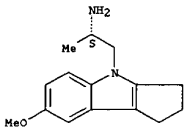


● HCl

RN 327021-50-1 CAPLUS
CN Cyclopent[b]indole-4(1H)-ethanamine, 2,3-dihydro-.alpha.-methyl-8-(1-methylethoxy)-, (.alpha.S)-, (2E)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

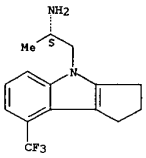
CRN 327021-49-8
CMF C17 H24 N2 O



● HCl

RN 327021-46-5 CAPLUS
CN Cyclopent[b]indole-4(1H)-ethanamine, 2,3-dihydro-.alpha.-methyl-8-(trifluoromethyl)-, monohydrochloride, (.alpha.S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

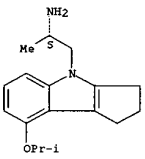


● HCl

RN 327021-47-6 CAPLUS
CN Cyclopent[b]indole-4(1H)-ethanamine, 7,8-dichloro-2,3-dihydro-.alpha.-methyl-, monohydrochloride, (.alpha.S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

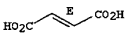
Absolute stereochemistry.



CM 2

CRN 110-17-8
CMF C4 H4 O4

Double bond geometry as shown.

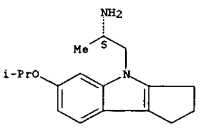


RN 327021-52-3 CAPLUS
CN Cyclopent[b]indole-4(1H)-ethanamine, 2,3-dihydro-.alpha.-methyl-6-(1-methylethoxy)-, (.alpha.S)-, (2E)-2-butenedioate (2:1) (9CI) (CA INDEX NAME)

CM 1

CRN 327021-51-2
CMF C17 H24 N2 O

Absolute stereochemistry.

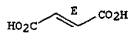


CM 2

CRN 110-17-8

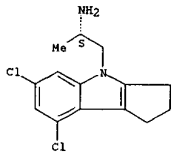
L7 ANSWER 3 OF 18 CAPLUS COPYRIGHT 2002 ACS (Continued)
CMF C4 H4 O4

Double bond geometry as shown.



RN 327021-53-4 CAPLUS
CN Cyclopent[b]indole-4(1H)-ethanamine, 6,8-dichloro-2,3-dihydro-.alpha.-methyl-, monohydrochloride, (.alpha.S)- (9CI) (CA INDEX NAME)

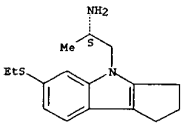
Absolute stereochemistry.



● HCl

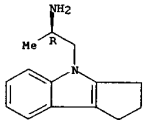
RN 327021-54-5 CAPLUS
CN Cyclopent[b]indole-4(1H)-ethanamine, 6-(ethylthio)-2,3-dihydro-.alpha.-methyl-, monohydrochloride, (.alpha.S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



● HCl

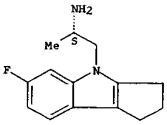
L7 ANSWER 3 OF 18 CAPLUS COPYRIGHT 2002 ACS (Continued)



● HCl

RN 327021-58-9 CAPLUS
CN Cyclopent[b]indole-4(1H)-ethanamine, 6-fluoro-2,3-dihydro-.alpha.-methyl-, monohydrochloride, (.alpha.S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



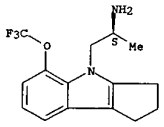
● HCl

RN 327021-59-0 CAPLUS
CN 4H-Thieno[3,2-b]indole-4-ethanamine, .alpha.-methyl-, monohydrochloride, (.alpha.S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

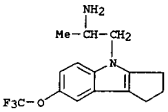
L7 ANSWER 3 OF 18 CAPLUS COPYRIGHT 2002 ACS (Continued)
RN 327021-55-6 CAPLUS
CN Cyclopent[b]indole-4(1H)-ethanamine, 2,3-dihydro-.alpha.-methyl-5-(trifluoromethoxy)-, monohydrochloride, (.alpha.S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



● HCl

RN 327021-56-7 CAPLUS
CN Cyclopent[b]indole-4(1H)-ethanamine, 2,3-dihydro-.alpha.-methyl-7-(trifluoromethoxy)-, monohydrochloride (9CI) (CA INDEX NAME)

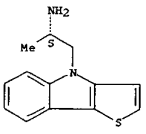


● HCl

RN 327021-57-8 CAPLUS
CN Cyclopent[b]indole-4(1H)-ethanamine, 2,3-dihydro-.alpha.-methyl-, monohydrochloride, (.alpha.R)- (9CI) (CA INDEX NAME)

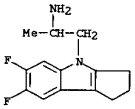
Absolute stereochemistry.

L7 ANSWER 3 OF 18 CAPLUS COPYRIGHT 2002 ACS (Continued)



● HCl

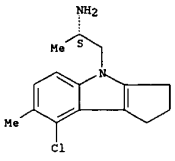
RN 327021-60-3 CAPLUS
CN Cyclopent[b]indole-4(1H)-ethanamine, 6,7-difluoro-2,3-dihydro-.alpha.-methyl-, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

RN 327021-61-4 CAPLUS
CN Cyclopent[b]indole-4(1H)-ethanamine, 8-chloro-2,3-dihydro-.alpha.,7-dimethyl-, monohydrochloride, (.alpha.S)- (9CI) (CA INDEX NAME)

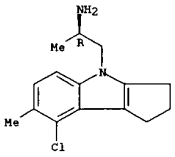
Absolute stereochemistry.



● HCl

RN 327021-62-5 CAPLUS
CN Cyclopent[b]indole-4(1H)-ethanamine, 8-chloro-2,3-dihydro-.alpha.,7-dimethyl-, monohydrochloride, (.alpha.R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



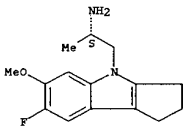
● HCl

RN 327021-64-7 CAPLUS
CN Cyclopent[b]indole-4(1H)-ethanamine, 7-fluoro-2,3-dihydro-6-methoxy-.alpha.-methyl-, (.alpha.R)-, (2E)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 327021-63-6
CMF C15 H19 F N2 O

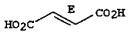
Absolute stereochemistry.



CM 2

CRN 110-17-8
CMF C4 H4 O4

Double bond geometry as shown.

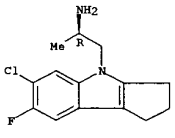


RN 327021-68-1 CAPLUS
CN Cyclopent[b]indole-4(1H)-ethanamine, 6-chloro-7-fluoro-2,3-dihydro-.alpha.-methyl-, (.alpha.R)-, (2E)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

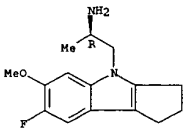
CRN 327021-67-0
CMF C14 H16 Cl F N2

Absolute stereochemistry.



CM 2

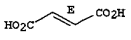
CRN 110-17-8
CMF C4 H4 O4



CM 2

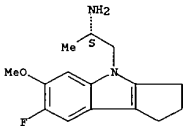
CRN 110-17-8
CMF C4 H4 O4

Double bond geometry as shown.



RN 327021-65-8 CAPLUS
CN Cyclopent[b]indole-4(1H)-ethanamine, 7-fluoro-2,3-dihydro-6-methoxy-.alpha.-methyl-, (.alpha.S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



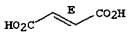
RN 327021-66-9 CAPLUS
CN Cyclopent[b]indole-4(1H)-ethanamine, 7-fluoro-2,3-dihydro-6-methoxy-.alpha.-methyl-, (.alpha.S)-, (2E)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 327021-65-8
CMF C15 H19 F N2 O

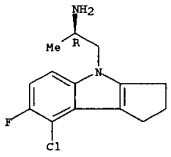
Absolute stereochemistry.

Double bond geometry as shown.



RN 327021-69-2 CAPLUS
CN Cyclopent[b]indole-4(1H)-ethanamine, 8-chloro-7-fluoro-2,3-dihydro-.alpha.-methyl-, monohydrochloride, (.alpha.R)- (9CI) (CA INDEX NAME)

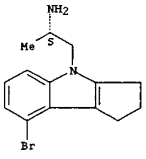
Absolute stereochemistry.



● HCl

RN 327021-70-5 CAPLUS
CN Cyclopent[b]indole-4(1H)-ethanamine, 8-bromo-2,3-dihydro-.alpha.-methyl-, monohydrochloride, (.alpha.S)- (9CI) (CA INDEX NAME)

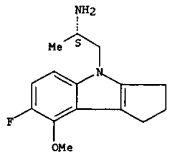
Absolute stereochemistry.



● HCl

L7 ANSWER 3 OF 18 CAPLUS COPYRIGHT 2002 ACS (Continued)
RN 327021-71-6 CAPLUS
CN Cyclopent[b]indole-4(1H)-ethanamine, 7-fluoro-2,3-dihydro-8-methoxy-.alpha.-methyl-, (.alpha.S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

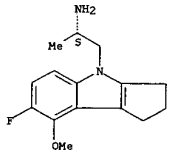


RN 327021-72-7 CAPLUS
CN Cyclopent[b]indole-4(1H)-ethanamine, 7-fluoro-2,3-dihydro-8-methoxy-.alpha.-methyl-, (.alpha.S)-, (2E)-2-butenedioate (2:1) (9CI) (CA INDEX NAME)

CM 1

CRN 327021-71-6
CMF C15 H19 F N2 O

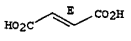
Absolute stereochemistry.



CM 2

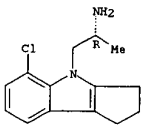
CRN 110-17-8
CMF C4 H4 O4

Double bond geometry as shown.



RN 327021-73-8 CAPLUS
CN Cyclopent[b]indole-4(1H)-ethanamine, 5-chloro-2,3-dihydro-.alpha.-methyl-, monohydrochloride, (.alpha.R)- (9CI) (CA INDEX NAME)

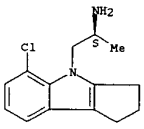
Absolute stereochemistry.



● HCl

RN 327021-74-9 CAPLUS
CN Cyclopent[b]indole-4(1H)-ethanamine, 5-chloro-2,3-dihydro-.alpha.-methyl-, (.alpha.S)- (9CI) (CA INDEX NAME)

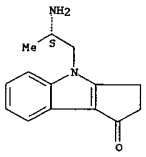
Absolute stereochemistry.



RN 327021-75-0 CAPLUS
CN Cyclopent[b]indol-1(2H)-one, 4-[(2S)-2-aminopropyl]-3,4-dihydro- (9CI) (CA INDEX NAME)

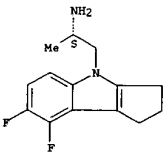
Absolute stereochemistry.

L7 ANSWER 3 OF 18 CAPLUS COPYRIGHT 2002 ACS (Continued)



RN 327021-76-1 CAPLUS
CN Cyclopent[b]indole-4(1H)-ethanamine, 7,8-difluoro-2,3-dihydro-.alpha.-methyl-, (.alpha.S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



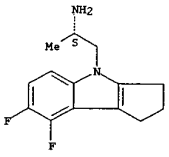
RN 327021-77-2 CAPLUS
CN Cyclopent[b]indole-4(1H)-ethanamine, 7,8-difluoro-2,3-dihydro-.alpha.-methyl-, (.alpha.S)-, (2E)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 327021-76-1
CMF C14 H16 F2 N2

Absolute stereochemistry.

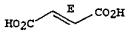
L7 ANSWER 3 OF 18 CAPLUS COPYRIGHT 2002 ACS (Continued)



CM 2

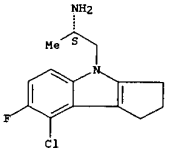
CRN 110-17-8
CMF C4 H4 O4

Double bond geometry as shown.



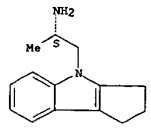
RN 327022-55-9 CAPLUS
CN Cyclopent[b]indole-4(1H)-ethanamine, 8-chloro-7-fluoro-2,3-dihydro-.alpha.-methyl-, (.alpha.S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



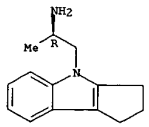
RN 327022-56-0 CAPLUS
CN Cyclopent[b]indole-4(1H)-ethanamine, 2,3-dihydro-.alpha.-methyl-, (.alpha.S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 327022-57-1 CAPLUS
CN Cyclopent[b]indole-4(1H)-ethanamine, 2,3-dihydro-.alpha.-methyl-, (.alpha.R)- (9CI) (CA INDEX NAME)

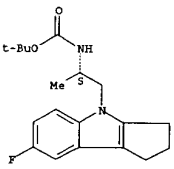
Absolute stereochemistry.



IT **327021-80-7P 327022-13-9P 327022-14-0P**
327022-15-1P 327022-16-2P 327022-17-3P
327022-18-4P 327022-19-5P 327022-20-8P
327022-21-9P 327022-22-0P 327022-23-1P
327022-24-2P 327022-25-3P 327022-26-4P
327022-27-5P 327022-28-6P 327022-29-7P
327022-30-0P 327022-31-1P 327022-32-2P
327022-33-3P 327022-34-4P 327022-35-5P
327022-36-6P 327022-37-7P 327022-38-8P
327022-39-9P 327022-40-2P 327022-41-3P
327022-42-4P 327022-43-5P 327022-44-6P
327022-45-7P 327022-46-8P 327022-47-9P
327022-48-0P 327022-49-1P 327022-50-4P
327022-51-5P 327022-52-6P 327022-53-7P
327022-54-8P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT
(Reactant or reagent)
(prepn. of indole derivs. as agonists or antagonists of a 5-HT receptor, particularly a 5-HT2C receptor)

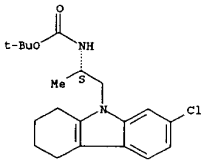
RN 327021-80-7 CAPLUS
CN Carbamic acid, [(1S)-2-(7,8-difluoro-2,3-dihydrocyclopent[b]indol-4(1H)-yl)-1-methylethyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



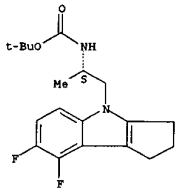
RN 327022-15-1 CAPLUS
CN Carbamic acid, [(1S)-2-(7-chloro-1,2,3,4-tetrahydro-9H-carbazol-9-yl)-1-methylethyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



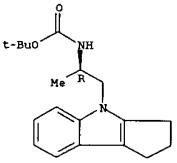
RN 327022-16-2 CAPLUS
CN Carbamic acid, [(1S)-2-(8-chloro-2,3-dihydrocyclopent[b]indol-4(1H)-yl)-1-methylethyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



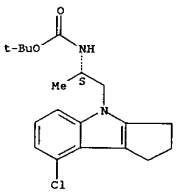
RN 327022-13-9 CAPLUS
CN Carbamic acid, [(1R)-2-(2,3-dihydrocyclopent[b]indol-4(1H)-yl)-1-methylethyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



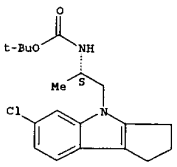
RN 327022-14-0 CAPLUS
CN Carbamic acid, [(1S)-2-(7-fluoro-2,3-dihydrocyclopent[b]indol-4(1H)-yl)-1-methylethyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



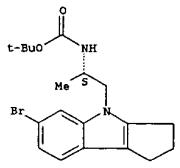
RN 327022-17-3 CAPLUS
CN Carbamic acid, [(1S)-2-(6-chloro-2,3-dihydrocyclopent[b]indol-4(1H)-yl)-1-methylethyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



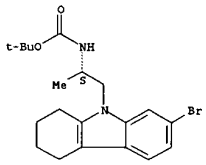
RN 327022-18-4 CAPLUS
CN Carbamic acid, [(1S)-2-(6-bromo-2,3-dihydrocyclopent[b]indol-4(1H)-yl)-1-methylethyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



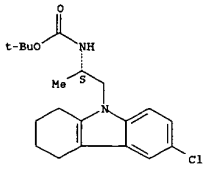
RN 327022-19-5 CAPLUS
CN Carbamic acid,
[(1S)-2-(7-bromo-1,2,3,4-tetrahydro-9H-carbazol-9-yl)-1-methylethyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



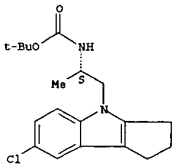
RN 327022-20-8 CAPLUS
CN Carbamic acid,
[(1S)-2-(6-chloro-1,2,3,4-tetrahydro-9H-carbazol-9-yl)-1-methylethyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



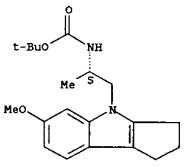
RN 327022-21-9 CAPLUS
CN Carbamic acid,
[(1S)-2-(7-chloro-2,3-dihydrocyclopent[b]indol-4(1H)-yl)-1-methylethyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



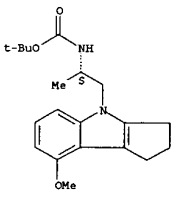
RN 327022-22-0 CAPLUS
CN Carbamic acid,
[(1S)-2-(2,3-dihydro-6-methoxycyclopent[b]indol-4(1H)-yl)-1-methylethyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



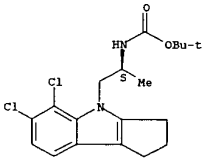
RN 327022-23-1 CAPLUS
CN Carbamic acid,
[(1S)-2-(2,3-dihydro-8-methoxycyclopent[b]indol-4(1H)-yl)-1-methylethyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



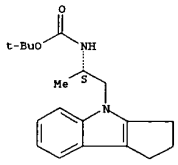
RN 327022-24-2 CAPLUS
CN Carbamic acid,
[(1S)-2-(5,6-dichloro-2,3-dihydrocyclopent[b]indol-4(1H)-yl)-1-methylethyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



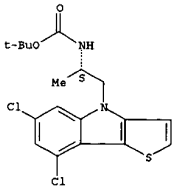
RN 327022-25-3 CAPLUS
CN Carbamic acid, [(1S)-2-(2,3-dihydrocyclopent[b]indol-4(1H)-yl)-1-methylethyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 327022-26-4 CAPLUS
CN Carbamic acid, [(1S)-2-(6,8-dichloro-4H-thieno[3,2-b]indol-4-yl)-1-methylethyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

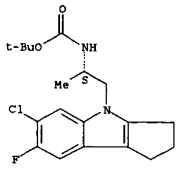
Absolute stereochemistry.



L7 ANSWER 3 OF 18 CAPLUS COPYRIGHT 2002 ACS (Continued)

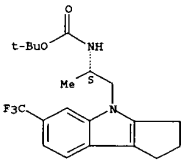
RN 327022-27-5 CAPLUS
CN Carbamic acid,
[(1S)-2-(6-chloro-7-fluoro-2,3-dihydrocyclopent[b]indol-4(1H)-yl)-1-methylethyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 327022-28-6 CAPLUS
CN Carbamic acid,
[(1S)-2-[2,3-dihydro-6-(trifluoromethyl)cyclopent[b]indol-4(1H)-yl]-1-methylethyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

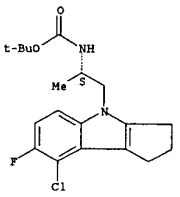
Absolute stereochemistry.



RN 327022-29-7 CAPLUS
CN Carbamic acid,
[(1S)-2-(8-chloro-7-fluoro-2,3-dihydrocyclopent[b]indol-4(1H)-yl)-1-methylethyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

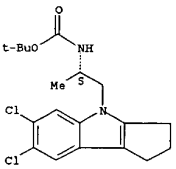
Absolute stereochemistry.

L7 ANSWER 3 OF 18 CAPLUS COPYRIGHT 2002 ACS (Continued)



RN 327022-30-0 CAPLUS
CN Carbamic acid,
[(1S)-2-(6,7-dichloro-2,3-dihydrocyclopent[b]indol-4(1H)-yl)-1-methylethyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

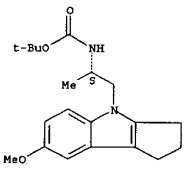
Absolute stereochemistry.



RN 327022-31-1 CAPLUS
CN Carbamic acid,
[(1S)-2-(2,3-dihydro-7-methoxycyclopent[b]indol-4(1H)-yl)-1-methylethyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

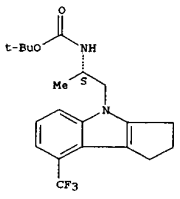
Absolute stereochemistry.

L7 ANSWER 3 OF 18 CAPLUS COPYRIGHT 2002 ACS (Continued)



RN 327022-32-2 CAPLUS
CN Carbamic acid,
[(1S)-2-[2,3-dihydro-8-(trifluoromethyl)cyclopent[b]indol-4(1H)-yl]-1-methylethyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

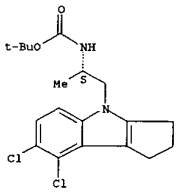
Absolute stereochemistry.



RN 327022-33-3 CAPLUS
CN Carbamic acid,
[(1S)-2-(7,8-dichloro-2,3-dihydrocyclopent[b]indol-4(1H)-yl)-1-methylethyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

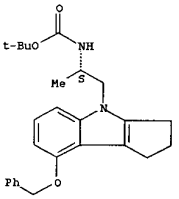
Absolute stereochemistry.

L7 ANSWER 3 OF 18 CAPLUS COPYRIGHT 2002 ACS (Continued)



RN 327022-34-4 CAPLUS
CN Carbamic acid,
[(1S)-2-[2,3-dihydro-8-(phenylmethoxy)cyclopent[b]indol-4(1H)-yl]-1-methylethyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

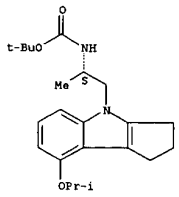
Absolute stereochemistry.



RN 327022-35-5 CAPLUS
CN Carbamic acid,
[(1S)-2-[2,3-dihydro-8-(1-methylethoxy)cyclopent[b]indol-4(1H)-yl]-1-methylethyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

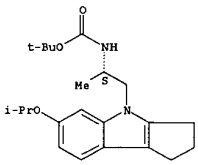
Absolute stereochemistry.

L7 ANSWER 3 OF 18 CAPLUS COPYRIGHT 2002 ACS (Continued)



RN 327022-36-6 CAPLUS
CN Carbamic acid,
[(1S)-2-[2,3-dihydro-6-(1-methylethoxy)cyclopent[b]indol-4(1H)-yl]-1-methylethyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

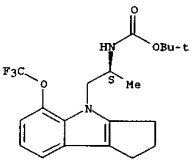
Absolute stereochemistry.



RN 327022-37-7 CAPLUS
CN Carbamic acid,
[(1S)-2-(6,8-dichloro-2,3-dihydrocyclopent[b]indol-4(1H)-yl)-1-methylethyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

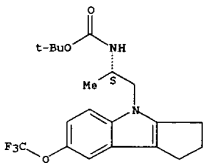
Absolute stereochemistry.

L7 ANSWER 3 OF 18 CAPLUS COPYRIGHT 2002 ACS (Continued)



RN 327022-40-2 CAPLUS
CN Carbamic acid,
[(1S)-2-[2,3-dihydro-7-(trifluoromethoxy)cyclopent[b]indol-4(1H)-yl]-1-methylethyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

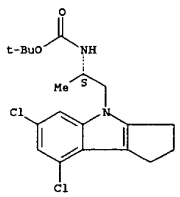
Absolute stereochemistry.



RN 327022-41-3 CAPLUS
CN Carbamic acid,
[(1S)-2-(6-fluoro-2,3-dihydrocyclopent[b]indol-4(1H)-yl)-1-methylethyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

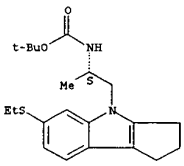
Absolute stereochemistry.

L7 ANSWER 3 OF 18 CAPLUS COPYRIGHT 2002 ACS (Continued)



RN 327022-38-8 CAPLUS
CN Carbamic acid,
[(1S)-2-[6-(ethylthio)-2,3-dihydrocyclopent[b]indol-4(1H)-yl]-1-methylethyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

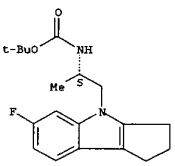
Absolute stereochemistry.



RN 327022-39-9 CAPLUS
CN Carbamic acid,
[(1S)-2-[2,3-dihydro-5-(trifluoromethoxy)cyclopent[b]indol-4(1H)-yl]-1-methylethyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

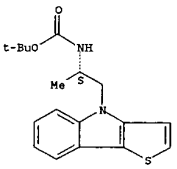
Absolute stereochemistry.

L7 ANSWER 3 OF 18 CAPLUS COPYRIGHT 2002 ACS (Continued)



RN 327022-42-4 CAPLUS
CN Carbamic acid, [(1S)-1-methyl-2-(4H-thieno[3,2-b]indol-4-yl)ethyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

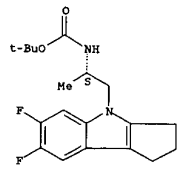
Absolute stereochemistry.



RN 327022-43-5 CAPLUS
CN Carbamic acid,
[(1S)-2-(6,7-difluoro-2,3-dihydrocyclopent[b]indol-4(1H)-yl)-1-methylethyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

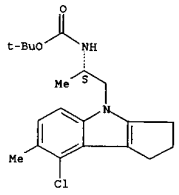
Absolute stereochemistry.

L7 ANSWER 3 OF 18 CAPLUS COPYRIGHT 2002 ACS (Continued)



RN 327022-44-6 CAPLUS
CN Carbamic acid,
[(1S)-2-(8-chloro-2,3-dihydro-7-methylcyclopent[b]indol-4(1H)-yl)-1-methylethyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

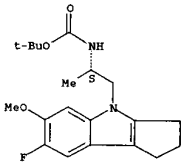
Absolute stereochemistry.



RN 327022-45-7 CAPLUS
CN Carbamic acid,
[(1R)-2-(8-chloro-2,3-dihydro-7-methylcyclopent[b]indol-4(1H)-yl)-1-methylethyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

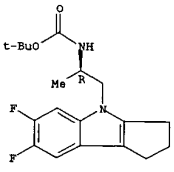
Absolute stereochemistry.

L7 ANSWER 3 OF 18 CAPLUS COPYRIGHT 2002 ACS (Continued)



RN 327022-48-0 CAPLUS
CN Carbamic acid,
[(1R)-2-(6,7-difluoro-2,3-dihydrocyclopent[b]indol-4(1H)-yl)-1-methylethyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

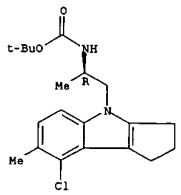
Absolute stereochemistry.



RN 327022-49-1 CAPLUS
CN Carbamic acid,
[(1R)-2-(8-chloro-7-fluoro-2,3-dihydrocyclopent[b]indol-4(1H)-yl)-1-methylethyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

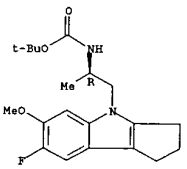
Absolute stereochemistry.

L7 ANSWER 3 OF 18 CAPLUS COPYRIGHT 2002 ACS (Continued)



RN 327022-46-8 CAPLUS
CN Carbamic acid,
[(1R)-2-(7-fluoro-2,3-dihydro-6-methoxycyclopent[b]indol-4(1H)-yl)-1-methylethyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

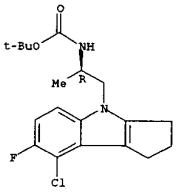
Absolute stereochemistry.



RN 327022-47-9 CAPLUS
CN Carbamic acid,
[(1S)-2-(7-fluoro-2,3-dihydro-6-methoxycyclopent[b]indol-4(1H)-yl)-1-methylethyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

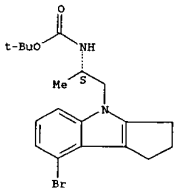
Absolute stereochemistry.

L7 ANSWER 3 OF 18 CAPLUS COPYRIGHT 2002 ACS (Continued)



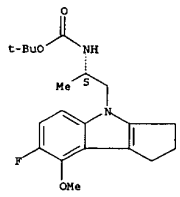
RN 327022-50-4 CAPLUS
CN Carbamic acid,
[(1S)-2-(8-bromo-2,3-dihydrocyclopent[b]indol-4(1H)-yl)-1-methylethyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



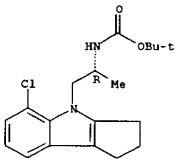
RN 327022-51-5 CAPLUS
CN Carbamic acid,
[(1S)-2-(7-fluoro-2,3-dihydro-8-methoxycyclopent[b]indol-4(1H)-yl)-1-methylethyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



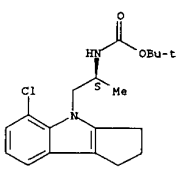
RN 327022-52-6 CAPLUS
CN Carbamic acid,
[(1R)-2-(5-chloro-2,3-dihydrocyclopent[b]indol-4(1H)-yl)-1-methylethyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



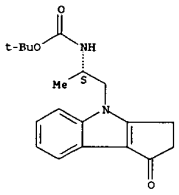
RN 327022-53-7 CAPLUS
CN Carbamic acid,
[(1S)-2-(5-chloro-2,3-dihydrocyclopent[b]indol-4(1H)-yl)-1-methylethyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 327022-54-8 CAPLUS
CN Carbamic acid,
[(1S)-2-(2,3-dihydro-1-oxocyclopent[b]indol-4(1H)-yl)-1-methylethyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

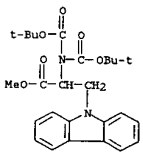
Absolute stereochemistry.



REFERENCE COUNT: 9 THERE ARE 9 CITED REFERENCES AVAILABLE FOR THIS
RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

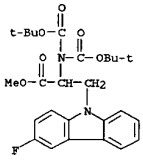
L7 ANSWER 4 OF 18 CAPLUS COPYRIGHT 2002 ACS

ACCESSION NUMBER: 2000:894556 CAPLUS
DOCUMENT NUMBER: 134:193686
TITLE: High yield synthesis of heterocyclic .beta.-substituted alanine derivatives
AUTHOR(S): Ferreira, Paula M. T.; Maia, Hernani L. S.; Monteiro, Luis S.
CORPORATE SOURCE: Department of Chemistry, University of Minho, Gualtar, P-4700-320, Port.
SOURCE: Peptides for the New Millennium, Proceedings of the American Peptide Symposium, 16th, Minneapolis, MN, United States, June 26-July 1, 1999 (2000), Meeting Date 1999, 70-71. Editor(s): Fields, Gregg B.; Tam, James P.; Barany, George. Kluwer Academic Publishers: Dordrecht, Neth.
CODEN: 69ATHX
DOCUMENT TYPE: Conference
LANGUAGE: English
AB A symposium report on the prodn. of various heterocyclic .beta.-substituted alanines by Michael addn. to the Me ester of N,N-di-tert-butoxycarbonyldehydroalanine [Boc-.DELTA.Ala(N-Boc)-OMe], by taking advantage of the double acylation to obtain straightforward, high yield syntheses in soln.
IT **314255-02-2P 314255-03-3P 314255-04-4P 328066-00-8P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(high yield synthesis of heterocyclic .beta.-substituted alanine derivs.)
RN 314255-02-2 CAPLUS
CN 9H-Carbazole-9-propanoic acid, .alpha.-[bis[(1,1-dimethylethoxy)carbonyl]amino]-, methyl ester (9CI) (CA INDEX NAME)

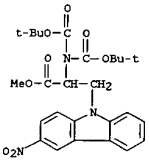


RN 314255-03-3 CAPLUS

CN 9H-Carbazole-9-propanoic acid, .alpha.-[bis[(1,1-dimethylethoxy)carbonyl]amino]-3-fluoro-, methyl ester (9CI) (CA INDEX NAME)

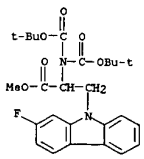


RN 314255-04-4 CAPLUS
CN 9H-Carbazole-9-propanoic acid, .alpha.-[bis[(1,1-dimethylethoxy)carbonyl]amino]-3-nitro-, methyl ester (9CI) (CA INDEX NAME)



RN 328066-00-8 CAPLUS
CN 9H-Carbazole-9-propanoic acid, .alpha.-[bis[(1,1-dimethylethoxy)carbonyl]amino]-2-fluoro-, methyl ester (9CI) (CA INDEX NAME)

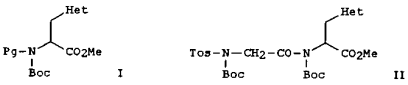
L7 ANSWER 4 OF 18 CAPLUS COPYRIGHT 2002 ACS (Continued)



REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS
RECORD. ALL CITATIONS AVAILABLE IN THE RE
FORMAT

L7 ANSWER 5 OF 18 CAPLUS COPYRIGHT 2002 ACS

ACCESSION NUMBER: 2000:680642 CAPLUS
DOCUMENT NUMBER: 134:71864
TITLE: Synthesis of .beta.-substituted alanines via Michael
addition of nucleophiles to dehydroalanine derivatives
AUTHOR(S): Ferreira, Paula M. T.; Maia, Hernani L. S.; Monteiro,
Luis S.; Sacramento, Joana; Sebastiao, Joana
CORPORATE SOURCE: Department of Chemistry, University of Minho, Gualtar,
Braga, P-4700-320, Port.
SOURCE: Perkin 1 (2000), (19), 3317-3324
CODEN: PERKF9
PUBLISHER: Royal Society of Chemistry
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 134:71864
GI

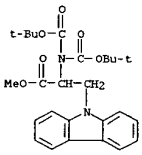


AB Several .beta.-heterocyclic alanines I (Pg = Boc, Cbz, benzoyl, 4-nitrobenzoyl, 4-nitrobenzyloxycarbonyl; Het = pyrazol-1-yl, 1,2,4-triazol-1-yl, imidazol-1-yl, 3-formylindol-1-yl, 7-azaindol-1-yl, etc.) are synthesized in high yields by a Michael addn. of heterocyclic nucleophiles to dehydroalanine deriv. Pg-N(Boc)C(:CH2)CO2Me using mild reaction conditions and simple work-up procedures. Similarly, .beta.-heterocyclic alanine-conty. dipeptides II are synthesized.

IT **314255-02-2P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT
(Reactant or reagent)
(prepn. of .beta.-heterocyclic alanines from the Michael addn. of heterocyclic nucleophiles to dehydroalanine derivs.)

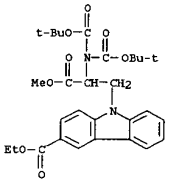
RN 314255-02-2 CAPLUS
CN 9H-Carbazole-9-propanoic acid, .alpha.-[bis[(1,1-dimethylethoxy)carbonyl]amino]-, methyl ester (9CI) (CA INDEX NAME)

L7 ANSWER 5 OF 18 CAPLUS COPYRIGHT 2002 ACS (Continued)



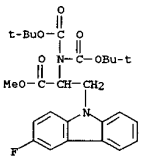
IT **229622-76-8P 314255-03-3P 314255-04-4P**
314255-25-9P
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of .beta.-heterocyclic alanines from the Michael addn. of heterocyclic nucleophiles to dehydroalanine derivs.)

RN 229622-76-8 CAPLUS
CN 9H-Carbazole-9-propanoic acid, .alpha.-[bis[(1,1-dimethylethoxy)carbonyl]amino]-3-(ethoxycarbonyl)-, methyl ester (9CI)
(CA INDEX NAME)

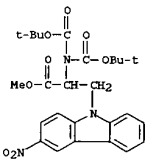


RN 314255-03-3 CAPLUS
CN 9H-Carbazole-9-propanoic acid, .alpha.-[bis[(1,1-dimethylethoxy)carbonyl]amino]-3-fluoro-, methyl ester (9CI) (CA INDEX
NAME)

L7 ANSWER 5 OF 18 CAPLUS COPYRIGHT 2002 ACS (Continued)



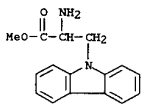
RN 314255-04-4 CAPLUS
CN 9H-Carbazole-9-propanoic acid, .alpha.-[bis[(1,1-dimethylethoxy)carbonyl]amino]-3-nitro-, methyl ester (9CI) (CA INDEX NAME)



RN 314255-25-9 CAPLUS
CN 9H-Carbazole-9-propanoic acid, .alpha.-amino-, methyl ester, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

CM 1

CRN 314255-24-8
CMF C16 H16 N2 O2

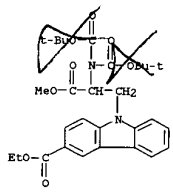


L7 ANSWER 5 OF 18 CAPLUS COPYRIGHT 2002 ACS (Continued)
CM 2

CRN 76-05-1
CMF C2 H F3 O2

REFERENCE COUNT: 14 THERE ARE 14 CITED REFERENCES AVAILABLE FOR THIS
RECORD. ALL CITATIONS AVAILABLE IN THE RE
FORMAT

L7 ANSWER 6 OF 18 CAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 1999:321283 CAPLUS
DOCUMENT NUMBER: 131:88151
TITLE: High yielding synthesis of heterocyclic .beta.-substituted alanine derivatives
AUTHOR(S): Ferreira, Paula M. T.; Maia, Hernani L. S.; Monteiro, Luis S.
CORPORATE SOURCE: Department of Chemistry, University of Minho, Braga, P-4710, Port.
SOURCE: Tetrahedron Letters (1999), 40(21), 4099-4102
CODEN: TELEAY; ISSN: 0040-4039
PUBLISHER: Elsevier Science Ltd.
DOCUMENT TYPE: Journal
LANGUAGE: English
AB Heterocyclic .beta.-substituted alanine derivs. such as .beta.-(pyrazol-1-yl)- and .beta.-(1,2,4-triazol-1-yl)alanine are synthesized in high yields by Michael addn. of heterocyclic nucleophiles to N,N-bis(tert-butyloxycarbonyl)dehydroalanine Me ester, using mild reaction conditions and simple work-up procedures.
IT **229622-76-8P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(synthesis of heterocyclic .beta.-substituted alanine derivs.)
RN 229622-76-8 CAPLUS
CN 9H-Carbazole-9-propanoic acid, .alpha.-[bis[(1,1-dimethylethoxy)carbonyl]amino]-3-(ethoxycarbonyl)-, methyl ester (9CI) (CA INDEX NAME)

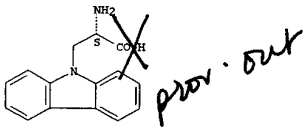


REFERENCE COUNT: 8 THERE ARE 8 CITED REFERENCES AVAILABLE FOR THIS
RECORD. ALL CITATIONS AVAILABLE IN THE RE
FORMAT

L7 ANSWER 7 OF 18 CAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 1998:804819 CAPLUS
DOCUMENT NUMBER: 130:178951
TITLE: Efficient Incorporation of Nonnatural Amino Acids with Large Aromatic Groups into Streptavidin in In Vitro Protein Synthesizing Systems
AUTHOR(S): Hohsaka, Takahiro; Kajihara, Daisuke; Ashizuka, Yuki; Murakami, Hiroshi; Sisido, Masahiko
CORPORATE SOURCE: Department of Bioscience and Biotechnology Faculty of Engineering, Okayama University, Okayama, 700-8530, Japan
SOURCE: Journal of the American Chemical Society (1999), 121(1), 34-40
CODEN: JACSAT; ISSN: 0002-7863
PUBLISHER: American Chemical Society
DOCUMENT TYPE: Journal
LANGUAGE: English
AB Efficiencies of the incorporation of various nonnatural amino acids carrying arom. side groups into streptavidin were examd. The arom. amino acids were linked to a mixed dinucleotide, pdCpA, and the resulting aminoacyl pdCpAs were coupled with tRNACCCG(-CA) to afford chem. aminoacylated tRNACCCG's. Mutant streptavidin mRNA contg. a CGGG 4 base codon at the Tyr83 site was prepd. and added to an Escherichia coli in vitro translation system with the aminoacyl tRNACCCG. The expression of the full-length mutant streptavidins was confirmed by a Western blot anal., and their biotin binding activity was examd. by a dot blot anal. The Western blot anal. indicated that the efficiencies of the incorporation were higher for arom. groups with straight configurations than those with widely expanded or bend configurations. The incorporation efficiencies were also examd. in a rabbit reticulocyte lysate. In the latter system, the efficiencies were markedly improved for nonnatural amino acids with large side groups such as pyrene and anthraquinone.
IT **155760-05-7**
RL: BPR (Biological process); BSU (Biological study, unclassified); RCT (Reactant); BIOL (Biological study); PROC (Process); RACT (Reactant or reagent)
(efficient incorporation of nonnatural amino acids with large arom. groups into streptavidin in In vitro protein synthesizing systems)
RN 155760-05-7 CAPLUS
CN 9H-Carbazole-9-propanoic acid, .alpha.-amino-, (.alpha.S)- (9CI) (CA INDEX NAME)

L7 ANSWER 7 OF 18 CAPLUS COPYRIGHT 2002 ACS (Continued)

Absolute stereochemistry.



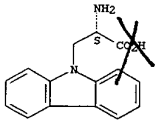
prov. out

IT **220586-41-4P**
RL: BPR (Biological process); BSU (Biological study, unclassified); RCT (Reactant); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); PROC (Process); RACT (Reactant or reagent)
(efficient incorporation of nonnatural amino acids with large arom. groups into streptavidin in In vitro protein synthesizing systems)
RN 220586-41-4 CAPLUS
CN Adenosine, 2'-deoxy-5'-O-phosphonocytidylyl-(3'.fwdarw.5')-, 2'(or 3')-[(.alpha.S)-.alpha.-amino-9H-carbazole-9-propanoate] (9CI) (CA INDEX NAME)

CM 1

CRN 155760-05-7
CMF C15 H14 N2 O2

Absolute stereochemistry.

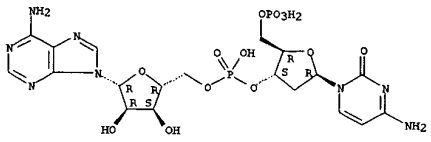


CM 2

CRN 127067-28-1
CMF C19 H26 N8 O13 P2

Absolute stereochemistry.

L7 ANSWER 7 OF 18 CAPLUS COPYRIGHT 2002 ACS (Continued)



REFERENCE COUNT: 30 THERE ARE 30 CITED REFERENCES AVAILABLE FOR THIS
RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 8 OF 18 CAPLUS COPYRIGHT 2002 ACS

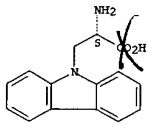
ACCESSION NUMBER: 1994:429773 CAPLUS
DOCUMENT NUMBER: 121:29773
TITLE: Adaptability of nonnatural aromatic amino acids to the
active center of the E. coli ribosomal A site
AUTHOR(S): Hohsaka, Takahiro; Sato, Ken; Sisido, Masahiko; Takai,
Kazuyuki; Yokoyama, Shigeyuki
CORPORATE SOURCE: Research Laboratory of Resources Utilization, Tokyo
Institute of Technology, 4259 Nagatsuta, Midori-ku,
Yokohama, 227, Japan
SOURCE: FEBS Lett. (1993), 335(1), 47-50
CODEN: FEBLAL; ISSN: 0014-5793
DOCUMENT TYPE: Journal
LANGUAGE: English

AB 3'-N-Aminoacyl analogs of puromycin with nonnatural arom. amino acids were
synthesized and their inhibitory activity in an Escherichia coli in vitro
protein-synthesizing system was evaluated. The analogs with L-2-naphthylalanine, L-p-biphenylalanine, L-2-anthrylalanine, and trans-L-p-phenylazophenylalanine inhibited protein synthesis with high efficiency. The inhibition suggested that these nonnatural amino acids
are accepted by the active center of the E. coli ribosomal peptidyltransferase A site. A model for the adaptability of nonnatural
arom. amino acids to the peptidyltransferase active center was proposed.

IT **155760-05-7**
RL: BIOL (Biological study)
(adaptability of, to ribosome active center in Escherichia coli)

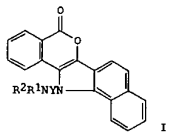
RN 155760-05-7 CAPLUS
CN 9H-Carbazole-9-propanoic acid, .alpha.-amino-, (.alpha.S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L7 ANSWER 9 OF 18 CAPLUS COPYRIGHT 2002 ACS

ACCESSION NUMBER: 1992:99164 CAPLUS
DOCUMENT NUMBER: 116:99164
TITLE: Synthesis and pharmacological evaluation of some novel
13-[N,N-dialkylamino-alkyl]benzo[g][2]benzopyrano[4,3-b]indol-5[13H]ones
AUTHOR(S): DeVito, Stephen C.; Stephani, Ralph A.
CORPORATE SOURCE: Coll. Pharm. Allied Health Prof., St. John's Univ.,
Jamaica, NY, 11439, USA
SOURCE: Med. Chem. Res. (1991), 1(1), 47-51
CODEN: MCREEB; ISSN: 1054-2523
DOCUMENT TYPE: Journal
LANGUAGE: English
GI



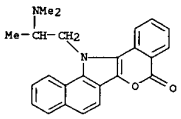
I

AB The synthesis and biol. evaluation of a series of novel
13-(N,N-dialkylaminoalkyl)benzo[g][2]benzopyrano[4,3-b]indol-5[13H]ones, or (N-alkylisochromenoindoles) [I, YNR1R2 = 3-piperidinopropyl, (CH2)3NMe2, (CH2)2NMe2, etc.] have led to the identification of this class
of compds. as potential nonnarcotic analgesic agents.

IT **139214-19-0**
RL: BAC (Biological activity or effector, except adverse); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(analgesic activity of, structure in relation to)

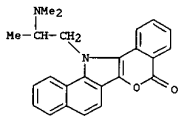
RN 139214-19-0 CAPLUS
CN Benzo[g][2]benzopyrano[4,3-b]indol-5(13H)-one, 13-[2-(dimethylamino)propyl]- (9CI) (CA INDEX NAME)

L7 ANSWER 9 OF 18 CAPLUS COPYRIGHT 2002 ACS (Continued)



IT **139214-14-5P**
RL: BAC (Biological activity or effector, except adverse); SPN (Synthetic
preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. and analgesic activity of, structure in relation to)

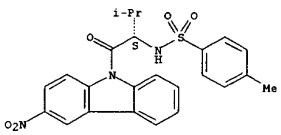
RN 139214-14-5 CAPLUS
CN Benzo[g][2]benzopyrano[4,3-b]indol-5(13H)-one, 13-[2-(dimethylamino)propyl]-, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

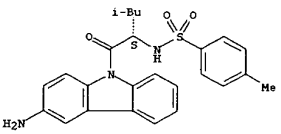
L7 ANSWER 10 OF 18 CAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 1984:192230 CAPLUS
DOCUMENT NUMBER: 100:192230
TITLE: Synthesis and biological activity of some new substituted aminoacyl-carbazole derivatives. Part II
AUTHOR(S): El-Naggar, A. M.; Ahmed, F. S. M.; Abd El-Salam, A. M.; El-Gazzar, M. A.
CORPORATE SOURCE: Fac. Sci., Al-Azhar Univ., Nasr City, Egypt
SOURCE: Arab Gulf J. Sci. Res. (1983), 1(1), 131-9
CODEN: AGJRE8
DOCUMENT TYPE: Journal
LANGUAGE: English
GI For diagram(s), see printed CA Issue.
AB Title compds. I [R = phthalyl (Pht), X = Gly, .beta.-Ala, Ala, Val, Leu, DL-Phe; R = tosyl (Tos), X = .beta.-Ala, Val, Leu; R1 = NO2] were prepd. in 60-70% yields by condensing R-X-OH with 3-nitro-9H-carbazole by DCC in THF. I (R = Pht, X = same; R = Tos, X = Val, Leu; R1 = NH2) were prepd. in 50-61% yields by reducing the corresponding I (R1 = NO2) by Sn/HCl. I (R = H; X = .beta.-Ala, Val, Leu, DL-Phe; R1 = NO2) were prepd. in 55-61% yields by the hydrazinolysis of the corresponding I (R = Pht). Several I exhibited antimicrobial activities against several microorganisms; e.g., I (R = Pht, X = Gly, R1 = NO2) was active against Bacillus subtillis at a min. inhibitory concn. of 25 .mu.g/mL.
IT **83277-40-1P 83277-41-2P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. and redn. and antimicrobial activity of)
RN 83277-40-1 CAPLUS
CN 9H-Carbazole, 9-[3-methyl-2-[[(4-methylphenyl)sulfonyl]amino]-1-oxobutyl]-3-nitro-, (S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



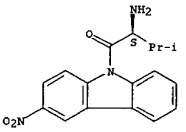
RN 83277-41-2 CAPLUS

L7 ANSWER 10 OF 18 CAPLUS COPYRIGHT 2002 ACS (Continued)



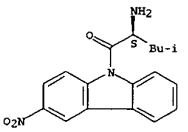
RN 83277-51-4 CAPLUS
CN 9H-Carbazole, 9-(2-amino-3-methyl-1-oxobutyl)-3-nitro-, (S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 83277-52-5 CAPLUS
CN 9H-Carbazole, 9-(2-amino-4-methyl-1-oxopentyl)-3-nitro-, (S)- (9CI) (CA INDEX NAME)

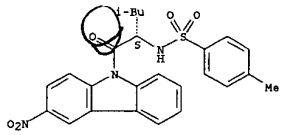
Absolute stereochemistry.



RN 83277-53-6 CAPLUS
CN 9H-Carbazole, 9-(2-amino-1-oxo-3-phenylpropyl)-3-nitro- (9CI) (CA INDEX NAME)

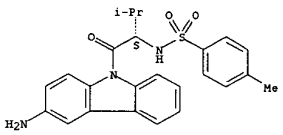
L7 ANSWER 10 OF 18 CAPLUS COPYRIGHT 2002 ACS (Continued)
CN 9H-Carbazole, 9-[4-methyl-2-[[(4-methylphenyl)sulfonyl]amino]-1-oxopentyl]-3-nitro-, (S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



IT **83277-48-9P 83277-49-0P 83277-51-4P 83277-52-5P 83277-53-6P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of)
RN 83277-48-9 CAPLUS
CN 9H-Carbazol-3-amine, 9-[3-methyl-2-[[(4-methylphenyl)sulfonyl]amino]-1-oxobutyl]-, (S)- (9CI) (CA INDEX NAME)

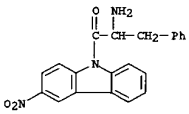
Absolute stereochemistry.



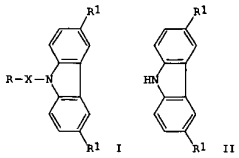
RN 83277-49-0 CAPLUS
CN 9H-Carbazol-3-amine, 9-[4-methyl-2-[[(4-methylphenyl)sulfonyl]amino]-1-oxopentyl]-, (S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L7 ANSWER 10 OF 18 CAPLUS COPYRIGHT 2002 ACS (Continued)



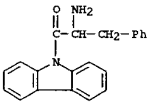
L7 ANSWER 11 OF 18 CAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 1983:89843 CAPLUS
DOCUMENT NUMBER: 98:89843
TITLE: Synthesis and biological activity of some new aminoacylcarbazole derivatives. Part I
AUTHOR(S): El-Nagger, A. M.; Ahmed, F. S. M.; Abd El-Salam, A. M.; El-Gazzar, M. A.
CORPORATE SOURCE: Fac. Sci., Al-Azhar Univ., Cairo, Egypt
SOURCE: J. Heterocycl. Chem. (1982), 19(5), 1025-8
CODEN: JHTCAD; ISSN: 0022-152X
DOCUMENT TYPE: Journal
LANGUAGE: English
GI



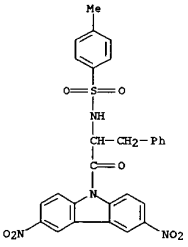
AB Title compds. I [R = phthalyl (Pht); R1 = H, NO2; X = Gly, .beta.-Ala, Ala, Val, Leu, DL-Phe; R = tosyl (Tos), R1 = H, X = Gly, .beta.-Ala, Val, Leu, DL-Phe; R = Tos, R1 = NO2, X = .beta.-Ala, DL-Phe] were prepd. in 54-65% yields by condensing carbazoles II (R1 = H, NO2) with the appropriate R-X-OH by DCC. I (R = Pht, R1 = H, X = .beta.-Ala, Ala, Val, Leu, DL-Phe; R = Pht, R1 = NO2, X = Ala) were deblocked by hydrazinolysis to give I (R = H, R1 = X = same) in 55-64% yields. I (R = Pht, R1 = NO2, X = .beta.-Ala, Ala, Val, Leu, DL-Phe) were reduced by SnCl2 to give the corresponding I (R1 = NH2) in 52-55% yields. Several title compds., e.g. I (R = Pht, R1 = H, X = .beta.-Ala), exhibited antimicrobial activity against a no. of microorganisms, e.g., Bacillus subtilis.

IT **84708-73-6P 84708-74-7P 84708-75-8P 84708-76-9P 84708-84-9P 84708-85-0P**
RL: BAC (Biological activity or effector, except adverse); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)

L7 ANSWER 11 OF 18 CAPLUS COPYRIGHT 2002 ACS (Continued)

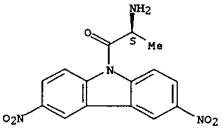


RN 84708-84-9 CAPLUS
CN 9H-Carbazole, 9-[2-[[(4-methylphenyl)sulfonyl]amino]-1-oxo-3-phenylpropyl]-3,6-dinitro- (9CI) (CA INDEX NAME)



RN 84708-85-0 CAPLUS
CN 9H-Carbazole, 9-(2-amino-1-oxopropyl)-3,6-dinitro-, (S)- (9CI) (CA INDEX NAME)

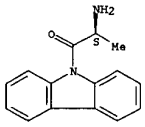
Absolute stereochemistry.



L7 ANSWER 11 OF 18 CAPLUS COPYRIGHT 2002 ACS (Continued)
(prepn. and antibacterial activity of)

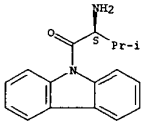
RN 84708-73-6 CAPLUS
CN 9H-Carbazole, 9-(2-amino-1-oxopropyl)-, (S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



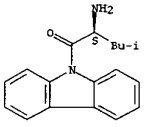
RN 84708-74-7 CAPLUS
CN 9H-Carbazole, 9-(2-amino-3-methyl-1-oxobutyl)-, (S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 84708-75-8 CAPLUS
CN 9H-Carbazole, 9-(2-amino-4-methyl-1-oxopentyl)-, (S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



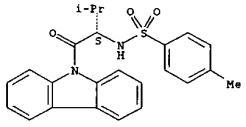
RN 84708-76-9 CAPLUS
CN 9H-Carbazole, 9-(2-amino-1-oxo-3-phenylpropyl)- (9CI) (CA INDEX NAME)

L7 ANSWER 11 OF 18 CAPLUS COPYRIGHT 2002 ACS (Continued)

IT **84708-69-0P 84708-70-3P 84708-71-4P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of)

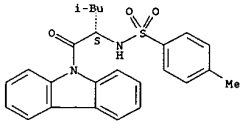
RN 84708-69-0 CAPLUS
CN 9H-Carbazole, 9-[3-methyl-2-[[(4-methylphenyl)sulfonyl]amino]-1-oxobutyl]-, (S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



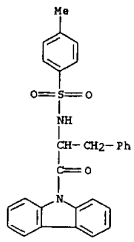
RN 84708-70-3 CAPLUS
CN 9H-Carbazole, 9-[4-methyl-2-[[(4-methylphenyl)sulfonyl]amino]-1-oxopentyl]-, (S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 84708-71-4 CAPLUS
CN 9H-Carbazole, 9-[2-[[(4-methylphenyl)sulfonyl]amino]-1-oxo-3-phenylpropyl]- (9CI) (CA INDEX NAME)

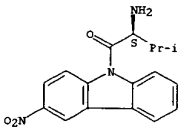
L7 ANSWER 11 OF 18 CAPLUS COPYRIGHT 2002 ACS (Continued)



L7 ANSWER 12 OF 18 CAPLUS COPYRIGHT 2002 ACS

ACCESSION NUMBER: 1982:563439 CAPLUS
DOCUMENT NUMBER: 97:163439
TITLE: Synthesis and biological activity of some new substituted aminoacyl-carbazole derivatives. Part II
AUTHOR(S): El-Naggar, A. M.; Ahmed, F. S. M.; Abd El-Salam, A. M.; El-Gazzar, M. A.
CORPORATE SOURCE: Fac. Sci., Al-Azhar Univ., Nasr, Egypt
SOURCE: Farmaco, Ed. Sci. (1982), 37(7), 494-500
CODEN: FRPSAX; ISSN: 0430-0920
DOCUMENT TYPE: Journal
LANGUAGE: English
GI For diagram(s), see printed CA Issue.
AB Title compds. I [R = phthalyl (Pht), tosyl (Tos); X = Gly, .beta.-Ala, Val, Leu; R1 = NO2; R = Pht, X = Ala, DL-Phe, R1 = NO2] were prepd. in 62-70% yields by condensing carbazole II with the appropriate Pht or Tos amino acid by DCC in THF. I (R = Pht, X = Gly, .beta.-Ala, Ala, Val, Leu, DL-Phe, R1 = NH2; R = Tos, X = Val, Leu, R1 = NH2) were prepd. in 52-61% yields by reducing the corresponding nitro compds. by Sn/HCl. I (R = H; X = B-Ala, Val, Leu, DL-Phe; R1 = NO2) were prepd. in 55-61% yields by deblocking the corresponding I (R = Pht) by hydrazinolysis. Several of the above compds. exhibited antimicrobial activity.
IT **83277-51-4P 83277-52-5P**
RL: BAC (Biological activity or effector, except adverse); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(prepn. and antibacterial activity of)
RN 83277-51-4 CAPLUS
CN 9H-Carbazole, 9-(2-amino-3-methyl-1-oxobutyl)-3-nitro-, (S)- (9CI) (CA INDEX NAME)

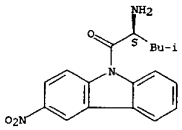
Absolute stereochemistry.



RN 83277-52-5 CAPLUS
CN 9H-Carbazole, 9-(2-amino-4-methyl-1-oxopentyl)-3-nitro-, (S)- (9CI) (CA INDEX NAME)

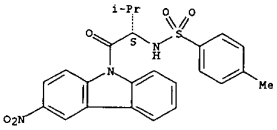
L7 ANSWER 12 OF 18 CAPLUS COPYRIGHT 2002 ACS (Continued)

Absolute stereochemistry.



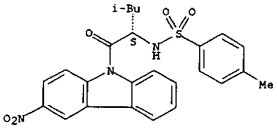
IT **83277-40-1P 83277-41-2P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. and redn. and antibacterial activity of)
RN 83277-40-1 CAPLUS
CN 9H-Carbazole, 9-[3-methyl-2-[[(4-methylphenyl)sulfonyl]amino]-1-oxobutyl]-3-nitro-, (S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 83277-41-2 CAPLUS
CN 9H-Carbazole, 9-[4-methyl-2-[[(4-methylphenyl)sulfonyl]amino]-1-oxopentyl]-3-nitro-, (S)- (9CI) (CA INDEX NAME)

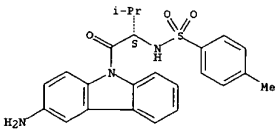
Absolute stereochemistry.



L7 ANSWER 12 OF 18 CAPLUS COPYRIGHT 2002 ACS (Continued)

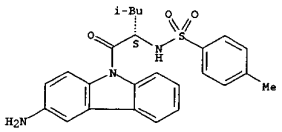
IT **83277-48-9P 83277-49-0P 83277-53-6P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of)
RN 83277-48-9 CAPLUS
CN 9H-Carbazol-3-amine, 9-[3-methyl-2-[[(4-methylphenyl)sulfonyl]amino]-1-oxobutyl]-, (S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

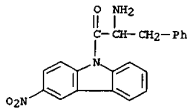


RN 83277-49-0 CAPLUS
CN 9H-Carbazol-3-amine, 9-[4-methyl-2-[[(4-methylphenyl)sulfonyl]amino]-1-oxopentyl]-, (S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



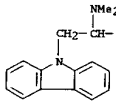
RN 83277-53-6 CAPLUS
CN 9H-Carbazole, 9-(2-amino-1-oxo-3-phenylpropyl)-3-nitro- (9CI) (CA INDEX NAME)



L7 ANSWER 12 OF 18 CAPLUS COPYRIGHT 2002 ACS (Continued)

L7 ANSWER 13 OF 18 CAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 1975:428684 CAPLUS
DOCUMENT NUMBER: 83:28684
TITLE: Cyclodimerization, polymerization, and copolymerization of N-vinylcarbazole photoinduced by Rhodamine 6G
AUTHOR(S): Crellin, R. A.; Ledwith, A.
CORPORATE SOURCE: Donnan Lab., Univ. Liverpool, Liverpool, Engl.
SOURCE: Macromolecules (1975), 8(2), 93-101
CODEN: MAMOBX
DOCUMENT TYPE: Journal
LANGUAGE: English
AB Rhodamine 6G (I) [989-38-8] was an efficient photosensitizer for the cyclodimerization of N-vinylcarbazole (II) [1484-13-5] in alcs. and benzene in the presence of a suitable cooxidant such as oxygen [7782-44-7], copper(II) heptanoate [55524-45-3], or chloranil [118-75-2].
In the absence of cooxidants, poly(N-vinylcarbazole) [25067-59-8] was produced by a free radical mechanism. Copolymn. of II with Me methacrylate occurred simultaneously with II cyclodimerization in reaction mixts. contg. dissolved O, but the quantum efficiency for polymn. initiation was <1% of that for the cyclodimerization. The effects of additives and II on I fluorescence correlated with retardation effects on the cyclodimerization, and it was concluded that this process was initiated by an overall electron transfer from II to the singlet excited I mol. The comparatively inefficient initiation process leading to radical polymn. resulted from a competing pathway involving triplet excited I. I fluorescence was quenched by both cis [20565-99-5] and trans-N-propenylcarbazole [20566-00-1] but only the latter was reactive in photoinduced cyclodimerizations or polymns., suggesting, since the cis compd. was nonplanar, that quenching occurred by an encounter complex which underwent relaxation or solvent reorganization before generation of the fully oxidized and reduced reactant pair.
IT **55491-54-8**
RL: RCT (Reactant)
(reaction of, with methyl iodide)
RN 55491-54-8 CAPLUS
CN 9H-Carbazole-9-ethanamine, N,N,.alpha.-trimethyl- (9CI) (CA INDEX NAME)

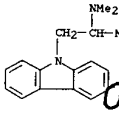
L7 ANSWER 13 OF 18 CAPLUS COPYRIGHT 2002 ACS (Continued)



IT **55491-55-9**
RL: RCT (Reactant)
(reaction of, with silver oxide)
RN 55491-55-9 CAPLUS
CN 9H-Carbazole-9-ethanamine, N,N,.alpha.-trimethyl-, compd. with iodomethane (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 55491-54-8
CMF C17 H20 N2



CM 2

CRN 74-88-4
CMF C H3 I

H_3C-I

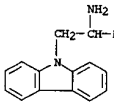
L7 ANSWER 14 OF 18 CAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 1975:171007 CAPLUS
DOCUMENT NUMBER: 82:171007
TITLE: 1-Amino-3-phenoxy-2-propanols
INVENTOR(S): Wiedemann, Fritz; Thiel, Max; Stach, Kurt; Dietmann, Karl; Sponer, Gisbert
PATENT ASSIGNEE(S): Boehringer Mannheim G.m.b.H., Ger.
SOURCE: Ger. Offen., 14 pp.
CODEN: GWXXBX
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DE 2339396	A1	19750220	DE 1973-2339396	19730803
DE 2339396	C2	19840628		
US 3998810	A	19761221	US 1974-487423	19740710
US 487423	A1	19760302		
CA 1037039	A1	19780822	CA 1974-205557	19740724
GB 1408261	A	19751001	GB 1974-33401	19740729
NL 7410220	A	19750205	NL 1974-10220	19740730
NL 176261	B	19841016		
NL 176261	C	19850318		
JP 50041829	A2	19750416	JP 1974-87432	19740730
JP 58043388	B4	19830927		
AU 7471808	A1	19760205	AU 1974-71808	19740730
CH 605640	A	19781013	CH 1974-10495	19740730
FI 7402296	A	19750204	FI 1974-2296	19740731
FI 59585	B	19810529		
FI 59585	C	19810910		
ES 428818	A1	19760816	ES 1974-428818	19740731
FR 2239994	A1	19750307	FR 1974-26716	19740801
ZA 7404923	A	19750924	ZA 1974-4923	19740801
AT 7406313	A	19761215	AT 1974-6313	19740801
AT 338274	B	19770810		
SE 7409989	A	19750204	SE 1974-9989	19740802
SE 421693	B	19820125		
SE 421693	C	19820506		
US 4029783	A	19770614	US 1975-638874	19751208
AT 7606138	A	19761215	AT 1976-6138	19760818
AT 338280	B	19770810		

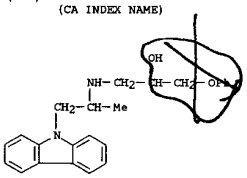
PRIORITY APPLN. INFO.: DE 1973-2339396 A 19730803
US 1974-487423 A3 19740710
AT 1974-6313 A 19740801

GI For diagram(s), see printed CA Issue.
AB Eleven propanols I [R = R1 = H; or RR1 = chem. bond, S, or CH2CH2; R2 = H or Me; Z = CH2CH2, (CH2)3, CH2CHMe, or CH2CH(OH)CH2] or their fumarates were prepd. and useful as cardiac and circulation-stimulating drugs (no data). Thus, 5-(2-aminoethyl)-10,11-dihydrodibenz[b,f]azepine and 1,2-epoxy-3-phenoxypropane were heated at 170.degree. to give 32.7% I (RR1

L7 ANSWER 14 OF 18 CAPLUS COPYRIGHT 2002 ACS (Continued)
= CH2CH2, R2 = H, Z = CH2CH2). Heating 5-(2,3-epoxypropyl)-10,11-dihydrodibenz[b,f]azepine and H2NCH2CH(OH)CH2OPh at 160.degree. gave 25% I
[RR1 = CH2CH2, R2 = H, Z = CH2CH(OH)CH2].
IT **56080-21-8P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation)
(prepn. and reaction with epoxyphenoxypropane)
RN 56080-21-8 CAPLUS
CN 9H-Carbazole-9-ethanamine, .alpha.-methyl- (9CI) (CA INDEX NAME)



IT **56080-22-9P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of)
RN 56080-22-9 CAPLUS
CN 2-Propanol, 1-[[2-(9H-carbazol-9-yl)-1-methylethyl]amino]-3-phenoxy- (9CI)
(CA INDEX NAME)



L7 ANSWER 15 OF 18 CAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 1968:95679 CAPLUS
DOCUMENT NUMBER: 68:95679
TITLE: Method of treating depression
INVENTOR(S): Rice, Leonard M.; Freed, Meier E.
PATENT ASSIGNEE(S): American Home Products Corp.
SOURCE: U.S., 7 pp.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

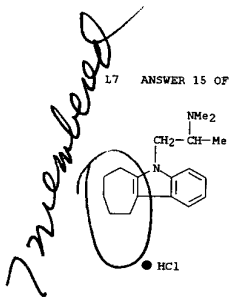
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 3329571		19670704	US	19650203

GI For diagram(s), see printed CA Issue.
AB Depression in humans is improved using I. A soln. of 0.1 mole 2,3-pentamethylenindole (II) in 100 cc. HCONMe2 (DMF) was added slowly to 0.12 mole NaH (6 g. 48% dispersion) suspended in 50 cc. DMF by vigorous stirring, the temp. slowly raised to 30-5.degree. until H evolution ceased, 0.1 mole freshly distd. .gamma.-dimethylaminopropyl chloride (III) added to the suspension, the mixt. stirred and heated to 50.degree. 6 hrs., poured into 300 cc. ice water, and extd. with Et2O, the Et2O layers worked up, and the residue in abs. EtOH treated with dry HCl to give 1-(.gamma.-dimethylaminopropyl)-2,3-pentamethylenindole-HCl (IV), m. 207-8.degree. (EtOH-Me2CO). (This is procedure A). The free base was prepd. from 0.1 mole II and 0.1 mole III as described. The reaction mixt. was poured into ice water, the oil layer extd. with Et2O, the Et2O soln. washed with aq. NaCl soln. several times with 100-cc. portions 2N HCl, the aq. acid soln. basified with NaOH, the oil extd. with Et2O, and the Et2O soln. washed with aq. NaCl, dried, and evapd. to give the free base (V) corresponding to IV as a viscous yellow oil, b0.1 180-3.degree.; maleate m. 101-2.degree. (CH2Cl2-Et2O); V methiodide, m. 186-7.degree.. III (0.1 mole) was added to a well-stirred suspension of 0.1 mole of the Na deriv. of 2,3-hexamethylenindole (VI) in 150 cc. DMF. After 6 hrs., the reaction mixt. was poured into 500 cc. ice water, the oil layer extd. with Et2O, the Et2O soln. washed with H2O, extd. with N HCl until acidic, and then with H2O, the aq. soln. washed with Et2O, basified, and extd. with Et2O, and the Et2O soln. washed with H2O, dried, and evapd. to give an orange

L7 ANSWER 15 OF 18 CAPLUS COPYRIGHT 2002 ACS (Continued)
oil. This was dissolved in abs. EtOH, dry HCl passed until the soln. was strongly acidic, and Et2O added to ppt. 46.7% 1-(.gamma.-dimethylaminopropyl)-2,3-hexamethylenindole-HCl (VII), m. 146-7.degree. (EtOH-AcOEt). (This is procedure B). II (0.1 mole) and 0.12 mole 48% NaH in 100 cc. DMF was stirred and warmed to 40.degree., 0.1 mole dimethylaminoisopropyl chloride added, the mixt. stirred at 40.degree. 6 hrs., and the suspension poured into 250 cc. ice water and acidified with concd. HCl to give 50.5% 1-(.beta.-dimethylaminopropl)-2,3-pentamethylenindole-HCl, m. 189-90.degree. (abs. EtOH). A soln. of 0.1 mole II was treated with NaH in 100 cc. DMF as described. To this stirred suspension, 0.1 mole freshly distd. 1-(.beta.-chloroethyl)piperidine (VIII) was added, the mixt. kept 16 hrs., poured into 300 cc. ice water, acidified with concd. HCl, and extd. with Et2O, the aq. soln. basified with 40% NaOH, the oil that sepd. taken up in Et2O, the Et2O soln. washed with satd. NaCl soln., dried, and evapd., the residual oil dissolved in 50 cc. EtOH, dry HCl passed through, and Me2CO added until crystn. occurred to give 43.8% 1-(.beta.-piperidinoethyl)-2,3-pentamethylenindole-HCl, m. 209-10.degree.. (This is procedure C). A soln. of 0.1 mole II was converted to the Na deriv. using 0.12 mole 48% NaH in 150 cc. DMF, 0.1 mole freshly distd. diethylaminoethyl chloride was added dropwise, the mixt. stirred at 50.degree. 6 hrs. and poured into 33 cc. ice water, 15-20 cc. concd. HCl added, the aq. soln. extd. with Et2O several times, the aq. layer basified, the product taken up in Et2O, and the Et2O soln. washed with satd. aq. NaCl, dried, and evapd. in vacuo to give the free base which was dissolved in 50 cc. iso-PrOH and 4.8 g. fumaric acid in 200 cc. iso-PrOH added to give 34.5% 1-(.beta.-diethylaminoethyl)-2,3-pentamethylenindole fumarate, m. 187-8.degree. (iso-PrOH); the free base methiodide m. 186-7.degree.. A suspension of 0.1 mole of the Na deriv. of II in 150 cc. DMF was treated with 0.1 mole freshly distd. 4-(.beta.-chloroethyl)morpholine (IX) at 50.degree. 6 hrs., the mixt. poured into 300 cc. ice water, 15-20 cc. concd. HCl added, the mixt. extd. several times with Et2O, and the aq. phase sepd. and allowed to stand to give 71.6% 1-(.beta.-morpholinoethyl)-2,3-pentamethylenindole-HCl, m.

L7 ANSWER 15 OF 18 CAPLUS COPYRIGHT 2002 ACS (Continued)
181-2.degree. (dil. HCl). A suspension of 0.1 mole of the Na deriv. of II was treated with 0.1 mole freshly distd. 1-(.beta.-chloroethyl)pyrrolidine (X) at 50.degree. 6 hrs., the mixt. poured into 300 cc. ice water, 15-20 cc. concd. HCl added, this mixt. extd. several times with Et2O, the aq. layer basified, the product taken up in Et2O, and the Et2O soln. worked up to give 9 g. 1-(.beta.-pyrrolidinoethyl)-2,3-pentamethylenindole b0.2 193-6.degree.; fumarate m. 244-5.degree.. Acrylonitrile (0.20 mole) was added slowly with cooling to a soln. of 0.2 mole II and 4 cc. trimethylbenzylammonium methiodide (40% in MeOH) in 100 cc. C6H6. The reaction temp. reached 50.degree. and dropped slowly. The mixt. was stirred and addnl. hr., 5 cc. concd. HCl added, and the C6H6 soln. worked up to give 56.6% 1-(.beta.-cyanoethyl)-2,3-pentamethylenindole (XI), m. 95-6.degree. (Me2CO-MeOH). (This is procedure D). A soln. of 0.1 mole XI in 100 cc. dry C6H6 was added slowly to a stirred suspension of 0.15 mole LiAlH4 in 500 cc. dry Et2O, the mixt. heated to reflux and stirred overnight, 30 cc. H2O added slowly with cooling, the mixt. kept one hr. and filtered, and the filtrate evapd. to give 81.5% 1-(.gamma.-aminopropyl)-2,3-pentamethylenindole, b0.7 190-2.degree.; HCl salt m. 271-2.degree. (MeOH-Me2CO). (This is procedure E). Also, 1-(.gamma.-dimethylaminopropyl)-2,3-pentamethylene-5-fluoroindole was prepd. from 0.05 mole of the 5-fluoro deriv. of II and 0.05 mole III using procedure A. The free base b0.3 178-80.degree.; HCl salt m. 177-80.degree.. Also, 1-(.gamma.-dimethylaminopropyl)-2,3-pentamethylene-5-chloroindole was prepd. from 0.5 mole of the 5-chloro deriv. of II and 6.08 g. III using procedure A. The base b0.05 185-8.degree. (62.5% yield); fumarate salt m. 141-2.degree.. 1-(.beta.-Dimethylaminoethyl)-2,3-pentamethylenindole was prepd. from 0.05 mole II and 0.05 mole .beta.-dimethylaminoethyl chloride (XII) using procedure A. The base b0.05 131-6.degree.; fumarate m. 219-21.degree.. 1-(.beta.-Dimethylaminoethyl)-2,3-hexamethyleninolde was prepd. from 9.96 g. VI and 5.38 g. XII using procedure B. The free base b0.3 180-3.degree.; fumarate m. 198.5-201.0.degree.. 1-(.beta.-Piperidinoethyl)-2,3-hexamethylenindole was prepd. from 0.05 mole VI and 0.5 mole VIII using procedure C. The fumarate m. 224.0-4.5.degree. (decompn.). 1-(.gamma.-Dimethylaminopropyl)-2,3-tridecamethylenindole was prepd. from 0.03 mole 2,3-tridecamethylenindole and 0.03 mole III using procedure A. The fumarate

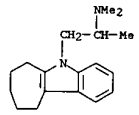
L7 ANSWER 15 OF 18 CAPLUS COPYRIGHT 2002 ACS (Continued)
m. 147.5-49.0.degree.. II (0.05 mole) was treated with 0.05 mole N,N-dimethyl-.beta.-phenyl-.beta.-chloroethylamine using procedure A. The
free base m. 120-2.degree.; fumarate m. 195-7.degree..
1-[.gamma.-(4-methyl-1-piperazinyl)propyl]-2,3-pentamethylenindole was
prepd. from 0.027 mole II and 0.027 mole 1-methyl-4-(.gamma.-chloropropyl)piperazine (XIII) using procedure A. The difumarate m. 217.5-18.5.degree.. Treatment of 0.0276 mole VI with 0.0276 mole XIII
using procedure A gave
1-[.gamma.-(4-methyl-1-piperazinyl)propyl]-2,3-hexamethylenindole-2-HCl, m. 239-42.degree.; difumarate m. 216-17.degree..
Also,
1-(.gamma.-dimethylaminopropyl)-2,3-pentamethylene-5-nitroindole was
prepd. from 0.02 mole of the 5-nitro deriv. of II and 0.02 mole III using
procedure A. The free base m. 77.5-80.0.degree.; HCl salt m. 220-3.degree.; fumarate (XIV) m. 178-80.degree..
1-(.beta.-Cyanoethyl)-
2,3-hexamethylenindole (XV) was prepd. from 0.5 mole VI, 0.55 mole acrylonitrile, and 2 cc. trimethylbenzylammonium methoxide using procedure
D. XV (0.107 mole) was converted to 1-(.gamma.-aminopropyl)-2,3-hexamethylenindole (XVI), b0.1 162-5.degree., n20D 1.5959, using procedure
E. XIV (0.01 mole) was dissolved in 100 cc. MeOH and hydrogenated over
100 mg. PtO2 at 45 psi. and 75.degree.. After 4 hrs., the catalyst was
filtered off, the solvent evapd. in vacuo, the residue taken up in H2O,
the mixt. basified with 10% NaOH and extd. with Et2O, and the Et2O phase
worked up and treated with dry HCl to give
1-(.gamma.-dimethylaminopropyl)-
2,3-pentamethylene-5-aminoindole-HCl, m. 260-1.degree. (iso-PrOH). To a
soln. of 0.045 mole XVI in 50 cc. MeOH, 0.1 mole ethylene oxide was added
slowly. After 2 days, the MeOH was evapd. and the residue distd. to give
77.5%
1-[.gamma.-bis(2-hydroxyethyl)-aminopropyl]-2,3-hexamethylenindole, b0.001 245-50.degree.. Using procedure A, 0.025 mole 2,3-octamethylenindole was treated with 0.025 mole III. The fumarate m. 174-6.degree. (decompn.). II (0.03 mole) was treated with 0.033 mole XIII
using procedure A to give 1-(.gamma.-piperazinopropyl)-2,3-pentamethylenindole; difumarate m. 172-4.degree.. VI (0.05 mole) was treated with 0.05 mole IX using procedure A to give 1-(.beta.-morpholinoethyl)-2,3-hexamethylenindole; fumarate m. 174-6.degree.. Using
procedure A, 0.04 mole VI was treated with 0.04 mole X to give 1-(.beta.-pyrrolidinoethyl)-2,3-hexamethylenindole; fumarate m.



L7 ANSWER 15 OF 18 CAPLUS COPYRIGHT 2002 ACS (Continued)
231-3.degree.. XI (0.17 mole) dissolved in 300 cc. abs. EtOH was satd.
with dry HCl, 2 cc. H2O added and the mixt. refluxed 2 hrs., cooled to room temp., the sepd. NH4Cl filtered, the filtrate concd. in vacuo, the
residue taken up in Et2O, and the Et2O ext. worked up to yield 31 g.
1-(.beta.-carbethoxyethyl)-2,3-pentamethylenindole (XVII), b0.05 220-5.degree.. (This is procedure F). XVII (0.11 mole) in 200 cc. dry
Et2O was added slowly to a stirred suspension of 0.05 mole LiAlH4 in 200
cc. dry Et2O, the reaction mixt. refluxed 4 hrs. and cooled, 12 cc. H2O
added dropwise, and then 50 cc. iso-PrOH, the suspension filtered, and the
filtrate concd. to yield 82.3% 1-(.gamma.-hydroxypropyl)-2,3-pentamethylenindole, b0.05 210-15.degree.. Then, 0.02 mole of the above
compd., 10 cc. 48% aq. HBr, and 2 cc. concd. H2SO4 was refluxed 1.5 hrs.,
cooled, poured onto ice, and extd. with Et2O to give 2.8 g. 1-(.gamma.-bromopropyl)-2,3-pentamethylenindole, b0.05 185-90.degree.. Then, a mixt. of 0.026 mole this compd. and 0.03 mole N-(.beta.-hydroxyethyl)piperazine in 100 cc. xylene was refluxed 24 hrs., cooled,
and worked up and dry HCl added to ppt. 1-[.gamma.-[4-(.beta.-hydroxyethyl)piperazino]propyl]-2,3-pentamethylenindole-HCl, m. 209-10.degree. (EtOH). Using procedure A, the 5-methyl deriv. of II was
treated with III to give 1-(.gamma.-dimethyl-aminopropyl)-2,3-pentamethylene-5-methylindole fumarate, m. 141.5-5.0.degree.. XV was converted to 1-(.beta.-carbomethoxyethyl)-2,3-hexamethylenindole, m. 62-4.degree., using procedure F with 1250 cc. MeOH and 5 cc. H2o. This
compd. (10 g.) in 50 cc. MeOH satd. at 0.degree. with MeNH2 was kept at
room temp. 48 hrs. to give 1-(.beta.-methylcarbamoylethyl)-2,3-hexamethylenindole, m. 115-16% (MeOH). Then, 11 g. of this product in 500
cc. C6H6 was treated with 10 g. LiAlH4 in 1 l. dry Et2O and the mixt. decompd. with 25 cc. H2O to yield 1-(.gamma.-methylaminopropyl)-2,3-hexamethylenindole, b0.1 160-70.degree.; HCl salt m. 180-1.degree.. The
results of clinical tests of VII were given
IT **21283-91-0P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of)
RN 21283-91-0 CAPLUS
CN Cyclohept[b]indole,
5-[2-(dimethylamino)propyl]-5,6,7,8,9,10-hexahydro-, monohydrochloride (8CI) (CA INDEX NAME)

L7 ANSWER 16 OF 18 CAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 1964:425255 CAPLUS
DOCUMENT NUMBER: 61:25255
ORIGINAL REFERENCE NO.: 61:4300f-g
TITLE: Antidepressant agents. Derivatives of 2,3-polymethyleneindoles
AUTHOR(S): Rice, Leonard M.; Hertz, Elisabeth; Freed, Meier E.
CORPORATE SOURCE: Wyeth Labs., Philadelphia, PA
SOURCE: J. Med. Chem. (1964), 7(3), 313-19
DOCUMENT TYPE: Journal
LANGUAGE: Unavailable
AB A series of substituted 2,3-(polymethylene)indoles was prepd. from phenylhydrazines and alicyclic ketones by the Rogers-Carson modification
(CA 42, 1261a) of the Fischer indole syntheses or by the method of Buu Hoi
(CA 44, 7307c). Alkylation of the Na deriv. of the (polymethylene)indoles
with dialkylaminoalkyl chlorides gave N-alkyl derivs. The Na derivs. were
prepd. by treating the indoles in HCONMe2 with 48% NaH mineral oil with
HCONMe2 as solvent. The compds. were tested for central nervous system
activity and weight loss activity. Some were active as antidepressants.
In the weight loss test, 5(3-dimethylaminopropyl)-6,7,8,9,10,11-hexahydro-
5H- cyclooct-[b]indole was the most active. The compds. are in the same
psychopharmacol. family as imipramine.
IT **100149-95-9**, Cyclohept[b]indole, 5-[2-(dimethylamino)propyl]-5,6,7,8,9,10-hexahydro-, hydrochloride **101058-93-9**, 5H-Cyclooct[b]indole,
5-[2-(dimethylamino)propyl]-6,7,8,9,10,11-hexahydro-, fumarate
(prepn. of)
RN 100149-95-9 CAPLUS
CN Cyclohept[b]indole,
5-[2-(dimethylamino)propyl]-5,6,7,8,9,10-hexahydro-, hydrochloride (7CI) (CA INDEX NAME)

L7 ANSWER 16 OF 18 CAPLUS COPYRIGHT 2002 ACS (Continued)

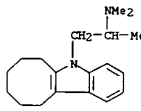


●x HCl

RN 101058-93-9 CAPLUS
CN 5H-Cyclooct[b]indole,
5-[2-(dimethylamino)propyl]-6,7,8,9,10,11-hexahydro-
, fumarate (7CI) (CA INDEX NAME)

CM 1

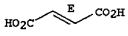
CRN 101058-92-8
CMF C19 H28 N2



CM 2

CRN 110-17-8
CMF C4 H4 O4

Double bond geometry as shown.



L7 ANSWER 17 OF 18 CAPLUS COPYRIGHT 2002 ACS

ACCESSION NUMBER: 1962:442865 CAPLUS
DOCUMENT NUMBER: 57:42865
ORIGINAL REFERENCE NO.: 57:8580f-i,8581a-i
TITLE: Thianaphtheno[3,2-b]indoles
INVENTOR(S): Werner, Lincoln H.
PATENT ASSIGNEE(S): Ciba Pharmaceutical Products, Inc.
SOURCE: 10 pp.
DOCUMENT TYPE: Patent
LANGUAGE: Unavailable
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 3024248		19620306	US	19560918

GI For diagram(s), see printed CA Issue.

AB The patent is concerned with 10-RX-thianaphtheno[3,2-b]indoles having a nucleus of the formula I, wherein X is a lower hydrocarbon and R is an amino group, and also with the salts and quarternary ammonium compds. The compds. are antihistaminics and also have anesthetic and antifungal effects. The compds. may be prepd. by the reaction of a 10-unsubstituted thianaphtheno[3,2-b]indole with an amino(lower alkyl) chloride. For example, 7-chlorothianaphtheno[3,2b]indole (5.16 g.) is suspended in 30 ml. toluene. Sodamide (0.8 g.) is added and the mixt. is stirred with refluxing 4 hrs. A soln. of 2.72 g. 2-diethylaminoethyl chloride in toluene is added and stirring and refluxing continued 3 more hrs. The mixt. is cooled to room temp. and filtered. The filtrate is evapd. to dryness, the oily residue is dissolved in ethyl acetate and treated with anhyd. HCl to ppt. the hydrochloride of 7-chloro-10-(2-diethylaminoethyl)thianaphtheno[3,2-b]indole, m. 213-15.degree. (iso-PrOH). The starting material, 7-chlorothianaphtheno[3,2-b]indole, m. 269-70.degree., is obtained as follows: 27 g 6-chloro-3-hydroxythianaphthene is dissolved in 100 ml. glacial acetic acid. Phenyl-hydrazine (16 g.) is added slowly with stirring at 80.degree.. Heating is continued 30 min. The product seps. The mixt. is cooled and the product filtered off. Also prepd. were 7-chloro-10-(2-dimethylaminopropyl)thianaphtheno[3,2-b]- indole-HCl, m. 262-4.degree. ; the 7-chloro-10-(2-dimethylaminoethyl) analog, m. above 275.degree. ; the 7-chloro-10-(3-diethylaminopropyl) analog, m. 185-7.degree. ; the 7-chloro-10-(3-dimethylaminopropyl) analog, m. above 275.degree. ; the 7-chloro-10-(2pyrrolidinoethyl) analog, m. above 300.degree.; the 7-methoxy-10(2-dimethylaminopropyl) analog, m. 272-4.degree. (starting from 7-methoxythianaphtheno[3,2-b]indole, m. 275-7.degree.); the 7-ethoxy-10-(2-dimethylaminopropyl) analog, m. 295-7.degree. ; the 3,7-dichloro-10-(3-dimethylaminopropyl) analog, m. above 275.degree. (from 3,7-dichlorothianaphtheno[3,2-b]in- dole, m. 260-2.degree.); the 3-chloro-7-methoxy-10-(2-dimethyl-aminopropyl) analog, m. 270-2.degree.

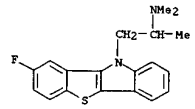
L7 ANSWER 17 OF 18 CAPLUS COPYRIGHT 2002 ACS (Continued)

(from 3-chloro-7-methoxythianaphtheno[3,2-b]indole, m. above 300.degree.); 3-chloro-7ethoxy-10-(2-dimethylaminopropyl) analog (free base), m. 174-6.degree. (the HCl salt m. 282-5.degree.) (made from 3-chloro-7-ethoxythianaphtheno[3,2-b]indole, m. 295-300.degree.); the 3chloro-7-ethoxy-10-(3-dimethylaminopropyl) analog HCl salt, m. 292-4.degree. ; the 7-chloro-10-(2-piperidinoethyl) analog, m. 273-8.degree. ; the 7-chloro-10-(2-morpholinoethyl) analog, m. 288-92.degree. ; the methochloride of the 7-chloro-10-(2-dimethyl-aminopropyl) analog (free base), m. 247-50.degree.; the 10-(2dimethylaminopropyl) analog HCl salt, m. 202-6.degree. ; the 7-chloro-9-methyl-10-(2-dimethylaminopropyl) analog, m. 252-5.degree. (from 7-chloro-9-methylthianaphtheno[3,2-b]indole, m. 168-72.degree.); the 3,7-dimethoxy-10-(2-dimethylaminopropyl) analog, m. 244-6.degree. (from 3,7-dimethoxythianaphtheno[3,2-b]indole, m. 253-5.degree.); the free base (m. 105-8.degree.) of 7-chloro-10-(2-dimethylaminopropyl) analog (the methanesulfonate m. 162-3.degree. ; tartrate softens at 75.degree. ; sulfate m. 197-8.degree.); the 7-chloro-3-methoxy-10-(2-dimethylaminopropyl) analog, m. 225-9.degree. (from 7-chloro-3-methoxythianaphtheno[3,2-b]indole, m. 232-4.degree.); the 3-nitro-10-(2diethylaminoethyl) analog, m. 258-9.degree. (the free base m. 8890.degree.); the 10-(2-diethylaminoethyl) analog, m. 191-3.degree. ; the 3-chloro-10-(2-dimathylaminopropyl) analog, m. 245.degree. (decompn.) (front 3-chlorothianaphtheno[3,2-b]indole, m. 281-3.degree.); the 8-chloro-10-(2-dimethylaminopropyl) analog, m. 255 6.degree. (decompn.); the 6-chloro-10-(2-dimethylaminopropyl) analog, m. 256-9.degree. ; the 6-chloro-10-(3-dimethylaminopropyl) analog, m. 172-6.degree. ; the 8-methyl-10-(2-dimethylaminopropyl) analog, m. 204-5.degree. ; the 10-[3-(N-cyclopentyl-N-methylamino)propyl] analog, m. 200-4.degree. ; the 7-chloro-10-(2-ethylaminoethyl) analog, m. 310-14.degree.; the 7-methoxy-10-(2-piperidinoethyl) analog, m. 258-61.degree.; the 7-bromo-10-(2-dimethylaminopropyl) analog, m. 257-8.degree. (decompn.) (from 6-bromo-3-hydroxythianaphthene, m. 158-60.degree., 7-bromothianaphtheno[3,2-b]indole, m. 280-2.degree.); the 2,7-dichloro-10-(2-dimethylaminopropyl) analog, m. 267-70.degree. (from 2,7-dichlorothianaphtheno[3,2-b]indole, m. 237-9.degree.); the 2-chloro-10-(2-dimethylaminopropyl) analog, m. 182-4.degree. ; the 2-chloro-10-(3 dimethylaminopropyl) analog, m. 261-3.degree. ; the 2-chloro-10-(2-piperidinoethyl) analog, m. 264-6.degree. ; the 4-chloro-10-(2-dimethylaminopropyl) analog, m. 225-7.degree. ; the 4-chloro-10-(2-piperidinoethyl) analog, m. 284-6.degree. (from 4-chlorothianaphthen.degree. [3,2-b]indole, m. 164-6.degree.); the 8-bromo-10-(2-dimethylaminopropyl) analog, m. 260-2.degree. (from 8-bromothianaphtheno[3,2-b]indole, m. 223-5.degree.); the 8-fluoro-10-(2-dimethylaminopropyl) analog, m. 255-7.degree. (from p-fluorophenylthioglycolic acid, m. 62-4.degree. and 8-fluorothianaphtheno[3,2-b]indole, m. 239-41.degree.; the 7,8-dichloro-10-(2-dimethylaminopropyl) analog, m. 267-9.degree. (from 3,4-dichlorothiophenol, b0.25 76-8.degree., 3,4-dichlorophenylthioglycolic acid, m. 71-2.degree., 7,8-dichlorothianaphtheno[3,2-b]indole, m. 247-9.degree.); the d-tartrate of 7-methoxy-10 - (5 - diethylamino-2-pentyl)thianaphtheno[3,2-b]indole, which liquifies at 80-5.degree. (from N'-phenyl-N'-(5-diethylamino-2-pentyl)hydrazine, b1 135-42.degree.; the 3-amino-10-(2diethylaminoethyl) analog HCl salt, m. 214.degree. (decompn.); the 7-fluoro-10-(2-dintethylaminopropyl) analog, m. 267-71.degree. (decompn.) (front m-fluorophenylthioglycolic acid, m. 75-7.degree., 6-fluoro-3-hydroxythianaphthene, m. 92-5.degree., 7-fluorothianaphtheno[3,2-b]indole, m. 261-4.degree.); the tartrate of 7 - methoxy - 10 - (6 - piperidiaohexyl)thianaphtheno [3,2-b]indole, m. 81-5.degree. ; the 10-(3-dimethylaminopropyl) analog HCl salt, m. 189-90.degree.; the 9-chloro-10-(2-dimethylaminopropyl) analog, m. 252-5.degree. (from 9-chlorothianaphtheno[3,2-b]indole, m. 124-8.degree.); the 8,9-dichloro-10-(2-dimethylaminopropyl) analog, m. 272-4.degree. (from 7,8-dichlorothianaphtheno[3,2-b]indole, m. 247-9.degree., and 8,9-dichlorothianaphtheno[3,2 b]indole, m. 188-90.degree.); and the d tartrate of 8 - methyl - 10 - (2- dimethylaminopropyl)thianaphtheno [3,2b]indole, m. 115.degree. (decompn.).

IT **437-65-0**, 10H-[1]Benzothieno[3,2-b]indole, 10-[2-(dimethylamino)propyl]-8-fluoro-, hydrochloride **437-66-1**, 10H-[1]Benzothieno[3,2-b]indole, 10-[2-(dimethylamino)propyl]-7-fluoro-, hydrochloride **98783-33-6**, 10H-[1]Benzothieno[3,2-b]indole, 8-bromo-10-[2-(dimethylamino)propyl]-, hydrochloride **98783-34-7**, 10H-[1]Benzothieno[3,2-b]indole, 7-bromo-10-[2-(dimethylamino)propyl]-, hydrochloride **98862-13-6**, 10H-[1]Benzothieno[3,2-b]indole, 8,9-dichloro-10-[2-(dimethylamino)propyl]-, hydrochloride **98883-46-6**, 10H-[1]Benzothieno[3,2-b]indole, 4-chloro-10-[2-(dimethylamino)propyl]-, hydrochloride **98883-47-7**, 10H-[1]Benzothieno[3,2-b]indole, 6-chloro-10-[2-(dimethylamino)propyl]-, hydrochloride **98883-49-9**, 10H-[1]Benzothieno[3,2-b]indole, 7-chloro-10-[2-(dimethylamino)propyl]- **98883-51-3**, 10H-[1]Benzothieno[3,2-b]indole, 8-chloro-10-[2-(dimethylamino)propyl]-, hydrochloride **98883-52-4**, 10H-[1]Benzothieno[3,2-b]indole, 9-chloro-10-[2-(dimethylamino)propyl]-, hydrochloride **98999-60-1**, 10H-[1]Benzothieno[3,2-b]indole, 2-chloro-10-[2-(dimethylamino)propyl]-, hydrochloride **98999-62-3**, 10H-[1]Benzothieno[3,2-b]indole, 3-chloro-10-[2-(dimethylamino)propyl]-, hydrochloride **99750-74-0**, 10H-[1]Benzothieno[3,2-b]indole, 7-chloro-10-[2-(dimethylamino)propyl]-9-methyl-, hydrochloride **99886-37-0**, 10H-[1]Benzothieno[3,2-b]indole, 7-chloro-10-[2-(dimethylamino)propyl]-, methanesulfonate **99889-25-5**, 10H-[1]Benzothieno[3,2-b]indole, 3-chloro-10-[2-

(dimethylamino)propyl]-7-ethoxy-, hydrochloride **99889-26-6**, 10H-[1]Benzothieno[3,2-b]indole, 3-chloro-10-[2-(dimethylamino)propyl]-7-ethoxy- **99905-66-5**, 10H-[1]Benzothieno[3,2-b]indole, 7-chloro-10-[2-(dimethylamino)propyl]-3-methoxy-, hydrochloride **100000-76-8**, 10H-[1]Benzothieno[3,2-b]indole, 3-chloro-10-[2-(dimethylamino)propyl]-7-methoxy- **100022-21-7**, 10H-[1]Benzothieno[3,2-b]indole, 3-chloro-10-[2-(dimethylamino)propyl]-7-methoxy-, hydrochloride **100195-01-5**, 10H-[1]Benzothieno[3,2-b]indole, 10-[2-(dimethylamino)propyl]-7-ethoxy-, hydrochloride **100195-12-8**, 10H-[1]Benzothieno[3,2-b]indole, 10-[2-(dimethylamino)propyl]-3,7-dimethoxy-, hydrochloride **101942-65-8**, 10H-[1]Benzothieno[3,2-b]indole, 7,8-dichloro-10-[2-(dimethylamino)propyl]-, hydrochloride **106480-41-5**, 10H-[1]Benzothieno[3,2-b]indole, 10-[2-(dimethylamino)propyl]-7-methoxy-, hydrochloride **106785-31-3**, 10H-[1]Benzothieno[3,2-b]indole, 10-[2-(dimethylamino)propyl]-, hydrochloride (prepn. of)

RN 437-65-0 CAPLUS
CN 10H-[1]Benzothieno[3,2-b]indole-10-ethanamine, 8-fluoro-N,N,.alpha.-trimethyl-, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

RN 437-66-1 CAPLUS
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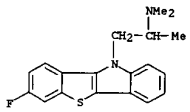
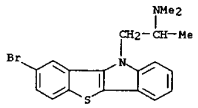


● HCl

RN 98783-33-6 CAPLUS
CN 10H-[1]Benzothieno[3,2-b]indole, 8-bromo-10-[2-(dimethylamino)propyl]-, hydrochloride (6CI, 7CI) (CA INDEX NAME)



●x HCl

RN 98783-34-7 CAPLUS
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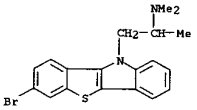
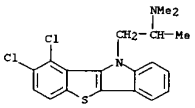


●x HCl

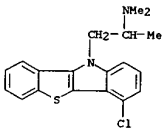
RN 98862-13-6 CAPLUS

CN 10H-[1]Benzothieno[3,2-b]indole, 8,9-dichloro-10-[2-(dimethylamino)propyl]-, hydrochloride (6CI, 7CI) (CA INDEX NAME)



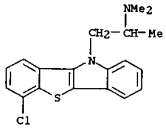
●x HCl

RN 98883-46-6 CAPLUS
CN 10H-[1]Benzothieno[3,2-b]indole, 4-chloro-10-[2-(dimethylamino)propyl]-, hydrochloride (7CI) (CA INDEX NAME)



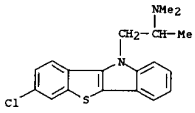
●x HCl

RN 98883-47-7 CAPLUS
CN 10H-[1]Benzothieno[3,2-b]indole, 6-chloro-10-[2-(dimethylamino)propyl]-, hydrochloride (7CI) (CA INDEX NAME)

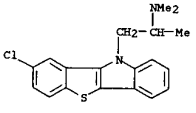


●x HCl

RN 98883-49-9 CAPLUS
CN 10H-[1]Benzothieno[3,2-b]indole, 7-chloro-10-[2-(dimethylamino)propyl]-, (7CI) (CA INDEX NAME)



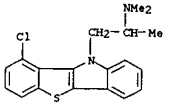
RN 98883-51-3 CAPLUS
CN 10H-[1]Benzothieno[3,2-b]indole, 8-chloro-10-[2-(dimethylamino)propyl]-, hydrochloride (7CI) (CA INDEX NAME)



●x HCl

RN 98883-52-4 CAPLUS
CN 10H-[1]Benzothieno[3,2-b]indole, 9-chloro-10-[2-(dimethylamino)propyl]-,

L7 ANSWER 17 OF 18 CAPLUS COPYRIGHT 2002 ACS (Continued)
hydrochloride (7CI) (CA INDEX NAME)



●x HCl

RN 98999-60-1 CAPLUS
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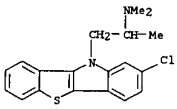
2-chloro-10-[2-(dimethylamino)propyl]-,
hydrochloride (7CI) (CA INDEX NAME)



●x HCl

RN 98999-62-3 CAPLUS
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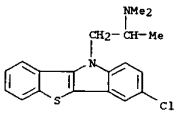
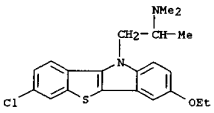
3-chloro-10-[2-(dimethylamino)propyl]-,
hydrochloride (7CI) (CA INDEX NAME)



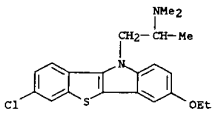
●x HCl

L7 ANSWER 17 OF 18 CAPLUS COPYRIGHT 2002 ACS (Continued)
RN 99889-25-5 CAPLUS
CN 10H-[1]Benzothieno[3,2-b]indole,
3-chloro-10-[2-(dimethylamino)propyl]-7-
ethoxy-, hydrochloride (7CI) (CA INDEX NAME)

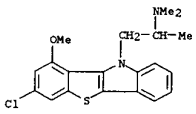


●x HCl

RN 99889-26-6 CAPLUS
CN 10H-[1]Benzothieno[3,2-b]indole,
3-chloro-10-[2-(dimethylamino)propyl]-7-
ethoxy- (7CI) (CA INDEX NAME)



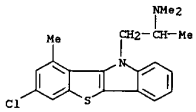
RN 99905-66-5 CAPLUS
CN 10H-[1]Benzothieno[3,2-b]indole,
7-chloro-10-[2-(dimethylamino)propyl]-3-
methoxy-, hydrochloride (6CI, 7CI) (CA INDEX NAME)



●x HCl

L7 ANSWER 17 OF 18 CAPLUS COPYRIGHT 2002 ACS (Continued)

RN 99750-74-0 CAPLUS
CN 10H-[1]Benzothieno[3,2-b]indole,
7-chloro-10-[2-(dimethylamino)propyl]-9-
methyl-, hydrochloride (6CI, 7CI) (CA INDEX NAME)

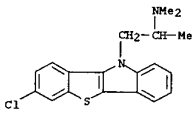


●x HCl

RN 99886-37-0 CAPLUS
CN 10H-[1]Benzothieno[3,2-b]indole,
7-chloro-10-[2-(dimethylamino)propyl]-,
methanesulfonate (6CI, 7CI) (CA INDEX NAME)

CM 1

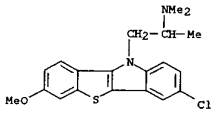
CRN 98883-49-9
CMF C19 H19 Cl N2 S



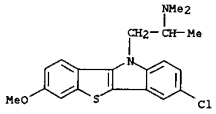
CM 2

CRN 75-75-2
CMF C H4 O3 S

L7 ANSWER 17 OF 18 CAPLUS COPYRIGHT 2002 ACS (Continued)
RN 100000-76-8 CAPLUS
CN 10H-[1]Benzothieno[3,2-b]indole,
3-chloro-10-[2-(dimethylamino)propyl]-7-
methoxy- (6CI, 7CI) (CA INDEX NAME)

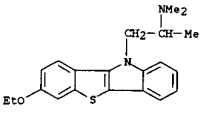


RN 100022-21-7 CAPLUS
CN 10H-[1]Benzothieno[3,2-b]indole,
3-chloro-10-[2-(dimethylamino)propyl]-7-
methoxy-, hydrochloride (6CI, 7CI) (CA INDEX NAME)



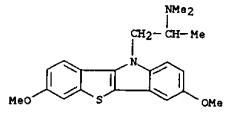
●x HCl

RN 100195-01-5 CAPLUS
CN 10H-[1]Benzothieno[3,2-b]indole,
10-[2-(dimethylamino)propyl]-7-ethoxy-,
hydrochloride (6CI, 7CI) (CA INDEX NAME)



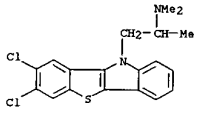
●x HCl

L7 ANSWER 17 OF 18 CAPLUS COPYRIGHT 2002 ACS (Continued)
RN 100195-12-8 CAPLUS
CN 10H-[1]Benzothieno[3,2-b]indole, 10-[2-(dimethylamino)propyl]-3,7-dimethoxy-, hydrochloride (6CI, 7CI) (CA INDEX NAME)



●x HCl

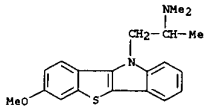
RN 101942-65-8 CAPLUS
CN 10H-[1]Benzothieno[3,2-b]indole, 7,8-dichloro-10-[2-(dimethylamino)propyl]-, hydrochloride (6CI, 7CI) (CA INDEX NAME)



●x HCl

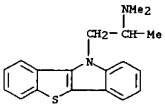
RN 106480-41-5 CAPLUS
CN 10H-[1]Benzothieno[3,2-b]indole, 10-[2-(dimethylamino)propyl]-7-methoxy-, hydrochloride (6CI, 7CI) (CA INDEX NAME)

L7 ANSWER 17 OF 18 CAPLUS COPYRIGHT 2002 ACS (Continued)



● HCl

RN 106785-31-3 CAPLUS
CN 10H-[1]Benzothieno[3,2-b]indole, 10-[2-(dimethylamino)propyl]-, hydrochloride (6CI, 7CI) (CA INDEX NAME)

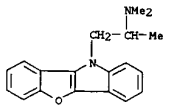


● HCl

L7 ANSWER 18 OF 18 CAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 1962:403967 CAPLUS
DOCUMENT NUMBER: 57:3967
ORIGINAL REFERENCE NO.: 57:792h-i,793a-g
TITLE: Benzofuro[3,2-b]indoles
AUTHOR(S): Schroeder, D. C.; Corcoran, P. O.; Holden, C. A.; Mulligan, M. C.
CORPORATE SOURCE: Ciba Pharm. Prods., Inc., Summit, NJ
SOURCE: J. Org. Chem. (1962), 27, 586-91
DOCUMENT TYPE: Journal
LANGUAGE: Unavailable

AB Several benzofuro[3,2-b]indoles were synthesized and some of the intermediates involved investigated. O-Carboxymethylsalicyclic acid (75 g.) refluxed 3.5 hrs. with 71. g. HCl in 520 ml. anhyd, alc. and 117 ml. C6H6 gave Et O-(carbethoxymethyl)salicylate in 89% yield, b0.1 123-8.degree.. Et bromoacetate (0.03 mole) added to 0.03 mole KOH and the appropriate Et salicylate in anhyd. alc., the mixt. refluxed 12 hrs., cooled, filtered, and the filtrate evapd. gave a solid. This material collected and recrystd. from alc. gave the following substituted Et O-carbethoxymethylsalicylates (5-substituent, % yield, and m.p. given): Cl, 33, 43-5.degree.; I, 37, 73-5.degree.; NO2, 76, 70-1.degree.; Br, -, -. The O-carbethoxymethylsalicylate (0.22 mole) added to 0.22 mole NaOEt in 280 ml. C6H6, the mixt. refluxed 4 hrs., cooled, poured into H2O and dil, NaOH, the layers sepd., the aq. portion treated with dil. HCl gave the product. This material was recrystd. from alc. Three 3(2H)-Benzofuranones were prepd. as follows. The 2-carbethoxy-3(2H)-benzofuranone (0.1 mole) was suspended in 500 ml. 5% NaOH and left at room temp. until the solid dissolved; this time varied from 1 week to 4 weeks. Dil. H2SO4 was added, the product extd. with C6H6, evapd., and the crude product recrystd, from alc. The following 3(2H)-benzofuranones were thus obtained (5,3,2-substituents, % yield, and m.p. given): H, O, H, 65, 97.degree.; Cl, O, H, 27, 114.5-16.0.degree.; I, O, H, 27, 130-1.degree.; H, O, CO2Et, 70, 60-2o.degree.; Cl, O, CO2Et, 55, 126-7.degres. I, O, CO2Et, 90, 120-2.degree.. Benzofuro[3,2-b]indoles were prepd. by a known method. By limiting the batch size to 10 g. or less, the initial exothermic reaction was controlled when the benzofuranone was warmed with PhNHNH2. Benzofuro[3,2-b]indole was N-alkylated in the same manner as previously described for thianaphtheno[3,2-b]indoles. The following benzofuro[3,2-b]indoles were thus obtained (substituents at 8, 2, and 10 positions, % yield, m.p. given): H, H, H, 90, 197-9.degree.; Cl, H, H, 25, 185-7.degree.; H, NO2, H, 4,255.degree.; H, H, CH2CH2NC2H5O, 76, 109-10.degree., H, H, CH2CHMeNMe2HCl, 27, 235-6.degree.. Monohydrazides were prepd. in predominance by adding a slight excess of N2H4.H2O to the Et O-(carbethoxymethyl)salicylate in alc. soln. and leaving 24 hrs. at room temp.; when the amt. of N2H4 was increased to a 2- or 3-fold excess and the time lengthened to 3 days, formation of the dihydrazide was enhanced. In both cases there was a mixt. of products, but these were sepd. by crystn. The following substituted O-(carboxymethyl)salicylic acids were thus obtained (substituents at 1, 2-OCH2COR, and 5-positions, % yield, and m.p. given): OEt, H, NHNH2, 53, 117-19.degree.; OEt, NO2, NHNH2, 25, 153.degree.; OEt, I, NHNH2, 47, 133-5.degree.; NHNH2, H, NHNH2, 30, 164-5.degree.; NHNH2, NO2, NHNH2, 23, 174-6.degree.. Phenylhydrazide of Et O-(carboxymethyl)salicylate was prepd. in the same manner as the hydrazides, except that a reflux period of 3 hrs. was required. Although 2 equivs. of PhNHNH2 was used, only the monophenylhydrazide was isolated in 49% yield, m. 120-1.degree.. The monobenzylamide of 5-bromo-O-(carboxymethyl)salicylic acid was prepd. by the method used for the hydrazides. However, the product was sepd. in a different fashion. After completion of the reaction, H2O was added to ppt. the monoamide in 46% yield, m. 104-5.degree.. The diamide was isolated from the mother liquor in 10% yield, m. 115.degree.. The acylhydrazones were similarly prepd. A slight excess of the aldehyde was added to the hydrazide in alc., the mixt. refluxed 2-3 hrs., cooled, the product collected, and crystd. The following substituted O-(carboxymethyl)salicylic acids were obtained (R of the 1-COR, R2 of the 2-OCH2COR2, and 5-substituent, % yield, and m.p. given): OEt, NO2, NHN:CHC6H4NMe2-p, 40, 179-81.degree.; OEt, NO2, NHN:CHC5H4N-2, 93, 212-13.degree.; OEt, I, NHN:CHC6H6N-4, 77, 21718.degree.. 1-(CCl3CH: NHNCO)-2-(CCl3CH: NNHCOCH2O) C6H4 was obtained in 75% yield, m. 201-2.degree. from the dihydrazide with 31 g. chloral in 70 ml. iso-PrOH by heating 1.5 hrs. and recrystn. of the product from CHCl3-iso-PrOH. The following 3(2H)-benzofuranones were also obtained (5-, 3-, 2-substituents, % yield, and m.p. given): H, O, CON:NPh, 7.5, 180-1.degree.; H, :NNHPh, CO2Et, 60, 126-8.degree.; H, :NNHPh, CON:NPh, 35, 189-90.degree.; H, ONH3NH2+, CO2Et, 74, 137-8.degree.; Cl, :NNHCONH2, CO2Et, 25, 243-4.degree.; H, :NNHPh, H, 48, 168-70.degree.; H, :NNHCSNH2,

L7 ANSWER 18 OF 18 CAPLUS COPYRIGHT 2002 ACS (Continued)
H, 85, 176-8.degree.; I, :NNHCSNH2, H, 60, 212-13.degree..
IT **111637-35-5**, 10H-Benzofuro[3,2-b]indole, 10-[2-(dimethylamino)propyl]-, hydrochloride
(prepn. of)
RN 111637-35-5 CAPLUS
CN 10H-Benzofuro[3,2-b]indole, 10-[2-(dimethylamino)propyl]-, hydrochloride
(7CI) (CA INDEX NAME)



● HCl

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COST IN U.S. DOLLARS                                    SINCE FILE      TOTAL
                                                          ENTRY    SESSION
FULL ESTIMATED COST                                       79.79     265.13

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PROPERTIES for more information.  See STNote 27, Searching Properties
in the CAS Registry File, for complete details:
http://www.cas.org/ONLINE/STN/STNOTES/stnotes27.pdf

=> d his

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L2          1027 S L1 FUL
L3           798 S L2 AND CAPLUS/LC
L4             STRUCTURE UPLOADED
L5           202 S L4 FUL SUB=L2
L6           164 S L5 AND CAPLUS/LC

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L7            18 S L6 FUL

     FILE 'REGISTRY' ENTERED AT 14:47:42 ON 18 SEP 2002

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L8          634 L3 NOT L6

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L13 ANSWER 1 OF 99 CAPLUS COPYRIGHT 2002 ACS

ACCESSION NUMBER: 2000:863132 CAPLUS
DOCUMENT NUMBER: 134:162888
TITLE: Synthesis and in vitro cytotoxic evaluation of N-substituted benzo[5,6]cyclohepta[b]indoles
AUTHOR(S): Joseph, Benoit; Alagille, David; Merour, Jean-Yves; Leonce, Stephane
CORPORATE SOURCE: Institut de Chimie Organique et Analytique, Universite d'Orleans, Orleans, 45067, Fr.
SOURCE: Chemical & Pharmaceutical Bulletin (2000), 48(12), 1872-1876
CODEN: CPBTAL; ISSN: 0009-2363
PUBLISHER: Pharmaceutical Society of Japan
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 134:162888
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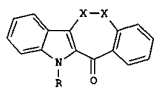


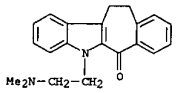
I

AB A new series of N-substituted benzo[5,6]cyclohepta[b]indole derivs. I [X-X = CH:CH, CH2CH2; R = (CH2)2NMe2, (CH2)3NMe2, (CH2)3NH(CH2)2OH, etc.] were synthesized and evaluated for in vitro cytotoxic activities against L1210 murine leukemia and HT29 cell lines. I showed potent antitumor activity and blocked cell cycle progression of L1210 cells in G2 + M phase.

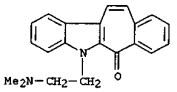
IT **324756-91-4P 324756-93-6P 324756-99-2P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(prepn. and cytotoxic evaluation of N-substituted benzo[5,6]cyclohepta[b]indoles as antitumor agents)

RN 324756-91-4 CAPLUS
CN Benzo[5,6]cyclohept[1,2-b]indol-6(5H)-one, 5-[2-(dimethylamino)ethyl]-11,12-dihydro- (9CI) (CA INDEX NAME)

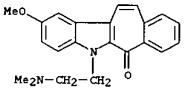
L13 ANSWER 1 OF 99 CAPLUS COPYRIGHT 2002 ACS (Continued)



RN 324756-93-6 CAPLUS
CN Benzo[5,6]cyclohept[1,2-b]indol-6(5H)-one, 5-[2-(dimethylamino)ethyl]- (9CI) (CA INDEX NAME)



RN 324756-99-2 CAPLUS
CN Benzo[5,6]cyclohept[1,2-b]indol-6(5H)-one, 5-[2-(dimethylamino)ethyl]-2-methoxy- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 11 THERE ARE 11 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L13 ANSWER 2 OF 99 CAPLUS COPYRIGHT 2002 ACS

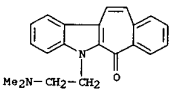
ACCESSION NUMBER: 2000:784039 CAPLUS
DOCUMENT NUMBER: 135:206
TITLE: DNA but not topoisomerases is a target for a cytotoxic benzo[5,6]cyclohepta[b]indol-6-one derivative
AUTHOR(S): Benoit, Joseph; Routier, Sylvain; Merour, Jean-Yves; Colson, Pierre; Houssier, Claude; Bailly, Christian
CORPORATE SOURCE: Institut de Chimie Organique et Analytique, Universite d'Orleans, Orleans, 45067, Fr.
SOURCE: Anticancer Research (2000), 20(5A), 3307-3314
CODEN: ANTRD4; ISSN: 0250-7005
PUBLISHER: International Institute of Anticancer Research
DOCUMENT TYPE: Journal
LANGUAGE: English

AB The interaction of a newly designed benzocycloheptaindol-6-one deriv. with DNA has been investigated by complementary spectroscopic techniques including absorption, circular and linear dichroism. Footprinting measurements were performed to delineate the sequence-selectivity of the drug-DNA interaction and a plasmid relaxation assay was used to study the effects of the drug on human DNA topoisomerases I and II. The results clearly indicated that the test compd. behaves as a typical DNA intercalating agent but does not stimulate DNA cleavage by topoisomerases. At the cellular level, the cytometry measurements showed that the drug provoked a marked accumulation of HL60 human leukemia cells in the G2/M phase of the cell cycle. DNA is thus identified as a valid target for this new series of drugs particularly toxic to human (HL60) and murine (P388) leukemia cells.

IT **324756-93-6P**
RL: BAC (Biological activity or effector, except adverse); BPR (Biological process); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); PROC (Process); USES (Uses)
(DNA but not topoisomerases is target for cytotoxic benzo[5,6]cyclohepta[b]indol-6-one deriv. in leukemia cells)

RN 324756-93-6 CAPLUS
CN Benzo[5,6]cyclohept[1,2-b]indol-6(5H)-one, 5-[2-(dimethylamino)ethyl]- (9CI) (CA INDEX NAME)

L13 ANSWER 2 OF 99 CAPLUS COPYRIGHT 2002 ACS (Continued)



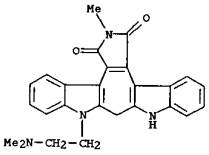
REFERENCE COUNT: 31 THERE ARE 31 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L13 ANSWER 3 OF 99 CAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 1999:633953 CAPLUS
DOCUMENT NUMBER: 132:12434
TITLE: Homoarcyriaflavin: Synthesis of Ring-Expanded Arcyriaflavin Analogues
AUTHOR(S): Mahboobi, Siavosh; Burgemeister, Thomas; Dove, Stefan; Kuhr, Sabine; Popp, Alfred
CORPORATE SOURCE: Faculty of Chemistry and Pharmacy, University Regensburg, Regensburg, D-93040, Germany
SOURCE: Journal of Organic Chemistry (1999), 64(22), 8130-8137
CODEN: JOCEAH; ISSN: 0022-3263
PUBLISHER: American Chemical Society
DOCUMENT TYPE: Journal
LANGUAGE: English

AB The construction of the ring-expanded carbazole system, forming arcyriaflavin homologues, is efficiently accomplished by the reaction of 2,2'-bridged bis-indoles with 3,4-dibromo-2,5-dihydro-1H-2,5-pyrroledione derivs. under Grignard conditions. A ring size of up to nine members in the central ring is achievable. Substitutions either at the indole system or at the imide-N are also possible. The conformation of homoarcyriaflavins as a cross-link between the rigid arcyriaflavins and the flexible arcyriarubins was investigated by NMR, X-ray, and semiempiric quantum chem. calcn. methods.

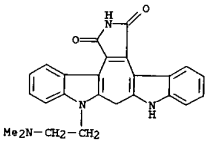
IT **249763-11-9P**
RL: PRP (Properties); SPN (Synthetic preparation); PREP (Preparation) (crystal structure; synthesis of ring-expanded arcyriaflavin analogs)

RN 249763-11-9 CAPLUS
CN Pyrrolo[3',4':6,7]cyclohepta[2,1-b:4,5-b']diindole-1,3(2H,8H)-dione, 8-[2-(dimethylamino)ethyl]-9,10-dihydro-2-methyl- (9CI) (CA INDEX NAME)



IT **249763-09-5P 249763-10-8P 249763-12-0P**
RL: SPN (Synthetic preparation); PREP (Preparation)

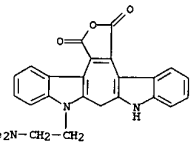
L13 ANSWER 3 OF 99 CAPLUS COPYRIGHT 2002 ACS (Continued)



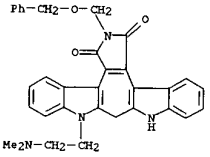
REFERENCE COUNT: 34 THERE ARE 34 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L13 ANSWER 3 OF 99 CAPLUS COPYRIGHT 2002 ACS (Continued)
(synthesis of ring-expanded arcyriaflavin analogs)

RN 249763-09-5 CAPLUS
CN 1H-Furo[3',4':6,7]cyclohepta[2,1-b:4,5-b']diindole-1,3(8H)-dione, 8-[2-(dimethylamino)ethyl]-9,10-dihydro- (9CI) (CA INDEX NAME)



RN 249763-10-8 CAPLUS
CN Pyrrolo[3',4':6,7]cyclohepta[2,1-b:4,5-b']diindole-1,3(2H,8H)-dione, 8-[2-(dimethylamino)ethyl]-9,10-dihydro-2-[(phenylmethoxy)methyl]- (9CI)
(CA INDEX NAME)

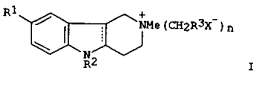


RN 249763-12-0 CAPLUS
CN Pyrrolo[3',4':6,7]cyclohepta[2,1-b:4,5-b']diindole-1,3(2H,8H)-dione, 8-[2-(dimethylamino)ethyl]-9,10-dihydro- (9CI) (CA INDEX NAME)

L13 ANSWER 4 OF 99 CAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 1997:558054 CAPLUS
DOCUMENT NUMBER: 127:248096
TITLE: Preparation of hydrogenated pyrido[4,3-b]indole derivatives and pharmaceutical compositions and a method for treating neurodegenerative diseases
INVENTOR(S): Zefirov, Nikolai Serafimovich; Afanasiev, Andrei Zakharovich; Afanasieva, Svetlana Vasilieva; Bachurin, Sergei Olegovich; Thachenko, Sergei Evgenievich; Grigoriev, Vladimir Viktrovich; Jurovskaya, Marina Abramovna
PATENT ASSIGNEE(S): Isukura Sangyo K. K., Japan
SOURCE: Jpn. Kokai Tokkyo Koho, 156 pp.
CODEN: JKXXAF
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 09216882	A2	19970819	JP 1996-274909	19961017
RU 2140417	C1	19991027	RU 1995-117585	19951017

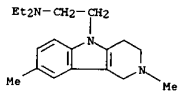
PRIORITY APPLN. INFO.: RU 1995-117585 A 19951017
OTHER SOURCE(S): MARPAT 127:248096
GI



I

AB The title derivs. I [dotted line represents an optional bond; R1 = H, lower alkyl; R2 = 2-[2-(N-methyl-N-R3-methylamino)ethyl]-5-R1-indolyl-3-Me, (CZ)m(CHAlk)l(CH2)kY [Y = H, halo, cycloalkyl, ethenyl which may be substituted with 1-3 lower alkyl, 1 aryl, CO2R4 at the .beta.-position (R4 = H, alkyl, aralkyl, aryl), OR4, alkylsulfonyl, arylsulfonyl, NR5R6 [R5-6 = H, alkyl, cycloalkyl, aralkyl, aryl, 2-, 3-, or 4-pyridyl, alkylsulfonyl, arylsulfonyl; one of R5 and R6 = COR7 (R7 = H, alkyl, alkoxy, cycloalkyl, aralkyl, aryl, 2-, 3-, or 4-pyridyl); or R5R6 = (CH2)2W(CH2)2 [W = O, (CH2)q (q = 0-2), N(CV)rR8 (R8 = H, alkyl, aryl; CV = CH2, CO; r = 0-1)] or NR5R6 = N-phthalimido], OR9 (R9 = H, alkyl, aralkyl, aryl, OH, alkoxy, NR5R6 except N-phthalimido, 2-, 3-, or 4-pyridyl), cyano, CX3 (X = Cl, F, Br), aryl, 2-, 3-, or 4-pyridyl or their quaternary ammonium salt, trialkylammonium, cycloalkylammonium, N-azinium, N-azolium]; CZ = CO, CS, CH2; k = 0-4; l, m, n = 0-1; R3 = (CH2)kY' (Y' = any group given for Y); X = pharmacol. acceptable acid

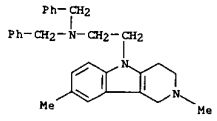
L13 ANSWER 4 OF 99 CAPLUS COPYRIGHT 2002 ACS (Continued)
anion] and their pharmacol. acceptable salts are prepd. by several methods. Also claimed are a method for treating diseases affecting glutamate neuromediator systems, e.g. neurodegenerative disorders, esp.
Alzheimer disease, with I and pharmaceutical compns. contg. I. 2-Methyl-8-isopropyl-2,3,4,5-tetrahydro-1H-pyrido[4,3-b]indole hydrochloride (prepd. from 4-isopropylphenylhydrazine hydrochloride and
N-methyl-4-piperidone) showed ED50 17 mg/kg against convulsive death of
mice induced by injection of NMDA into paracele. Pharmaceutical formulations contg. I were also given.
IT **195326-99-9P 195327-01-6P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological
study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use);
BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of hydrogenated pyrido[4,3-b]indole derivs. as NMDA antagonists
for treating neurodegenerative diseases)
RN 195326-99-9 CAPLUS
CN 5H-Pyrido[4,3-b]indole-5-ethanamine, N,N-diethyl-1,2,3,4-tetrahydro-2,8-
dimethyl-, dihydrochloride (9CI) (CA INDEX NAME)



●2 HCl

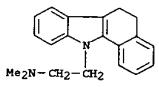
RN 195327-01-6 CAPLUS
CN 5H-Pyrido[4,3-b]indole-5-ethanamine, 1,2,3,4-tetrahydro-2,8-dimethyl-N,N-
bis(phenylmethyl)-, dihydrochloride (9CI) (CA INDEX NAME)

L13 ANSWER 4 OF 99 CAPLUS COPYRIGHT 2002 ACS (Continued)



●2 HCl

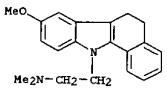
L13 ANSWER 5 OF 99 CAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 1996:568854 CAPLUS
DOCUMENT NUMBER: 125:216768
TITLE: Antimicrobial activity of 5,6-dihydrobenzo-[a]-carbazoles. Part II
AUTHOR(S): Segall, Adriana; Pappa, Horacio; Pizzorno, Maria T.;
Radice, Marcela; Amoroso, Ana; Gutkind, Gabriel O.
CORPORATE SOURCE: Fac. Farm. Bioquim., Univ. Buenos Aires, Buenos Aires,
1113, Argent.
SOURCE: Farmaco (1996), 51(7), 513-516
CODEN: FRMCE8
PUBLISHER: Societa Chimica Italiana
DOCUMENT TYPE: Journal
LANGUAGE: English
AB A new series of twenty-two, 5-6-dihydrobenzo[a]carbazoles was synthesized,
some showing good antibacterial activity. The presence and position of
substituents seems to be crit. for such activity.
IT **4624-81-1P 181704-74-5P 181704-76-7P**
181704-79-0P 181704-81-4P
RL: BAC (Biological activity or effector, except adverse); BPN (Biosynthetic preparation); BSU (Biological study, unclassified); PRP (Properties); BIOL (Biological study); PREP (Preparation)
(antimicrobial activity of 5,6-dihydrobenzo-[a]-carbazoles)
RN 4624-81-1 CAPLUS
CN 11H-Benzo[a]carbazole-11-ethanamine, 5,6-dihydro-N,N-dimethyl-, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

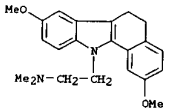
RN 181704-74-5 CAPLUS
CN 11H-Benzo[a]carbazole-11-ethanamine, 5,6-dihydro-8-methoxy-N,N-dimethyl-, monohydrochloride (9CI) (CA INDEX NAME)

L13 ANSWER 5 OF 99 CAPLUS COPYRIGHT 2002 ACS (Continued)

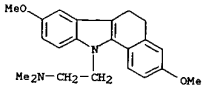


● HCl

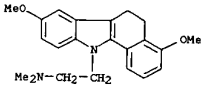
RN 181704-76-7 CAPLUS
CN 11H-Benzo[a]carbazole-11-ethanamine, 5,6-dihydro-2,8-dimethoxy-N,N-dimethyl- (9CI) (CA INDEX NAME)



RN 181704-79-0 CAPLUS
CN 11H-Benzo[a]carbazole-11-ethanamine, 5,6-dihydro-3,8-dimethoxy-N,N-dimethyl- (9CI) (CA INDEX NAME)



RN 181704-81-4 CAPLUS
CN 11H-Benzo[a]carbazole-11-ethanamine, 5,6-dihydro-4,8-dimethoxy-N,N-dimethyl- (9CI) (CA INDEX NAME)



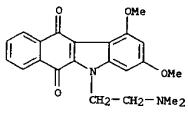
L13 ANSWER 6 OF 99 CAPLUS COPYRIGHT 2002 ACS

ACCESSION NUMBER: 1996:187565 CAPLUS
DOCUMENT NUMBER: 124:289169
TITLE: Design of antineoplastic agents on the basis of the "2-phenylnaphthalene-type" structural pattern. 3. Synthesis and biological activity evaluation of 5H-benzo[b]naphtho[2,3-d]pyrrole-6,11-dione derivatives
AUTHOR(S): Luo, Yi-Lin; Chou, Ting-Chao; Cheng, C. C.
CORPORATE SOURCE: Drug Development Laboratory, University Kansas Medical Center, Kansas City, KS, 66160-7419, USA
SOURCE: Journal of Heterocyclic Chemistry (1996), 33(1), 113-17
CODEN: JHTCAD; ISSN: 0022-152X
PUBLISHER: HeteroCorporation
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 124:289169

AB A no. of 5H-benzo[b]naphtho[2,3-d]pyrrole-6,11-dione derivs. were synthesized. Their biol. activity was compared with that of the corresponding benzoxazolo- and benzothiazolo-analogs.

IT **175885-97-9P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); RCT (Reactant); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent)
(synthesis and antineoplastic activity evaluation of 5H-benzo[b]naphtho[d]pyrroledione derivs.)

RN 175885-97-9 CAPLUS
CN 5H-Benzo[b]carbazole-6,11-dione, 5-[2-(dimethylamino)ethyl]-1,3-dimethoxy- (9CI) (CA INDEX NAME)

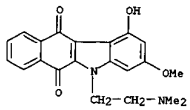


IT **175886-00-7P 175886-08-5P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(synthesis and antineoplastic activity evaluation of 5H-benzo[b]naphtho[d]pyrroledione derivs.)

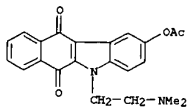
RN 175886-00-7 CAPLUS
CN 5H-Benzo[b]carbazole-6,11-dione, 5-[2-(dimethylamino)ethyl]-1-hydroxy-3-

L13 ANSWER 6 OF 99 CAPLUS COPYRIGHT 2002 ACS (Continued)

methoxy- (9CI) (CA INDEX NAME)



RN 175886-08-5 CAPLUS
CN 5H-Benzo[b]carbazole-6,11-dione, 2-(acetyloxy)-5-[2-(dimethylamino)ethyl]- (9CI) (CA INDEX NAME)

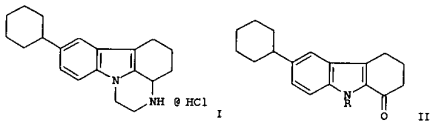


L13 ANSWER 7 OF 99 CAPLUS COPYRIGHT 2002 ACS

ACCESSION NUMBER: 1995:780457 CAPLUS
DOCUMENT NUMBER: 123:169663
TITLE: Method for producing 8-cyclohexyl-2,3,3a,4,5,6-hexahydro-1H-pyrazino[3,2,1-j,k]carbazole hydrochloride and 6-cyclohexyl-9.beta.-[(N,N-dibenzylamino)ethyl]-3,4-dihydrocarbazol-1(2H)-one
INVENTOR(S): Glushkov, Robert G.; Aryuzina, Vera M.; Nyrkova, Valentina G.; Erofeev, Yurij V.; Shvedov, Vasilij I.
PATENT ASSIGNEE(S): Vsesoyuznyj Nauchno-Issledovatelskij Khimiko-Farmatsevticheskij Institut, USSR
SOURCE: U.S.S.R. From: Izobreteniya 1993, (27), 117-18.
CODEN: URXXAF
DOCUMENT TYPE: Patent
LANGUAGE: Russian
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
SU 1830069	A3	19930723	SU 1987-4341818	19871118

OTHER SOURCE(S): CASREACT 123:169663
GI



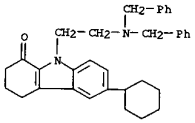
AB Title hydrochloride salt I is prepd. in 2 steps, with improved yield, and by a simpler, safer, and more economical process. The 1st step involves N-alkylation of dihydrocarbazolone II [R = H] with ClCH2CH2N(CH2Ph)2.HCl in a 2-phase system comprising an arom. hydrocarbon and a 30-40% aq. soln. of base at 85-110.degree. in the presence of a phase-transfer catalyst [various quaternary ammonium halides, or PhCH2Cl/Et3N, or DMF, or PEG]. In the 2nd step, the isolated title intermediate II [R = CH2CH2N(CH2Ph)2] is hydrogenated and cyclized, using as catalyst either Pd(acac)2 or Pd(OH)2, supported on C, at 50-70.degree. and 1-20 atm. In the first step, use of toluene as a solvent is specifically claimed, as is use of

L13 ANSWER 7 OF 99 CAPLUS COPYRIGHT 2002 ACS (Continued)

PhCH2Cl/Et3N as the catalyst.

IT **135897-71-1P**
RL: IMF (Industrial manufacture); RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(intermediate; prepn. of cyclohexylhexahydropyrazinocarbazole hydrochloride)

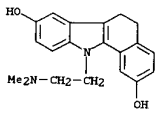
RN 135897-71-1 CAPLUS
CN 1H-Carbazol-1-one, 9-[2-(bis(phenylmethyl)amino]ethyl]-6-cyclohexyl-2,3,4,9-tetrahydro- (9CI) (CA INDEX NAME)



L13 ANSWER 8 OF 99 CAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 1995:506783 CAPLUS
DOCUMENT NUMBER: 122:306047
TITLE: Design, synthesis and antitumoral activity of trisubstituted dihydrobenzo[a]carbazoles
AUTHOR(S): Segall, A.; Pappa, H.; Casaubon, R.; Martin, G.; Bergoc, R.; Pizzorno, M. T.
CORPORATE SOURCE: Dep. Tecnologia Farmaceutica, Univ. Buenos Aires, Buenos Aires, 1113, Argent.
SOURCE: European Journal of Medicinal Chemistry (1995), 30(2), 165-9
CODEN: EJMCA5; ISSN: 0223-5234
PUBLISHER: Elsevier
DOCUMENT TYPE: Journal
LANGUAGE: English

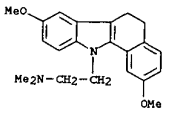
AB The design, synthesis, binding affinities for rabbit uterus estrogen receptors and in vivo action of two trisubstituted dihydrobenzo[a]carbazoles are reported. Relative binding affinities were similar to tamoxifen. In vivo studies in rats bearing NMU-induced mammary tumors indicate that tamoxifen (200 .mu.g s.c. daily) led to 51.6% tumor regression, ovariectomy to 54.4%, and 2,8-dihydroxy-N-(2-morpholino)ethyl-5,6-dihydrobenzo[a]carbazole (I) and 2,8-dihydroxy-N-(2-dimethylamino)ethyl-5,6-dihydrobenzo[a]carbazole (II) (200 .mu.g s.c. daily) to 50.0 and 54.8%, resp. These expts. demonstrated that I and II are as effective as tamoxifen in the model studied.

IT **163277-97-2P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(design, synthesis and antitumor activity of trisubstituted dihydrobenzo[a]carbazoles)
RN 163277-97-2 CAPLUS
CN 5H-Benzo[a]carbazole-2,8-diol, 11-[2-(dimethylamino)ethyl]-6,11-dihydro- (9CI) (CA INDEX NAME)



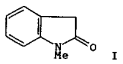
IT **163277-95-0P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT

L13 ANSWER 8 OF 99 CAPLUS COPYRIGHT 2002 ACS (Continued)
(Reactant or reagent)
(design, synthesis and antitumor activity of trisubstituted dihydrobenzo[a]carbazoles)
RN 163277-95-0 CAPLUS
CN 11H-Benzo[a]carbazole-11-ethanamine, 5,6-dihydro-2,8-dimethoxy-N,N-dimethyl-, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

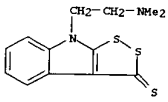
L13 ANSWER 9 OF 99 CAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 1994:482941 CAPLUS
DOCUMENT NUMBER: 121:82941
TITLE: Lithiation routes to oxindoles and 2-indolinethiones: precursors to 2,2'-dithiobisindoles with tyrosine kinase inhibitory properties
AUTHOR(S): Rewcastle, Gordon W.; Denny, William A.
CORPORATE SOURCE: Sch. Med., Univ. Auckland, Auckland, 92019, N. Z.
SOURCE: Heterocycles (1994), 37(2), 701-8
CODEN: HTCYAM; ISSN: 0385-5414
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 121:82941
GI



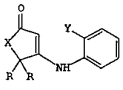
I

AB N-Substituted oxindoles, e.g. I, and 2-indolinethiones can be prepd. by lithiation of carboxyl protected N,2-dimethylanilines followed by quenching with CO2 or CS2 resp. 2-Indolinethione derivs. are also available via demethylation of 2-methylthioindoles, which are prepd. by lithiation of N-substituted indoles and treatment with di-Me disulfide.

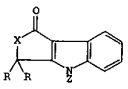
IT **156267-15-1P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of)
RN 156267-15-1 CAPLUS
CN 1,2-Dithiolo[3,4-b]indole-3(8H)-thione, 8-[2-(dimethylamino)ethyl]- (9CI)
(CA INDEX NAME)



L13 ANSWER 10 OF 99 CAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 1992:151484 CAPLUS
DOCUMENT NUMBER: 116:151484
TITLE: Syntheses and reactions of 3,3-dimethyl-1H,3H-furo[4,3-b]indol-1-one and 3,3-dimethyl-1H,3H-pyrrolo[4,3-b]indol-1-one
AUTHOR(S): Matsuo, Keizo; Ogura, Masaru
CORPORATE SOURCE: Fac. Pharm. Sci., Kinki Univ., Osaka, 577, Japan
SOURCE: Chem. Express (1992), 7(2), 145-8
CODEN: CHEXEU; ISSN: 0911-9566
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 116:151484
GI



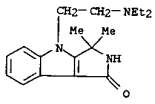
I



II

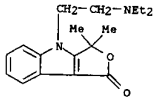
AB Treatment of 4,4-dimethyl-3-(2-iodophenylamino)-2-buten-4-olide I (X = O, R = Me, Y = I) with sodium hydride in the presence of Cu(I) in HMPA gave 3,3-dimethyl-1H,3H-furo[4,3-b]indol-1-one II (X = O, R = Me, Z = H) in good yield. Its pyrrolo deriv. II (X = NH) was also synthesized by the same procedure. Alkylations of the both compds. by MeI and BrCH2CH2NEt2 gave 27-53% II (X = O, NH, NMe, R = Me, Z = Me, CH2CH2NEt2).

IT **139927-83-6**
RL: RCT (Reactant)
(amidation by, of benzoylchlorides)
RN 139927-83-6 CAPLUS
CN Pyrrolo[3,4-b]indol-1(2H)-one, 4-[2-(diethylamino)ethyl]-3,4-dihydro-3,3-dimethyl- (9CI) (CA INDEX NAME)



IT **139927-29-0P**

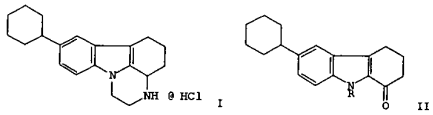
L13 ANSWER 10 OF 99 CAPLUS COPYRIGHT 2002 ACS (Continued)
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of)
RN 139927-29-0 CAPLUS
CN 1H-Furo[3,4-b]indol-1-one, 4-[2-(diethylamino)ethyl]-3,4-dihydro-3,3-dimethyl- (9CI) (CA INDEX NAME)



L13 ANSWER 11 OF 99 CAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 1991:536125 CAPLUS
DOCUMENT NUMBER: 115:136125
TITLE: Antidepressant 2,3,3a,4,5,6-hexahydro-8-cyclohexyl-1H-pyrazino[3,2,1-j]carbazole hydrochloride
INVENTOR(S): Shvedov, V. I.; Mashkovskii, M. D.; Savitskaya, N. V.; Andreeva, N. I.; Fedorova, I. N.; Gus'kova, T. A.; Tupikina, S. M.; Verstakova, O. L.; Nyrkova, V. G.; et al.
PATENT ASSIGNEE(S): Grineva, G. V., USSR; Ordzhonikidze, S., All-Union Scientific-Research Chemical-Pharmaceutical Institute
SOURCE: PCT Int. Appl., 39 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: Russian
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

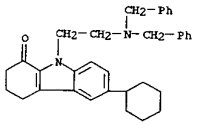
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9107968	A1	19910613	WO 1989-SU302	19891127
W: FI, JP, US				
RW: AT, BE, CH, DE, ES, FR, GB, IT, LU, NL, SE				
EP 457908	A1	19911127	EP 1990-905365	19891127
R: BE, CH, DE, FR, GB, IT, LI, SE				
JP 05502659	T2	19930513	JP 1990-505418	19891127
CA 2019190	AA	19911218	CA 1990-2019190	19900618
HU 59142	A2	19920428	HU 1990-5727	19900831
HU 206213	B	19920928		

PRIORITY APPLN. INFO.: WO 1989-SU302 19891127
OTHER SOURCE(S): CASREACT 115:136125
GI

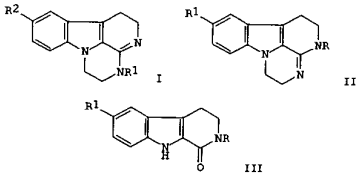


AB The title compd. (I) was prepd. in 2 steps from carbazolone II (R = H).
Thus, a phase-transfer system contg. II (R = H), 30% aq. NaOH, (PhCH2)2NCH2CH2Cl.cntdot.HCl, Bu4NBr, and toluene was heated at 95-100.degree. for 5-6 h to give a 94.52% yield of II [R = (PhCH2)2NCH2CH2]. This product was hydrogenated over Pd/C in MeOH at 60.degree./10 atm, the catalyst was filtered off, and HCl was added to the

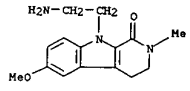
L13 ANSWER 11 OF 99 CAPLUS COPYRIGHT 2002 ACS (Continued)
filtrate to give I in 73.65% yield, based on II (R = H). I showed greater
activity than pyrazidole and imipramine in reinforcing the effects of (.+-.)-amphetamine and 5-hydroxytryptophan in mice.
IT **135897-71-1P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation)
(prepn. and reductive cyclization of)
RN 135897-71-1 CAPLUS
CN 1H-Carbazol-1-one, 9-[2-[bis(phenylmethyl)amino]ethyl]-6-cyclohexyl-2,3,4,9-tetrahydro- (9CI) (CA INDEX NAME)



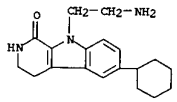
L13 ANSWER 12 OF 99 CAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 1990:526021 CAPLUS
DOCUMENT NUMBER: 113:126021
TITLE: New incasan analogs: synthesis and pharmacological activity
AUTHOR(S): Zaitsev, S. A.; Glushkov, R. G.; Andreeva, N. I.; Mashkovskii, M. D.
CORPORATE SOURCE: VNIKhFI im. Ordzhonikidze, Moscow, USSR
SOURCE: Khim.-Farm. Zh. (1990), 24(2), 112-14
CODEN: KHFZAN; ISSN: 0023-1134
DOCUMENT TYPE: Journal
LANGUAGE: Russian
OTHER SOURCE(S): CASREACT 113:126021
GI



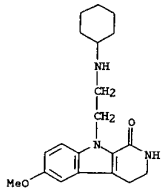
AB Incazane analogs, I (R1 = CH2-Ph, Me or cyclohexyl, R2 = OMe or cyclohexyl) and II (R = H or Me and R1 = OMe or cyclohexyl) were prepd. by
a sequence of reactions starting from the corresponding III (R = H or Me,
R1 = OMe or cyclohexyl). I and II were antagonistic to the activity of
reserpine and increased the activity of 5-hydroxytryptamine and L-Dopa.
Compds. contg. a cyclohexyl group (C-10) attached to the benzene ring showed higher antidepressant and lower toxicity than incazane. However,
4-benzyl and 4-cyclohexyl-substituted compds. were less active and more
toxic than incazane.
IT **129280-64-4P 129280-65-5P 129280-69-9P**
129280-71-3P 129300-86-3P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation)
(prepn. and cyclization of)
RN 129280-64-4 CAPLUS
CN 1H-Pyrido[3,4-b]indol-1-one, 9-(2-aminoethyl)-2,3,4,9-tetrahydro-6-methoxy-2-methyl- (9CI) (CA INDEX NAME)



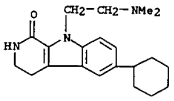
RN 129280-65-5 CAPLUS
CN 1H-Pyrido[3,4-b]indol-1-one, 9-(2-aminoethyl)-6-cyclohexyl-2,3,4,9-tetrahydro- (9CI) (CA INDEX NAME)



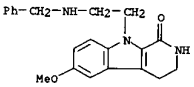
RN 129280-69-9 CAPLUS
CN 1H-Pyrido[3,4-b]indol-1-one, 9-[2-(cyclohexylamino)ethyl]-2,3,4,9-tetrahydro-6-methoxy- (9CI) (CA INDEX NAME)



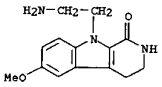
RN 129280-71-3 CAPLUS
CN 1H-Pyrido[3,4-b]indol-1-one, 6-cyclohexyl-9-[2-(dimethylamino)ethyl]-2,3,4,9-tetrahydro- (9CI) (CA INDEX NAME)



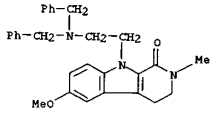
RN 129300-86-3 CAPLUS
CN 1H-Pyrido[3,4-b]indol-1-one, 2,3,4,9-tetrahydro-6-methoxy-9-[2-[(phenylmethyl)amino]ethyl]- (9CI) (CA INDEX NAME)



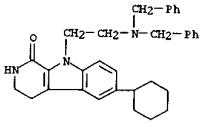
IT **129280-63-3P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. and cyclization or condensation with cyclohexanone of)
RN 129280-63-3 CAPLUS
CN 1H-Pyrido[3,4-b]indol-1-one,
9-(2-aminoethyl)-2,3,4,9-tetrahydro-6-methoxy- (9CI) (CA INDEX NAME)



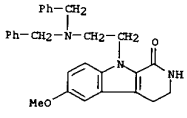
IT **129300-84-1P 129300-85-2P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation)
(prepn. and hydrogenolysis of)
RN 129300-84-1 CAPLUS
CN 1H-Pyrido[3,4-b]indol-1-one,
9-[2-[bis(phenylmethyl)amino]ethyl]-2,3,4,9-tetrahydro-6-methoxy-2-methyl- (9CI) (CA INDEX NAME)



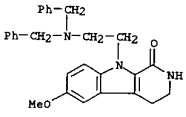
RN 129300-85-2 CAPLUS
CN 1H-Pyrido[3,4-b]indol-1-one, 9-[2-[bis(phenylmethyl)amino]ethyl]-6-cyclohexyl-2,3,4,9-tetrahydro- (9CI) (CA INDEX NAME)



IT **129300-83-0P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation)
(prepn. and hydrogenolysis or cyclization of)
RN 129300-83-0 CAPLUS
CN 1H-Pyrido[3,4-b]indol-1-one,
9-[2-[bis(phenylmethyl)amino]ethyl]-2,3,4,9-tetrahydro-6-methoxy- (9CI) (CA INDEX NAME)

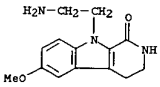


IT **129280-73-5P 129280-74-6P 129280-75-7P**
129280-76-8P 129300-87-4P 129300-88-5P
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of)
RN 129280-73-5 CAPLUS
CN 1H-Pyrido[3,4-b]indol-1-one,
9-[2-[bis(phenylmethyl)amino]ethyl]-2,3,4,9-tetrahydro-6-methoxy-, hydrochloride (9CI) (CA INDEX NAME)



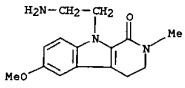
●x HCl

RN 129280-74-6 CAPLUS
CN 1H-Pyrido[3,4-b]indol-1-one,
9-(2-aminoethyl)-2,3,4,9-tetrahydro-6-methoxy-, hydrochloride (9CI) (CA INDEX NAME)



●x HCl

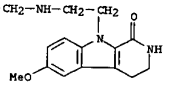
RN 129280-75-7 CAPLUS
CN 1H-Pyrido[3,4-b]indol-1-one,
9-(2-aminoethyl)-2,3,4,9-tetrahydro-6-methoxy-2-methyl-, hydrochloride (9CI) (CA INDEX NAME)



●x HCl

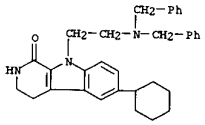
RN 129280-76-8 CAPLUS
CN 1H-Pyrido[3,4-b]indol-1-one, 2,3,4,9-tetrahydro-6-methoxy-9-[2-[(phenylmethyl)amino]ethyl]-, hydrochloride (9CI) (CA INDEX NAME)

L13 ANSWER 12 OF 99 CAPLUS COPYRIGHT 2002 ACS (Continued)



●x HCl

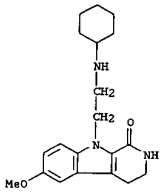
RN 129300-87-4 CAPLUS
CN 1H-Pyrido[3,4-b]indol-1-one, 9-[2-[bis(phenylmethyl)amino]ethyl]-6-cyclohexyl-2,3,4,9-tetrahydro-, hydrochloride (9CI) (CA INDEX NAME)



●x HCl

RN 129300-88-5 CAPLUS
CN 1H-Pyrido[3,4-b]indol-1-one, 9-[2-(cyclohexylamino)ethyl]-2,3,4,9-tetrahydro-6-methoxy-, hydrochloride (9CI) (CA INDEX NAME)

L13 ANSWER 12 OF 99 CAPLUS COPYRIGHT 2002 ACS (Continued)



●x HCl

L13 ANSWER 13 OF 99 CAPLUS COPYRIGHT 2002 ACS

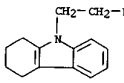
ACCESSION NUMBER: 1988:130888 CAPLUS
DOCUMENT NUMBER: 108:130888
TITLE: Excited-state behavior of tryptamine and related indoles. Remarkably efficient intramolecular proton-induced quenching
AUTHOR(S): Shizuka, Haruo; Serizawa, Manabu; Kobayashi, Hirokazu; Kameta, Kosei; Sugiyama, Hiroshi; Matsuura, Teruo; Saito, Isao
CORPORATE SOURCE: Dep. Chem., Gunma Univ., Gunma, 376, Japan
SOURCE: J. Am. Chem. Soc. (1988), 110(6), 1726-32
CODEN: JACSAT; ISSN: 0002-7863
DOCUMENT TYPE: Journal
LANGUAGE: English

AB The excited-state behavior of tryptamine and 1,2,3,4-tetrahydrocarbazoles possessing alkylamino side chains in the absence and presence of 18-crown-6 in MeOH-H2O (9:1) mixts. has been studied by means of nanosecond single-photon counting, fluorimetry, and photochem. H-D isotope exchange. The fluorescence intensity of these indoles increases significantly with increasing concn. of 18-crown-6. The relatively short lifetime of the tryptamine ammonium ion (I) is not ascribed to external quenching but rather to internal quenching. The rate const. kq for internal quenching can be estd. from the equation kq = .tau.0-1 - .tau.max-1, where .tau.0 and .tau.max represent the fluorescence lifetimes for free I and the 1:1 1-crown ether complex, resp. Internal quenching originates from electrophilic proton attack by the N+H3 (or N+D3) group of I at the C-4 position of the excited indole ring. For the tetrahydrocarbazole deriv. contg. (CH2)3N+H3 the kq value comprises the electrophilic proton attack at the C-8 position plus other quenching (probably charge-transfer quenching) between the excited indole moiety and the N+H3 (or N+D3) group. The stabilization const. Kg for the corresponding ammonium ion and 18-crown-6 can be detd. by fluorimetry. The kinetics and thermodn. parameters for the internal quenching and the complex formation, resp., have been described.

IT **105258-51-3**
RL: PRP (Properties)
(fluorescence of, effect of crown ether on)

RN 105258-51-3 CAPLUS
CN 9H-Carbazole-9-ethanamine, 1,2,3,4-tetrahydro-, monohydrochloride (9CI)
(CA INDEX NAME)

L13 ANSWER 13 OF 99 CAPLUS COPYRIGHT 2002 ACS (Continued)



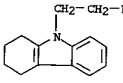
● HCl

IT **112987-91-4P**
RL: PRP (Properties); PREP (Preparation)
(formation and fluorescence of)

RN 112987-91-4 CAPLUS
CN 9H-Carbazole-9-ethanamine, 1,2,3,4-tetrahydro-, monohydrochloride, compd. with 1,4,7,10,13,16-hexaoxacyclooctadecane (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 105258-51-3
CMF C14 H18 N2 . Cl H

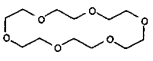


● HCl

Two differences → Not obv.

CM 2

CRN 17455-13-9
CMF C12 H24 O6



L13 ANSWER 14 OF 99 CAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 1988:48844 CAPLUS
DOCUMENT NUMBER: 108:48844
TITLE: Factors influencing the toxicity of diethylaminoethylreserpine to tumor cells: studies with four transplantable tumors
AUTHOR(S): Lehnert, Shirley
CORPORATE SOURCE: Dep. Radiation Oncol., McGill Univ., Montreal, PQ, H3G 1AU, Can.
SOURCE: Oncology (1987), 44(6), 386-91
CODEN: ONCOBS; ISSN: 0030-2414
DOCUMENT TYPE: Journal
LANGUAGE: English

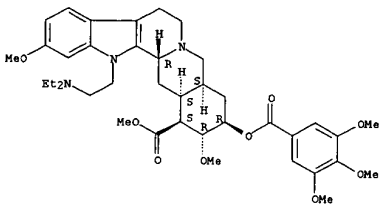
AB The toxicity of 1-[2-(diethylamino)ethyl]reserpine (DL-152) was measured on 4 transplantable mouse tumors. DL-152 was toxic to cells of all the tumor models tested (KHT fibrosarcoma, RIF-1 fibrosarcoma, EMT-6 adenocarcinoma, and Lewis lung carcinoma) when the drug was given i.p. to the tumor-bearing mouse and cell survival was measured by excision assay. For the KHT tumor, hypoxic cells were more sensitive to the drug in vivo than were aerated cells, and a similar response to hypoxia was obsd. in vitro, suggesting that sensitization occurred at the cellular level. Neither EMT-6 nor RIF-1 tumors showed increased sensitivity to the drug when cells were exposed under hypoxic conditions in vivo or in vitro. However, when the response of aerated cells of the 3 tumors was compared, the relative sensitivities of tumors exposed in vivo did not show the same ranking as the results of in vitro toxicity assays. This difference in in vitro and in vivo response in the different tumor models did not appear to be related to pharmacokinetic factors, since the max. tissue concn. and the rate of clearance of the drug were similar for all the tumors studied.

IT **53-18-9**, DL-152
RL: BAC (Biological activity or effector, except adverse); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(neoplasm inhibition by, hypoxia and pharmacokinetics effect on)

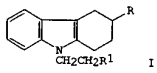
RN 53-18-9 CAPLUS
CN Yohimban-16-carboxylic acid, 1-[2-(diethylamino)ethyl]-11,17-dimethoxy-18-[(3,4,5-trimethoxybenzoyl)oxy]-, methyl ester, (3.beta.,16.beta.,17.alpha.,18.beta.,20.alpha.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L13 ANSWER 14 OF 99 CAPLUS COPYRIGHT 2002 ACS (Continued)



L13 ANSWER 15 OF 99 CAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 1987:67041 CAPLUS
DOCUMENT NUMBER: 106:67041
TITLE: Tetrahydrocarbazoles. Part II. Tricyclic inhibitors of gastric acid secretion
AUTHOR(S): Canas-Rodriguez, A.; Mateo Bernardo, A.
CORPORATE SOURCE: Chelsea Coll., Univ. London, London, SW3 6LX, UK
SOURCE: An. Quim., Ser. C (1985), 81(3), 254-7
CODEN: AQSBD6; ISSN: 0211-1357
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 106:67041
GI

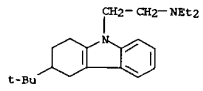


I

AB Tetrahydrocarbazoles I (R = Me, Et, CHMe2, CMe3, CH2CMe3; R1 = NMe2, NEt2, 1-pyrrolidinyl, 1-piperidyl) were prepd. Some of them showed gastric secretion inhibitory properties. Structure activity relationships of I were studied.

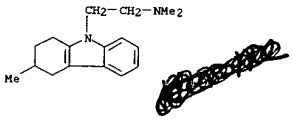
IT **55160-58-2P 106583-27-1P 106583-29-3P 106583-31-7P 106583-33-9P 106583-35-1P 106583-37-3P 106583-39-5P 106583-41-9P 106583-43-1P 106583-45-3P 106583-47-5P 106583-50-0P 106583-52-2P 106583-53-3P 106583-54-4P 106583-56-6P 106583-58-8P 106583-60-2P 106583-63-5P 106583-65-7P 106608-93-9P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. and gastric secretion inhibition of)

RN 55160-58-2 CAPLUS
CN 9H-Carbazole-9-ethanamine, 3-(1,1-dimethylethyl)-N,N-diethyl-1,2,3,4-tetrahydro- (9CI) (CA INDEX NAME)



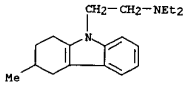
RN 106583-27-1 CAPLUS
CN 9H-Carbazole-9-ethanamine, 1,2,3,4-tetrahydro-N,N,3-trimethyl-, monohydrochloride (9CI) (CA INDEX NAME)

L13 ANSWER 15 OF 99 CAPLUS COPYRIGHT 2002 ACS (Continued)



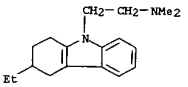
● HCl

RN 106583-29-3 CAPLUS
CN 9H-Carbazole-9-ethanamine, N,N-diethyl-1,2,3,4-tetrahydro-3-methyl-, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

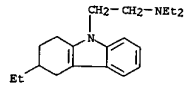
RN 106583-31-7 CAPLUS
CN 9H-Carbazole-9-ethanamine, 3-ethyl-1,2,3,4-tetrahydro-N,N-dimethyl-, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

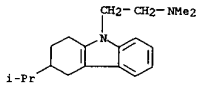
RN 106583-33-9 CAPLUS
CN 9H-Carbazole-9-ethanamine, N,N,3-triethyl-1,2,3,4-tetrahydro-, monohydrochloride (9CI) (CA INDEX NAME)

L13 ANSWER 15 OF 99 CAPLUS COPYRIGHT 2002 ACS (Continued)



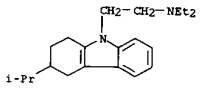
● HCl

RN 106583-35-1 CAPLUS
CN 9H-Carbazole-9-ethanamine, 1,2,3,4-tetrahydro-N,N-dimethyl-3-(1-methylethyl)-, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

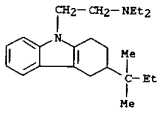
RN 106583-37-3 CAPLUS
CN 9H-Carbazole-9-ethanamine, N,N-diethyl-1,2,3,4-tetrahydro-3-(1-methylethyl)-, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

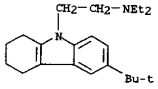
RN 106583-39-5 CAPLUS
CN 9H-Carbazole-9-ethanamine, 3-(1,1-dimethylethyl)-1,2,3,4-tetrahydro-N,N-dimethyl-, monohydrochloride (9CI) (CA INDEX NAME)

L13 ANSWER 15 OF 99 CAPLUS COPYRIGHT 2002 ACS (Continued)



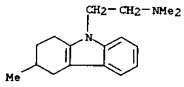
● HCl

RN 106583-47-5 CAPLUS
CN 9H-Carbazole-9-ethanamine, 6-(1,1-dimethylethyl)-N,N-diethyl-1,2,3,4-tetrahydro-, monohydrochloride (9CI) (CA INDEX NAME)



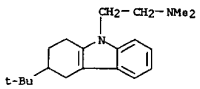
● HCl

RN 106583-50-0 CAPLUS
CN 9H-Carbazole-9-ethanamine, 1,2,3,4-tetrahydro-N,N,3-trimethyl- (9CI) (CA INDEX NAME)



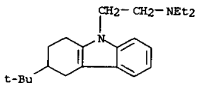
RN 106583-52-2 CAPLUS
CN 9H-Carbazole-9-ethanamine, N,N-diethyl-1,2,3,4-tetrahydro-3-methyl-(9CI) (CA INDEX NAME)

L13 ANSWER 15 OF 99 CAPLUS COPYRIGHT 2002 ACS (Continued)



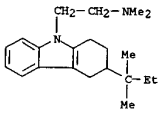
● HCl

RN 106583-41-9 CAPLUS
CN 9H-Carbazole-9-ethanamine, 3-(1,1-dimethylethyl)-N,N-diethyl-1,2,3,4-tetrahydro-, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

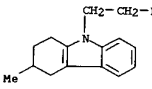
RN 106583-43-1 CAPLUS
CN 9H-Carbazole-9-ethanamine, 3-(1,1-dimethylpropyl)-1,2,3,4-tetrahydro-N,N-dimethyl-, monohydrochloride (9CI) (CA INDEX NAME)



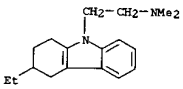
● HCl

RN 106583-45-3 CAPLUS
CN 9H-Carbazole-9-ethanamine, 3-(1,1-dimethylpropyl)-N,N-diethyl-1,2,3,4-tetrahydro-, monohydrochloride (9CI) (CA INDEX NAME)

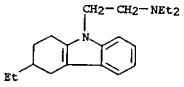
L13 ANSWER 15 OF 99 CAPLUS COPYRIGHT 2002 ACS (Continued)



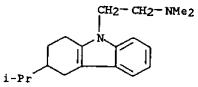
RN 106583-53-3 CAPLUS
CN 9H-Carbazole-9-ethanamine, 3-ethyl-1,2,3,4-tetrahydro-N,N-dimethyl-(9CI) (CA INDEX NAME)



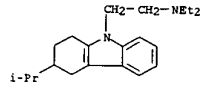
RN 106583-54-4 CAPLUS
CN 9H-Carbazole-9-ethanamine, N,N,3-triethyl-1,2,3,4-tetrahydro- (9CI) (CA INDEX NAME)



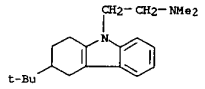
RN 106583-56-6 CAPLUS
CN 9H-Carbazole-9-ethanamine, 1,2,3,4-tetrahydro-N,N-dimethyl-3-(1-methylethyl)- (9CI) (CA INDEX NAME)



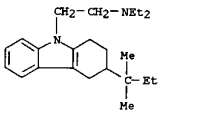
RN 106583-58-8 CAPLUS
CN 9H-Carbazole-9-ethanamine, N,N-diethyl-1,2,3,4-tetrahydro-3-(1-methylethyl)- (9CI) (CA INDEX NAME)



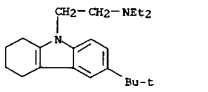
RN 106583-60-2 CAPLUS
CN 9H-Carbazole-9-ethanamine, 3-(1,1-dimethylethyl)-1,2,3,4-tetrahydro-N,N-dimethyl- (9CI) (CA INDEX NAME)



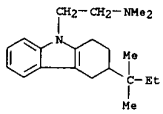
RN 106583-63-5 CAPLUS
CN 9H-Carbazole-9-ethanamine, 3-(1,1-dimethylpropyl)-N,N-diethyl-1,2,3,4-tetrahydro- (9CI) (CA INDEX NAME)



RN 106583-65-7 CAPLUS
CN 9H-Carbazole-9-ethanamine, 6-(1,1-dimethylethyl)-N,N-diethyl-1,2,3,4-tetrahydro- (9CI) (CA INDEX NAME)



RN 106608-93-9 CAPLUS
CN 9H-Carbazole-9-ethanamine, 3-(1,1-dimethylpropyl)-1,2,3,4-tetrahydro-N,N-dimethyl- (9CI) (CA INDEX NAME)

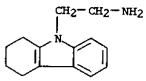


ACCESSION NUMBER: 1986:608657 CAPLUS
DOCUMENT NUMBER: 105:208657
TITLE: Photoinduced reactions. 165. Regio-controlled hydrogen-deuterium exchange of biologically important indoles under UV irradiation
AUTHOR(S): Saito, Isao; Muramatsu, Shigeru; Sugiyama, Hiroshi; Yamamoto, Akihiro; Matsuura, Teruo
CORPORATE SOURCE: Fac. Eng., Kyoto Univ., Kyoto, 606, Japan
SOURCE: Tetrahedron Lett. (1985), 26(48), 5891-4
CODEN: TELEAY; ISSN: 0040-4039
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 105:208657

AB Photochem. hydrogen-deuterium exchange reaction of biol. important indoles is reported. The regioselectivity of the photodeuteration was controlled by the ammonium group of the side chain. Thus, photochem. deuteration of tryptamine-HCl with MeOD-D2O resulted in a highly regioselective incorporation of D into the 4-position.

IT **105258-51-3**
RL: RCT (Reactant)
(photochem. hydrogen-deuterium exchange reaction of, regioselective)

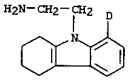
RN 105258-51-3 CAPLUS
CN 9H-Carbazole-9-ethanamine, 1,2,3,4-tetrahydro-, monohydrochloride (9CI)
(CA INDEX NAME)



● HCl

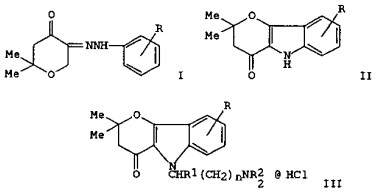
IT **105258-54-6P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of)

RN 105258-54-6 CAPLUS
CN 9H-Carbazole-1-d-9-ethanamine, 5,6,7,8-tetrahydro-, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

L13 ANSWER 17 OF 99 CAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 1986:514938 CAPLUS
DOCUMENT NUMBER: 105:114938
TITLE: Synthesis of N-[(dialkylamino)alkyl] derivatives of 2,3-dihydro-4-oxo-2,2,6(7 or 8)-trimethylpyrano[3,2-b]indoles
AUTHOR(S): Pilosyan, S. G.; Dabaeva, V. V.; Mambreyan, Sh. P.; Noravyan, A. S.
CORPORATE SOURCE: Inst. Tonkoi Org. Khim., Yerevan, USSR
SOURCE: Arm. Khim. Zh. (1985), 38(12), 747-51
CODEN: AYKZAN; ISSN: 0515-9628
DOCUMENT TYPE: Journal
LANGUAGE: Russian
OTHER SOURCE(S): CASREACT 105:114938
GI

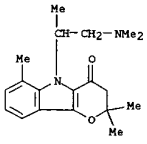


AB Phenylhydrazones I (R = o-, m-, p-Me), prepd. in 70-85% yields from 2,2-dimethyltetrahydroyran-4-one by hydroxymethylenation with CH3ONa/HCO2Et followed by treatment with RC6H4N2+Cl-, were cyclized by alc. HCl to give 33-43% pyranoindoles II which were N-alkylated by dialkylaminoalkyl chlorides to give III (R1 = H, Me, R2 = Me, Et, n = 1,2).

IT **104194-41-4P 104194-42-5P 104194-43-6P**
104194-45-8P 104194-46-9P 104194-47-0P
104194-49-2P 104194-50-5P 104194-51-6P
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of)

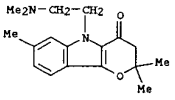
RN 104194-41-4 CAPLUS
CN Pyrano[3,2-b]indol-4(5H)-one, 5-[2-(dimethylamino)ethyl]-2,3-dihydro-2,2,6-trimethyl-, monohydrochloride (9CI) (CA INDEX NAME)

L13 ANSWER 17 OF 99 CAPLUS COPYRIGHT 2002 ACS (Continued)



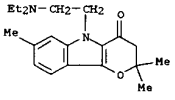
● HCl

RN 104194-45-8 CAPLUS
CN Pyrano[3,2-b]indol-4(5H)-one, 5-[2-(dimethylamino)ethyl]-2,3-dihydro-2,2,7-trimethyl-, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

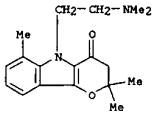
RN 104194-46-9 CAPLUS
CN Pyrano[3,2-b]indol-4(5H)-one, 5-[2-(diethylamino)ethyl]-2,3-dihydro-2,2,7-trimethyl-, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

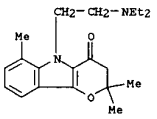
RN 104194-47-0 CAPLUS

L13 ANSWER 17 OF 99 CAPLUS COPYRIGHT 2002 ACS (Continued)



● HCl

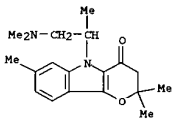
RN 104194-42-5 CAPLUS
CN Pyrano[3,2-b]indol-4(5H)-one, 5-[2-(diethylamino)ethyl]-2,3-dihydro-2,2,6-trimethyl-, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

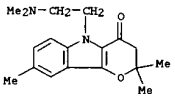
RN 104194-43-6 CAPLUS
CN Pyrano[3,2-b]indol-4(5H)-one, 5-[2-(dimethylamino)-1-methylethyl]-2,3-dihydro-2,2,6-trimethyl-, monohydrochloride (9CI) (CA INDEX NAME)

L13 ANSWER 17 OF 99 CAPLUS COPYRIGHT 2002 ACS (Continued)
CN Pyrano[3,2-b]indol-4(5H)-one, 5-[2-(dimethylamino)-1-methylethyl]-2,3-dihydro-2,2,7-trimethyl-, monohydrochloride (9CI) (CA INDEX NAME)



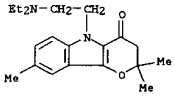
● HCl

RN 104194-49-2 CAPLUS
CN Pyrano[3,2-b]indol-4(5H)-one, 5-[2-(dimethylamino)ethyl]-2,3-dihydro-2,2,8-trimethyl-, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

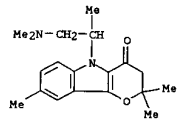
RN 104194-50-5 CAPLUS
CN Pyrano[3,2-b]indol-4(5H)-one, 5-[2-(diethylamino)ethyl]-2,3-dihydro-2,2,8-trimethyl-, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

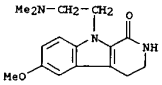
L13 ANSWER 17 OF 99 CAPLUS COPYRIGHT 2002 ACS (Continued)

RN 104194-51-6 CAPLUS
CN Pyrano[3,2-b]indol-4(5H)-one,
5-[2-(dimethylamino)-1-methylethyl]-2,3-
dihydro-2,2,8-trimethyl-, monohydrochloride (9CI) (CA INDEX NAME)



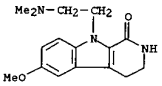
● HCl

L13 ANSWER 18 OF 99 CAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 1985:620713 CAPLUS
DOCUMENT NUMBER: 103:220713
TITLE: Optimal particle size at low ignition limits of aerosols.
AUTHOR(S): Agudov, V. I.; Yashin, V. Ya.
CORPORATE SOURCE: Vses. Nauchno-Issled. Khim.-Farm. Inst., Kupavna, USSR
SOURCE: Khim.-Farm. Zh. (1985), 19(8), 1001-3
CODEN: KHFZAN; ISSN: 0023-1134
DOCUMENT TYPE: Journal
LANGUAGE: Russian
AB Dimensional anal. was used to det. the crit. diam. (d) of solid airborne particles for a given lower concn. ignition limit (LCIL) as a function of air thermal cond., std. self-ignition temp., and effective light emission temp. The optimum d for 38 compds. at the LCIL was 50-200 .mu.. The dependence of d on m.p. can be calcd. by taking into account the adhesion of particles to the app. walls.
IT **897-44-9 966-86-9**
RL: PRP (Properties)
(crit. particle size of, in aerosols, lower concn. ignition limit in relation to)
RN 897-44-9 CAPLUS
CN 1H-Pyrido[3,4-b]indol-1-one,
9-[2-(dimethylamino)ethyl]-2,3,4,9-tetrahydro-
6-methoxy- (7CI, 8CI, 9CI) (CA INDEX NAME)



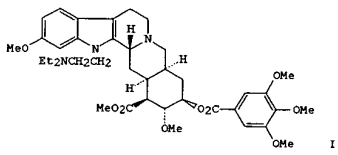
RN 966-86-9 CAPLUS
CN 1H-Pyrido[3,4-b]indol-1-one,
9-[2-(dimethylamino)ethyl]-2,3,4,9-tetrahydro-
6-methoxy-, monohydrochloride (9CI) (CA INDEX NAME)

L13 ANSWER 18 OF 99 CAPLUS COPYRIGHT 2002 ACS (Continued)



● HCl

L13 ANSWER 19 OF 99 CAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 1985:125175 CAPLUS
DOCUMENT NUMBER: 102:125175
TITLE: Interaction of diethylaminoreserpine with cells of a transplantable tumor in vivo
AUTHOR(S): Lehnert, S.
CORPORATE SOURCE: Montreal Gen. Hosp., Montreal, PQ, H3G 1A4, Can.
SOURCE: Br. J. Cancer (1984), 50(6), 847-51
CODEN: BJCAAI; ISSN: 0007-0920
DOCUMENT TYPE: Journal
LANGUAGE: English
GI

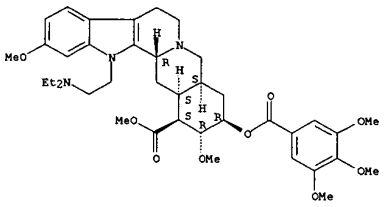


I

AB In mice with transplanted KHT fibrosarcoma, DL-152 (diethylaminoreserpine)(I) [**53-18-9**] (320 mg/kg, i.p.) was cytotoxic toward the tumor cells; a hypoxic period (15 min) after the sacrifice of the animal enhanced I cytotoxicity. I levels in the tumor cells persisted for up to 24 h, which correlated with the persistence of I induced cytotoxicity for 24 h. Interaction of I treatment with radiation treatment indicated that I decreased the proportion of hypoxic cells in the tumor. Thus, the most effective protocol for combined treatment would schedule radiotherapy after I treatment when the hypoxic fraction is minimal.
IT **53-18-9**
RL: BAC (Biological activity or effector, except adverse); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(neoplasm inhibition by, in hypoxia, radiotherapy in relation to)
RN 53-18-9 CAPLUS
CN Yohimban-16-carboxylic acid,
1-[2-(diethylamino)ethyl]-11,17-dimethoxy-18-
[(3,4,5-trimethoxybenzoyl)oxy]-, methyl ester,
(3.beta.,16.beta.,17.alpha.,18.beta.,20.alpha.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L13 ANSWER 19 OF 99 CAPLUS COPYRIGHT 2002 ACS (Continued)



L13 ANSWER 20 OF 99 CAPLUS COPYRIGHT 2002 ACS

ACCESSION NUMBER: 1985:189 CAPLUS
DOCUMENT NUMBER: 102:189
TITLE: Antiamoebic action of drugs and synthetic compounds against trophozoites of Entamoeba histolytica under axenic and polyxenic culture conditions and in the infected rat cecum
AUTHOR(S): Prasad, B. N. Krishna; Bansal, Indu; Das, Pradeep; Srivastava, Reeta
CORPORATE SOURCE: Div. Microbiol., Cent. Drug Res. Inst., Lucknow, 226 001, India
SOURCE: Curr. Sci. (1984), 53(15), 778-81
CODEN: CUSCAM; ISSN: 0011-3891
DOCUMENT TYPE: Journal
LANGUAGE: English

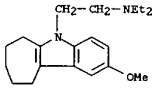
AB The comparative amoebicidal effects of several known antimicrobials (emetine [483-18-1], dehydroemetine [4914-30-1], metronidazole [443-48-1], Vioform [130-26-7], Entobex [84-12-8], furazolidone [67-45-8], and sulfaguanidine [57-67-0]) as well as 30 other compds. (aryloxypropanolpiperazines, aryloxypropanolamines, alkyl- or acylpiperazinopyridines, arylketones, and other heterocycles) against E. histolytica in axenic or polyxenic culture were examd. Differences in the antimicrobial potency obsd. in vitro were not apparent in therapeutic evaluation tests; rats infected with E. histolytica trophozoites, and only animals given metronidazole were totally cured. Thus, the in vitro test procedure fails to be an accurate index of therapeutic antiamoebic efficacy.

IT **41734-65-0**
RL: BAC (Biological activity or effector, except adverse); BIOL (Biological study)
(antiamoebic activity of)

RN 41734-65-0 CAPLUS
CN Cyclohept[b]indole-5(6H)-ethanamine, N,N-diethyl-7,8,9,10-tetrahydro-2-methoxy-, ethanedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 48198-06-7
CMF C20 H30 N2 O



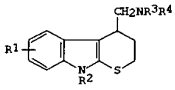
L13 ANSWER 20 OF 99 CAPLUS COPYRIGHT 2002 ACS (Continued)

CM 2

CRN 144-62-7
CMF C2 H2 O4

L13 ANSWER 21 OF 99 CAPLUS COPYRIGHT 2002 ACS

ACCESSION NUMBER: 1984:400226 CAPLUS
DOCUMENT NUMBER: 101:226
TITLE: Studies on fused indoles. II. Structural modifications and analgesic activity of 4-aminomethyltetrahydrothiopyrano[2,3-b]indoles
AUTHOR(S): Takada, Susumu; Ishizuka, Natsuki; Sasatani, Takashi; Makisumi, Yasuo; Jyoyama, Hirokuni; Hatakeyama, Hisao; Asanuma, Fujio; Hirose, Katsumi
CORPORATE SOURCE: Shionogi Res. Lab., Shionogi and Co., Ltd., Osaka, 553, Japan
SOURCE: Chem. Pharm. Bull. (1984), 32(3), 877-86
CODEN: CPBTAL; ISSN: 0009-2363
DOCUMENT TYPE: Journal
LANGUAGE: English
GI



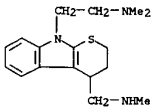
I

AB A series of 4-aminomethyl-2,3,4,9-tetrahydrothiopyran[2,3-b]indole derivs. (I; R1 = H, halo, alkyl; R2 = H, Pb, acyl, alkyl; R3 = H, Pb, alkyl; R4 = H, Me, Bu; R3R4 = -(CH2)5-, -(CH2)2N(CH2)2-) was synthesized and evaluated for analgesic activity. Preliminary structure-activity relationship anal. showed that substitution on the benzene portion of the indole ring reduced the analgesic activity, whereas a short-chain Nb-alkyl substituent enhanced the potency, as exemplified by (I; R1 = R2 = R4 = H; R3 = Me) (II) [73425-57-7]. II was equipotent to morphine in the AcOH writhing assay with mice.

IT **73482-09-4P 90471-17-3P**
RL: BAC (Biological activity or effector, except adverse); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. and analgesic activity of, structure in relation to)

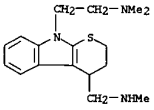
RN 73482-09-4 CAPLUS
CN Thiopyrano[2,3-b]indole-9(2H)-ethanamine, 3,4-dihydro-N,N-dimethyl-4-[(methylamino)methyl]-, dihydrochloride (9CI) (CA INDEX NAME)

L13 ANSWER 21 OF 99 CAPLUS COPYRIGHT 2002 ACS (Continued)



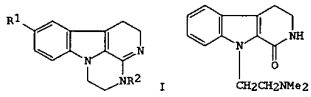
●2 HCl

RN 90471-17-3 CAPLUS
CN Thiopyrano[2,3-b]indole-9(2H)-ethanamine, 3,4-dihydro-N,N-dimethyl-4-[(methylamino)methyl]- (9CI) (CA INDEX NAME)



L13 ANSWER 22 OF 99 CAPLUS COPYRIGHT 2002 ACS

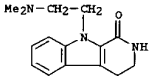
ACCESSION NUMBER: 1984:167728 CAPLUS
DOCUMENT NUMBER: 100:167728
TITLE: Effect of inkasan and its derivatives on cyclic AMP phosphodiesterase activity
AUTHOR(S): Vatolkina, O. E.; Libinzon, R. E.
CORPORATE SOURCE: Nauchno-Issled. Inst. Biol. Ispyt. Khim. Soedin., USSR
SOURCE: Khim.-Farm. Zh. (1984), 18(2), 152-3
CODEN: KHFZAN; ISSN: 0023-1134
DOCUMENT TYPE: Journal
LANGUAGE: Russian
GI



AB Inkasan (I; R1 = OMe, R2 = Me) [53734-79-5] and 1 of its 3 derivs. tested, II [**54188-30-6**], caused 50% inhibition of rat brain cAMP phosphodiesterase [9036-21-9] at 5.0 .times. 10-4 M. A similar effect was obsd. with a 5.6 .times. 10-4 M concn. of the I; R1 = H, R2 = Me [54188-43-1] and with a 12.5 .times. 10-4 M concn. of the C4H6O6 salt (I; R1 = H, R2 = Et) [89703-39-9]. CAMP may thus be involved in the mechanism of the antidepressant action of inkasan and its analogs. Structure-activity relations are briefly discussed.

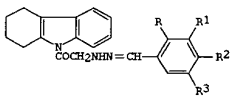
IT **54188-30-6**
RL: BIOL (Biological study)
(cAMP phosphodiesterase inhibition by)

RN 54188-30-6 CAPLUS
CN 1H-Pyrido[3,4-b]indol-1-one, 9-[2-(dimethylamino)ethyl]-2,3,4,9-tetrahydro- (9CI) (CA INDEX NAME)



L13 ANSWER 23 OF 99 CAPLUS COPYRIGHT 2002 ACS

ACCESSION NUMBER: 1984:6249 CAPLUS
DOCUMENT NUMBER: 100:6249
TITLE: 1,2,3,4-Tetrahydrocarbazoles as possible monoamine oxidase inhibitors
AUTHOR(S): Soni, Namita; Saxena, A. K.; Barthwal, J. P.; Bhargava, K. P.
CORPORATE SOURCE: Dep. Pharmacol. Ther., King George's Med. Coll., Lucknow, 226 003, India
SOURCE: Indian J. Pharm. Sci. (1983), 45(2), 74-6
CODEN: IJSIDW; ISSN: 0250-474X
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 100:6249
GI

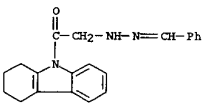


AB Reaction of the appropriate benzaldehyde with 9-(hydrozinoacetyl)-1,2,3,4-tetrahydrocarbazole gave I [R, R1, R2, R3 = H, H, H, H; OH, H, H, H; H, H, OH, H; OH, H, OH, H; Cl, H, H, H; H, H, Cl, H; OH, Cl, H, Cl; H, H, OMe, H; H, OMe, OMe, OMe; H, OMe, OH, H (II); NO2, H, H, H; H, H, NO2, H; H, OMe, H, OMe], which inhibited MAO activity. II gave 86.0% inhibition; as compared with 70.0% for pargyline.

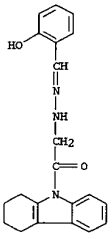
IT **88014-29-3P 88014-30-6P 88014-31-7P**
88014-32-8P 88014-33-9P 88014-34-0P
88014-35-1P 88014-36-2P 88014-37-3P
88014-38-4P 88014-39-5P 88014-40-8P
88014-41-9P
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. and monoamine oxidase inhibitory activity of)

RN 88014-29-3 CAPLUS
CN 1H-Carbazole, 2,3,4,9-tetrahydro-9-[[(phenylmethylene)hydrazino]acetyl]- (9CI) (CA INDEX NAME)

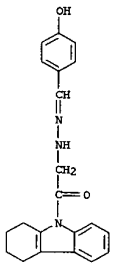
L13 ANSWER 23 OF 99 CAPLUS COPYRIGHT 2002 ACS (Continued)



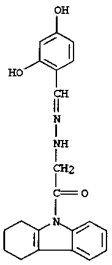
RN 88014-30-6 CAPLUS
CN 1H-Carbazole, 2,3,4,9-tetrahydro-9-[[[(2-hydroxyphenyl)methylene]hydrazino]acetyl]- (9CI) (CA INDEX NAME)



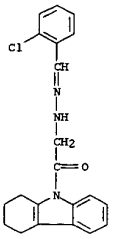
RN 88014-31-7 CAPLUS
CN 1H-Carbazole, 2,3,4,9-tetrahydro-9-[[[(4-hydroxyphenyl)methylene]hydrazino]acetyl]- (9CI) (CA INDEX NAME)



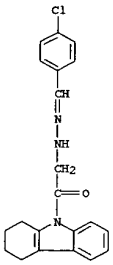
RN 88014-32-8 CAPLUS
CN 1H-Carbazole, 9-[[[(2,4-dihydroxyphenyl)methylene]hydrazino]acetyl]-2,3,4,9-tetrahydro- (9CI) (CA INDEX NAME)



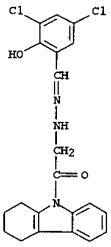
RN 88014-33-9 CAPLUS
CN 1H-Carbazole,
9-[[[(2-chlorophenyl)methylene]hydrazino]acetyl]-2,3,4,9-tetrahydro- (9CI) (CA INDEX NAME)



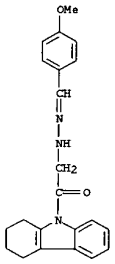
RN 88014-34-0 CAPLUS
CN 1H-Carbazole,
9-[[[(4-chlorophenyl)methylene]hydrazino]acetyl]-2,3,4,9-tetrahydro- (9CI) (CA INDEX NAME)



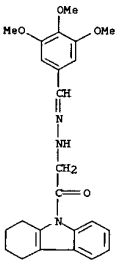
RN 88014-35-1 CAPLUS
CN 1H-Carbazole,
9-[[[(3,5-dichloro-2-hydroxyphenyl)methylene]hydrazino]acetyl]-2,3,4,9-tetrahydro- (9CI) (CA INDEX NAME)



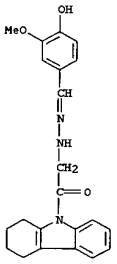
RN 88014-36-2 CAPLUS
CN 1H-Carbazole,
2,3,4,9-tetrahydro-9-[[[(4-methoxyphenyl)methylene]hydrazino]acetyl]- (9CI) (CA INDEX NAME)



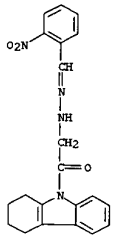
RN 88014-37-3 CAPLUS
CN 1H-Carbazole,
2,3,4,9-tetrahydro-9-[[[(3,4,5-trimethoxyphenyl)methylene]hydrazino]acetyl]- (9CI) (CA INDEX NAME)



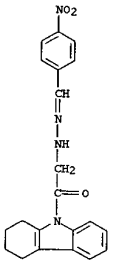
RN 88014-38-4 CAPLUS
CN 1H-Carbazole, 2,3,4,9-tetrahydro-9-[[[(4-hydroxy-3-methoxyphenyl)methylene]hydrazino]acetyl]- (9CI) (CA INDEX NAME)



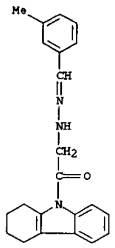
RN 88014-39-5 CAPLUS
CN 1H-Carbazole,
2,3,4,9-tetrahydro-9-[[[(2-nitrophenyl)methylene]hydrazino]acetyl]- (9CI) (CA INDEX NAME)



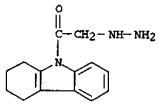
RN 88014-40-8 CAPLUS
CN 1H-Carbazole,
2,3,4,9-tetrahydro-9-[[[(4-nitrophenyl)methylene]hydrazino]a
cetyl]- (9CI) (CA INDEX NAME)



RN 88014-41-9 CAPLUS
CN 1H-Carbazole,
2,3,4,9-tetrahydro-9-[[[(3-methylphenyl)methylene]hydrazino]
acetyl]- (9CI) (CA INDEX NAME)



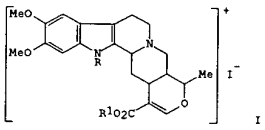
IT **88014-42-0P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation)
(prepn. and reaction with benzaldehydes)
RN 88014-42-0 CAPLUS
CN 1H-Carbazole, 9-(hydrazinoacetyl)-2,3,4,9-tetrahydro- (9CI) (CA INDEX NAME)



L13 ANSWER 24 OF 99 CAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 1983:454047 CAPLUS
DOCUMENT NUMBER: 99:54047
TITLE: Reserpiline quaternary ammonium salt derivatives
PATENT ASSIGNEE(S): Nisshin Flour Milling Co., Ltd., Japan
SOURCE: Jpn. Kokai Tokkyo Koho, 3 pp.
CODEN: JKXXAF
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

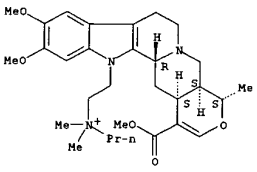
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 58015981	A2	19830129	JP 1981-113417	19810720
JP 02017554	B4	19900420		

OTHER SOURCE(S): CASREACT 99:54047
GI



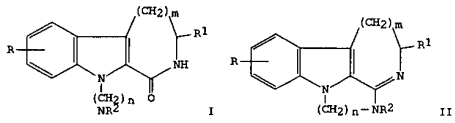
AB Title derivs. I (R, R1 = H, Me2PrN+CH2CH2; Me2PrN+CH2CH2, Me) were prepd.
by treating the corresponding bases with PrI. Effects of I against adrenaline-caused arrhythmia were shown in guinea pigs in comparison with
procainamide; the LD50 were 10-11.1 mg/kg i.v. in mice. Thus, reaction of
5 g 2-(dimethylamino)ethyl reserpilinate with 10 mL PrI in Me2CO 17 h at
room temp. gave 51.4% I (R = H, R1 = Me2PrN+CH2CH2).
IT **86507-77-9P**
RL: BAC (Biological activity or effector, except adverse); SPN (Synthetic
preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. and antiarrhythmic activity of)
RN 86507-77-9 CAPLUS
CN Oxayohimban-1-ethanaminium, 16,17-didehydro-10,11-dimethoxy-16-(methoxycarbonyl)-N,N,19-trimethyl-N-propyl-, iodide, (3.beta.,19.alpha.,20.alpha.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



● I⁻

L13 ANSWER 25 OF 99 CAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 1983:53831 CAPLUS
DOCUMENT NUMBER: 98:53831
TITLE: Synthesis and pharmacological activity of pyrazino-.beta.-carbolines
AUTHOR(S): Glushkov, R. G.; Filenko, N. I.; Mashkovskii, M. D.; Andreeva, N. I.; Sozinov, V. N.
CORPORATE SOURCE: Vses. Nauchno-Issled. Khim.-Farm. Inst., Moscow, USSR
SOURCE: Khim.-Farm. Zh. (1982), 16(9), 1054-8
CODEN: KHFZAN; ISSN: 0023-1134
DOCUMENT TYPE: Journal
LANGUAGE: Russian
GI

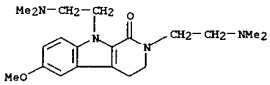


I II

AB The synthesis of I (m, n, R, R1, R2 = 1, 2, 6-MeO, H, Me; 1, 2, 7-MeO, H, Me; 1, 2, H, Me, Me; 1, 3, H, Me, Me, 2, 2, H, H, Me; 2, 3, H, H, Me), 14 II, and 5 related compds. were sketched, but no exptl. details were given. The compds. had psychotropic activity.

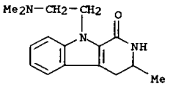
IT **84298-39-5P 84298-41-9P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. and psychotropic activity of)

RN 84298-39-5 CAPLUS
CN 1H-Pyrido[3,4-b]indol-1-one, 2,9-bis[2-(dimethylamino)ethyl]-2,3,4,9-tetrahydro-6-methoxy- (9CI) (CA INDEX NAME)

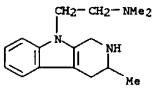


RN 84298-41-9 CAPLUS
CN 9H-Pyrido[3,4-b]indole-9-ethanamine, 1,2,3,4-tetrahydro-N,N,3-trimethyl-
(9CI) (CA INDEX NAME)

L13 ANSWER 25 OF 99 CAPLUS COPYRIGHT 2002 ACS (Continued)
3-methyl- (9CI) (CA INDEX NAME)

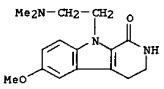


L13 ANSWER 25 OF 99 CAPLUS COPYRIGHT 2002 ACS (Continued)

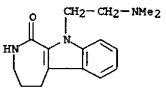


IT **897-44-9P 54188-27-1P 54188-34-0P**
84298-29-3P
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn., psychotropic activity, and reactions of)

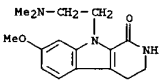
RN 897-44-9 CAPLUS
CN 1H-Pyrido[3,4-b]indol-1-one, 9-[2-(dimethylamino)ethyl]-2,3,4,9-tetrahydro-6-methoxy- (7CI, 8CI, 9CI) (CA INDEX NAME)



RN 54188-27-1 CAPLUS
CN Azepino[3,4-b]indol-1(2H)-one, 10-[2-(dimethylamino)ethyl]-3,4,5,10-tetrahydro- (9CI) (CA INDEX NAME)

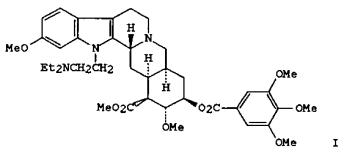


RN 54188-34-0 CAPLUS
CN 1H-Pyrido[3,4-b]indol-1-one, 9-[2-(dimethylamino)ethyl]-2,3,4,9-tetrahydro-7-methoxy- (9CI) (CA INDEX NAME)



RN 84298-29-3 CAPLUS
CN 1H-Pyrido[3,4-b]indol-1-one, 9-[2-(dimethylamino)ethyl]-2,3,4,9-tetrahydro-

L13 ANSWER 26 OF 99 CAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 1982:484925 CAPLUS
DOCUMENT NUMBER: 97:84925
TITLE: Toxicity of diethylaminoreserpine to a transplantable tumor: the significance of the presence of hypoxic cells
AUTHOR(S): Lehnert, Shirley
CORPORATE SOURCE: Dep. Radiat. Oncol., McGill Univ., Montreal, PQ, H3G 1A4, Can.
SOURCE: Cancer Res. (1982), 42(8), 3028-32
CODEN: CNREA8; ISSN: 0008-5472
DOCUMENT TYPE: Journal
LANGUAGE: English
GI

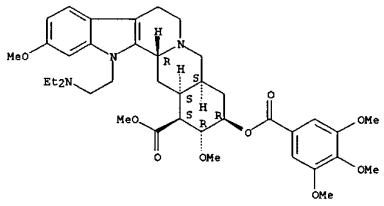


I

AB The survival of clonogenic cells from 2 transplantable mouse tumors was measured following i.p. injection of DL-152 (diethylaminoreserpine) (I) {**53-18-9**} to the tumor-bearing mouse. Redn. of surviving fraction was seen for both tumors following injection of the drug, minimal nos. of surviving cells being seen from 24 to 48 h after injection. Greater cell kill was obsd. for the KHT fibrosarcoma than for the EMT6 mammary carcinoma. Redn. in surviving fraction of the KHT tumor was already obsd. at 1 h after injection of the drug, and survival at that time was reduced if tumor cells were acutely hypoxic prior to excision of the tumor. Results also indicated that chronically hypoxic radioresistant cells were more sensitive to the drug than were aerated cells. Significant redn. in surviving fraction was seen for doses of DL-152 as low as 5 mg/kg. Using KHT tumors growing as lung nodules, the toxicity of DL-152 was not

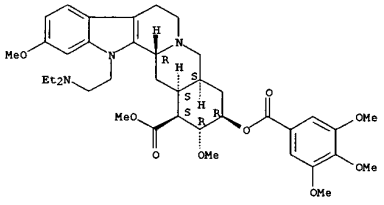
L13 ANSWER 26 OF 99 CAPLUS COPYRIGHT 2002 ACS (Continued)
apparent until 11-14 days after initiation of the tumor and that subsequent sensitivity of cells to DL-152 increased with increasing age of
the lung tumor. Hypoxic cells were detectable in 10-day-old lung tumors,
a time at which the tumors were still resistant to the toxic effects of
DL-152. In vitro expts. using KHT cells in suspension showed that a high
concn. of DL-152 was toxic to both hypoxic and aerated cells but that
hypoxic cells were more sensitive to lower concns. than were aerated cells.
IT **53-18-9**
RL: PRP (Properties)
(cytotoxicity of, hypoxic cells in relation to)
RN 53-18-9 CAPLUS
CN Yohimban-16-carboxylic acid,
1-[2-(diethylamino)ethyl]-11,17-dimethoxy-18-
[(3,4,5-trimethoxybenzoyl)oxy]-, methyl ester,
(3.beta.,16.beta.,17.alpha.,18.beta.,20.alpha.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L13 ANSWER 27 OF 99 CAPLUS COPYRIGHT 2002 ACS (Continued)
[(3,4,5-trimethoxybenzoyl)oxy]-, methyl ester,
(3.beta.,16.beta.,17.alpha.,18.beta.,20.alpha.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

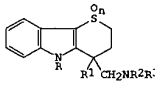


L13 ANSWER 27 OF 99 CAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 1982:213361 CAPLUS
DOCUMENT NUMBER: 96:213361
TITLE: Toxicity of diethylaminoreserpine to tumor cells: effects of drug alone and in combination with radiation
AUTHOR(S): Lehnert, Shirley
CORPORATE SOURCE: Dep. Radiat. Oncol., McGill Univ., Montreal, PQ, Can.
SOURCE: Int. J. Radiat. Oncol., Biol. Phys. (1982), 8(3-4),
505-9
CODEN: IOBPD3; ISSN: 0360-3016
DOCUMENT TYPE: Journal
LANGUAGE: English
AB DL-152 (diethylaminoreserpine) is toxic to cells of 2 transplantable mouse
tumors. Minimal nos. of surviving tumor cells are seen at 24 and 48 h following administration of the drug to the tumor-bearing mouse, the small
fraction of cells surviving at this time (for doses as low as 5 mg/kg) indicates that both hypoxic and aerated cells are killed. For short (1 h)
exposures in vivo, however, both acutely and chronically hypoxic cells are
more sensitive to DL-152 than are their aerated counterparts. For KHT cells growing as lung nodules, DL-152 toxicity is not demonstrable until
11-14 days after initiation of the lung tumor, coinciding with the time at
which a hypoxic fraction develops in this model. In vitro expts. using
KHT cells in suspension showed certain concns. of DL-152 to be selectively
toxic to hypoxic cells. At higher concns. both hypoxic and aerated cells
were killed by the drug. The combined effect of DL-152 and irradn. has
been investigated using, as an index of response, the time required for a
transplantable tumor to regrow to a given vol. after treatment. DL-152
was equally effective in prolonging the period of radiation-induced growth
delay when it was given either shortly before or shortly after irradn. Growth delay attributable to drug plus irradn. was greater than would be
predicted if the effects of the 2 modalities were additive. Evidently,
DL-152 has a concn.-dependent specific toxicity for hypoxic cells and the
drug may require a hypoxic milieu to manifest toxicity.
IT **53-18-9**
RL: PRP (Properties)
(toxicity of, to neoplasms, radiation effect on)
RN 53-18-9 CAPLUS
CN Yohimban-16-carboxylic acid,
1-[2-(diethylamino)ethyl]-11,17-dimethoxy-18-

L13 ANSWER 28 OF 99 CAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 1982:199658 CAPLUS
DOCUMENT NUMBER: 96:199658
TITLE: Tetrahydrothiopyrano[3,2-b]indole derivatives and pharmaceutical composition containing these compounds
INVENTOR(S): Makisumi, Yasuo; Sasatani, Takashi
PATENT ASSIGNEE(S): Shionogi and Co., Ltd. , Japan
SOURCE: Eur. Pat. Appl., 35 pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 35259	A1	19810909	EP 1981-101451	19810227
EP 35259	B1	19840328		
R: BE, CH, DE, FR, GB, IT, NL, SE				
JP 56120686	A2	19810922	JP 1980-23751	19800227
JP 63065675	B4	19881216		
CA 1158241	A1	19831206	CA 1981-368802	19810119
ES 498968	A1	19811201	ES 1981-498968	19810130
DK 8100854	A	19810828	DK 1981-854	19810225
DK 150305	B	19870202		
DK 150305	C	19871123		
AU 8167934	A1	19810903	AU 1981-67934	19810227
AU 538185	B2	19840802		
ES 502954	A1	19821216	ES 1981-502954	19810611
US 4910318	A	19900320	US 1984-633686	19840725
PRIORITY APPLN. INFO.:			JP 1980-23751	19800227
			US 1981-227203	19810122
			US 1982-409107	19820818

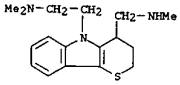
GI



I

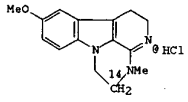
AB Thiopyranoindoles I (R = H, alkyl, alkenyl, alkynyl, aralkyl, aryl, aminoalkyl; R1, R2 = H, alkyl; R3 = H, alkyl, aminoalkyl; NR2R3 = heterocyclyl; n = 0-2) were prepd. Thus, treating 1-ethylindole with thiourea and then hydrolysis gave 1-ethyl-3-indolethiol which was treated
with ClC.tplbond.CCH2OH and cyclized with pyridine to give 4-formyl-5-methyl-2,3,4,5-tetrahydrothiopyrano[3,2-b]indole. Reductive
amination of the last compd. gave I.HCl (R = R2 = Me, R1 = R3 = H; n = 0)

L13 ANSWER 28 OF 99 CAPLUS COPYRIGHT 2002 ACS (Continued)
which had an antiinflammatory ED30 of 9.4 mg/kg orally in rats in the carrageenin edema test and an analgesic ED50 of 6.4 mg/kg orally in mice
in the HOAc writhing test.
IT **80189-31-7P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of)
RN 80189-31-7 CAPLUS
CN Thiopyrano[3,2-b]indole-5(2H)-ethanamine, 3,4-dihydro-N,N-dimethyl-4-[(methylamino)methyl]-, dihydrochloride (9CI) (CA INDEX NAME)

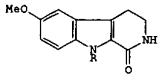


●2 HCl

L13 ANSWER 29 OF 99 CAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 1982:20067 CAPLUS
DOCUMENT NUMBER: 96:20067
TITLE: Synthesis of inkasan-14C
AUTHOR(S): Askinazi, B. Z.; Vekshina, L. I.; Kogan, N. A.; Kozarinskaya, N. Ya.
CORPORATE SOURCE: USSR
SOURCE: Khim.-Farm. Zh. (1981), 15(10), 70-3
CODEN: KHFZAN; ISSN: 0023-1134
DOCUMENT TYPE: Journal
LANGUAGE: Russian
GI

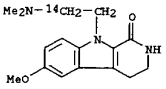


I



II

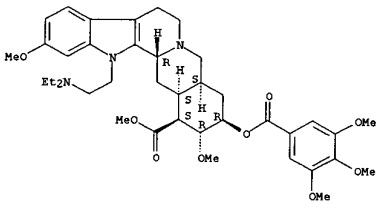
AB The title compd. I was prepd. in 8 steps from NaCN-14C by treatment with
CH2O and BzCl to give N14CCH2OBz, followed by redn., methylation, chlorination, treatment with II (R = Na) to give II (R = CH214CH2NMe2),
cyclization by POCl3 to give a dichlorophosphonate salt, and ethanolysis.
IT **80309-62-2P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. and cyclization by phosphoryl chloride)
RN 80309-62-2 CAPLUS
CN 1H-Pyrido[3,4-b]indol-1-one, 9-[2-(dimethylamino)ethyl-2-14C]-2,3,4,9-tetrahydro-6-methoxy- (9CI) (CA INDEX NAME)



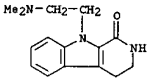
L13 ANSWER 30 OF 99 CAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 1981:561922 CAPLUS
DOCUMENT NUMBER: 95:161922
TITLE: Radioprotection of normal and malignant tissue in the
mouse by diethylaminoreserpine
AUTHOR(S): Lehnert, Shirley; Fisher, Gillian; Methot, Gilles
CORPORATE SOURCE: Dep. Radiat. Oncol., McGill Univ., Montreal, PQ, Can.
SOURCE: Int. J. Radiat. Biol. Relat. Stud. Phys., Chem. Med.
(1981), 40(1), 63-73
CODEN: IJRBA3; ISSN: 0020-7616
DOCUMENT TYPE: Journal
LANGUAGE: English
AB Dose-modifying factors for protection by diethylaminoreserpine (I) [**53-18-9**] preirradn. injection ranged from 1.0 for bone marrow colony-forming units to >1.8 for skin in mice, and were 1.0 and 1.7 for
the EMT6 adenocarcinoma and KHT fibrosarcoma, resp. Acutely hypoxic KHT
tumors were protected to a slightly lesser extent than were aerated tumors. For the KHT tumor, the no. of clonogenic cells recovered from
nonirradiated tumors 1 h after I injection was reduced to 60% of the no.
from saline-treated controls, whereas if I-injected mice were acutely hypoxic at the time of sacrifice, the no. of clonogenic cells was further
reduced. The survival of nonirradiated EMT6 tumor cells was unaffected by
I injection prior to sacrifice.
IT **53-18-9**
RL: BIOL (Biological study)
(radioprotection of animal cell and tumor by)
RN 53-18-9 CAPLUS
CN Yohimban-16-carboxylic acid, 1-[2-(diethylamino)ethyl]-11,17-dimethoxy-18-[(3,4,5-trimethoxybenzoyl)oxy]-, methyl ester, (3.beta.,16.beta.,17.alpha.,18.beta.,20.alpha.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L13 ANSWER 30 OF 99 CAPLUS COPYRIGHT 2002 ACS (Continued)



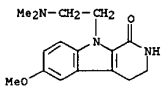
L13 ANSWER 31 OF 99 CAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 1981:515455 CAPLUS
DOCUMENT NUMBER: 95:115455
TITLE: Synthesis and antimonoamine oxidase activity of inkasan and its analogs
AUTHOR(S): Glushkov, R. G.; Vasil'evykh, L. G.; Kogan, Z. S.;
Gorkin, V. Z.
CORPORATE SOURCE: Vses. Nauchno-Issled. Khim.-Farm. Inst. im. Ordzhonikidze, Moscow, USSR
SOURCE: Khim.-Farm. Zh. (1981), 15(5), 58-62
CODEN: KHFZAN; ISSN: 0023-1134
DOCUMENT TYPE: Journal
LANGUAGE: Russian
GI For diagram(s), see printed CA Issue.
AB The title compds. I (n = 2, X = Me, H, R = Me) .HCl and I (n = 3, X = H, R
= Et).tartrate (II) were prepd. by cyclization of III with POCl3 via a
dichlorophosphate intermediate. I and II inhibited monoamine oxidase activity.
IT **54188-33-9**
RL: RCT (Reactant)
(antiomonoamine oxidase activity of)
RN 54188-33-9 CAPLUS
CN 1H-Pyrido[3,4-b]indol-1-one, 9-[2-(dimethylamino)ethyl]-2,3,4,9-tetrahydro-, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

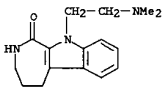
IT **966-86-9**
RL: RCT (Reactant)
(cyclization of, with phosphorus oxychloride)
RN 966-86-9 CAPLUS
CN 1H-Pyrido[3,4-b]indol-1-one, 9-[2-(dimethylamino)ethyl]-2,3,4,9-tetrahydro-6-methoxy-, monohydrochloride (9CI) (CA INDEX NAME)

L13 ANSWER 31 OF 99 CAPLUS COPYRIGHT 2002 ACS (Continued)

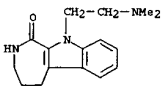


● HCl

IT **54188-27-1P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation)
(prepn. and cyclization of, with phosphorus oxychloride)
RN 54188-27-1 CAPLUS
CN Azepino[3,4-b]indol-1(2H)-one, 10-[2-(dimethylamino)ethyl]-3,4,5,10-tetrahydro- (9CI) (CA INDEX NAME)



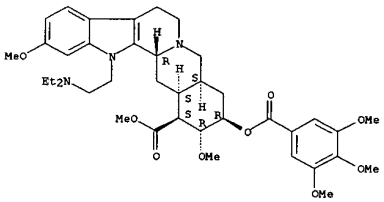
IT **54188-28-2P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of)
RN 54188-28-2 CAPLUS
CN Azepino[3,4-b]indol-1(2H)-one, 10-[2-(dimethylamino)ethyl]-3,4,5,10-tetrahydro-, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

L13 ANSWER 32 OF 99 CAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 1980:488465 CAPLUS
DOCUMENT NUMBER: 93:88465
TITLE: Relationship of cyclic AMP levels to duration of radiation-induced mitotic delay
AUTHOR(S): Lehnert, Shirley
CORPORATE SOURCE: Dep. Ther. Radiol., McGill Univ., Montreal, PQ, H3C
3G1, Can.
SOURCE: Int. J. Radiat. Biol. Relat. Stud. Phys., Chem. Med.
(1979), 36(4), 417-22
CODEN: IJRBA3; ISSN: 0020-7616
DOCUMENT TYPE: Journal
LANGUAGE: English
AB Caffeine (I) [58-08-2], but not the other Me xanthines tested (theophylline [58-55-9] and IBMX [28822-58-4]), protected against x ray-induced mitotic delay in cultures of CHO cells. The effect of I was
not related to intracellular cAMP [60-92-4] level, since I did not induce
a change in cAMP level. IBMX, RO 20-1724 [29925-17-5], and diethyl-amino-1-reserpine [**53-18-9**] which elevated cAMP all induced some delay in the passage of unirradiated cells into mitosis, with
varying timing and extent of effect. Synergism between RO 20-1724 and
irradn. in terms of lengthening the period of mitotic delay was obsd.
IT **53-18-9**
RL: BIOL (Biological study)
(x radiation-induced delay of mitosis response to, cAMP in relation to)
RN 53-18-9 CAPLUS
CN Yohimban-16-carboxylic acid, 1-[2-(diethylamino)ethyl]-11,17-dimethoxy-18-[(3,4,5-trimethoxybenzoyl)oxy]-, methyl ester, (3.beta.,16.beta.,17.alpha.,18.beta.,20.alpha.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

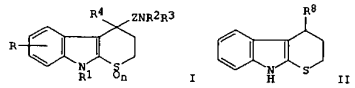


L13 ANSWER 32 OF 99 CAPLUS COPYRIGHT 2002 ACS (Continued)

L13 ANSWER 33 OF 99 CAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 1980:215423 CAPLUS
DOCUMENT NUMBER: 92:215423
TITLE: Tetrahydrothiopyrano[2,3-b]indole derivatives
INVENTOR(S): Makisumi, Yasuo; Takada, Susumu; Sasatani, Takashi; Ishizuka, Natsuki
PATENT ASSIGNEE(S): Shionogi and Co., Ltd., Japan
SOURCE: Ger. Offen., 62 pp.
CODEN: GWXXBX
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DE 2921001	A1	19791129	DE 1979-2921001	19790523
JP 55007201	A2	19800119	JP 1978-61832	19780523
US 4256640	A	19810317	US 1979-39382	19790515
AU 7947154	A1	19791129	AU 1979-47154	19790517
AU 522699	B2	19820624		
CA 1129413	A1	19820810	CA 1979-328075	19790518
DK 7902076	A	19791124	DK 1979-2076	19790521
SE 7904493	A	19791124	SE 1979-4493	19790522
FR 2426689	A1	19791221	FR 1979-13023	19790522
FR 2426689	B1	19830128		
ES 480787	A1	19800616	ES 1979-480787	19790522
NL 7904089	A	19791127	NL 1979-4089	19790523
GB 2030133	A	19800402	GB 1979-17937	19790523
GB 2030133	B2	19830302		
ES 484683	A1	19800616	ES 1979-484683	19791003

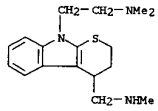
PRIORITY APPLN. INFO.: JP 1978-61832 19780523
GI



I II

AB Thiopyranoindoles I [R = H, 1 or 2 halo, OH, alkyl, alkoxy, haloalkyl; R1 = H, alkyl, hydroxyalkyl, alkenyl, aralkyl, aryl, COR5 (R5 = alkyl, alkenyl, aryl, alkoxy), Z1NR6R7 (Z1 = alkylene, oxo-, hydroxyalkenylene, R6, R7 = H, alkyl); R2 = H, alkyl; R3 = H, alkyl, hydroxyalkyl, alkenyl, aralkyl, aryl, dialkylaminoalkyl, NR2R3 = 1-pyrrolidinyl, piperidino, piperazino, 4-alkyl-, 4-arylpiperazino, morpholino; R4 = H, alkyl; Z =

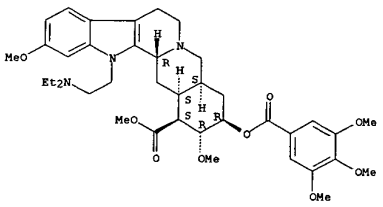
L13 ANSWER 33 OF 99 CAPLUS COPYRIGHT 2002 ACS (Continued)
CH2, alkylmethylene, CH2CH2, alkylethylene; n = 0, 1, 2], useful as analgesics and inflammation inhibitors, were prepd. by 11 methods. Thus, sulfuration of oxindole with P2S5 in THF gave 78% 2-indolinethione, which was S-alkylated with HC.tplbond.CCH2Br to give 98% 2-(propargylthio)indole. This was cyclized and cyanated by refluxing in EtOH-NEt3, then treated with KOCN in aq. EtOH to give 69% nitrile II (R8 = cyano) which was reduced with AlCl3-LiAlH4 in Et2O to give 72% amine II (R8 = CH2NH2). II (R8 = CH2NHMe) oxalate had analgesic ED50 of 4.2 mg/kg in the mouse (AcOH writhing test) and had 66% antiinflammatory activity at 50 .mu.g/kg in the rat (carrageenin edema test).
IT **73482-09-4P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of)
RN 73482-09-4 CAPLUS
CN Thiopyrano[2,3-b]indole-9(2H)-ethanamine, 3,4-dihydro-N,N-dimethyl-4-[(methylamino)methyl]-, dihydrochloride (9CI) (CA INDEX NAME)



●2 HCl

L13 ANSWER 34 OF 99 CAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 1980:121707 CAPLUS
DOCUMENT NUMBER: 92:121707
TITLE: Monoamine oxidase inhibition and brain amine metabolism after oral treatment with toloxatone in the rat
AUTHOR(S): Keane, P. E.; Kan, J. P.; Sontag, N.; Strolin Benedetti, M.
CORPORATE SOURCE: Cent. Rech. Delalande, Rueil-Malmaison, 92500, Fr.
SOURCE: J. Pharm. Pharmacol. (1979), 31(11), 752-4
CODEN: JPPMAB; ISSN: 0022-3573
DOCUMENT TYPE: Journal
LANGUAGE: English
AB Toloxatone (I) [29218-27-7] (100 mg/kg, orally) in rats reversibly inhibited type A monoamine oxidase (EC 1.4.3.4) (II) [9001-66-5], but did not affect type B II activity in whole brain. Cerebral concns. of noradrenaline [51-41-2], dopamine [51-61-6], and 5-hydroxytryptamine [50-67-9] were increased after I treatment, whereas their metabolite concns. were reduced. Synaptosomal uptake processes of these amines were not altered by I.
IT **53-18-9**
RL: RCT (Reactant)
(as noradrenaline metabolite of brain, toloxatone redn. of)
RN 53-18-9 CAPLUS
CN Yohimban-16-carboxylic acid, 1-[2-(diethylamino)ethyl]-11,17-dimethoxy-18-[(3,4,5-trimethoxybenzoyl)oxy]-, methyl ester, (3.beta.,16.beta.,17.alpha.,18.beta.,20.alpha.)- (9CI) (CA INDEX NAME)

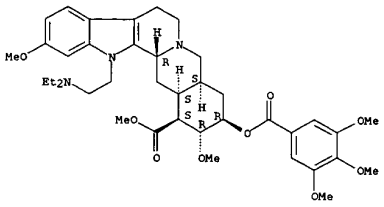
Absolute stereochemistry.



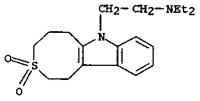
L13 ANSWER 35 OF 99 CAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 1980:285 CAPLUS
DOCUMENT NUMBER: 92:285
TITLE: Radioprotection of mouse intestine by inhibitors of cyclic AMP phosphodiesterase
AUTHOR(S): Lehnert, Shirley
CORPORATE SOURCE: Dep. Ther. Radiol., McGill Univ., Montreal, PQ, Can.
SOURCE: Int. J. Radiat. Oncol., Biol. Phys. (1979), 5(6), 825-33
CODEN: IOBPD3; ISSN: 0360-3016
DOCUMENT TYPE: Journal
LANGUAGE: English
AB The survival of colony-forming units of the jejunal crypt was used to assay the radioprotective capacity of various inhibitors of cyclic AMP phosphodiesterase [9036-21-9]. DL-152 [**53-18-9**], RO-201724 [29925-17-5] and the Me xanthines, caffeine [58-08-2], theophylline [58-55-9] and methylisobutylxanthine (MIX) [28822-58-4] all had some radioprotective effect. The degree of radioprotection depended on the route of administration of the drug and on the timing of administration with respect to irradn. Optimum survival of crypt stem cells was found following i.p. administration of DL-152 (60 min before irradn.) or MIX (30 min before irradn.), and following i.v. administration of caffeine (60-120 min before irradn.) or theophylline (60 min before irradn.). When these protocols were used, crypt stem cell survival could be enhanced by a factor of from 6 to 7. All the compds. investigated produced some elevation of cyclic AMP [60-92-4] content of the whole jejunum; this was found to be simultaneous with or to precede the period of max. radioprotection. Cyclic AMP was localized with immunofluorescent staining; following injection of DL-152 it was elevated in all parts of the jejunum but to the greatest extent in the lower part of the crypt. Survival curves for crypt stem cells from MIX and DL-152 treated mice showed almost the same exponential slope as the saline-injected control, suggesting that the mechanism of protection does not depend on induction of hypoxia.
IT **53-18-9**
RL: BAC (Biological activity or effector, except adverse); BIOL (Biological study)
(radioprotectant activity of, cyclic AMP phosphodiesterase inhibition in relation to)
RN 53-18-9 CAPLUS
CN Yohimban-16-carboxylic acid, 1-[2-(diethylamino)ethyl]-11,17-dimethoxy-18-[(3,4,5-trimethoxybenzoyl)oxy]-, methyl ester, (3.beta.,16.beta.,17.alpha.,18.beta.,20.alpha.)- (9CI) (CA INDEX NAME)

L13 ANSWER 35 OF 99 CAPLUS COPYRIGHT 2002 ACS (Continued)

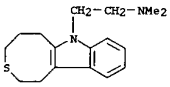
Absolute stereochemistry.



L13 ANSWER 36 OF 99 CAPLUS COPYRIGHT 2002 ACS (Continued)
CN Thiocino[5,4-b]indole-7(2H)-ethanamine, N,N-diethyl-1,4,5,6-tetrahydro-, 3,3-dioxide (9CI) (CA INDEX NAME)



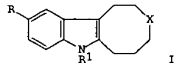
RN 70351-12-1 CAPLUS
CN Thiocino[5,4-b]indole-7(2H)-ethanamine, 1,4,5,6-tetrahydro-N,N-dimethyl- (9CI) (CA INDEX NAME)



L13 ANSWER 36 OF 99 CAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 1979:405217 CAPLUS
DOCUMENT NUMBER: 91:5217
TITLE: N,N-Dimethyl-1,2,4,5,6,7-hexahydrothiocino[5,4-b]indole-7-propanamine 3,3,-dioxides and related thiocines
INVENTOR(S): Cetenko, Wiaczeslaw A.; Morrison, Glenn C.
PATENT ASSIGNEE(S): Warner-Lambert Co., USA
SOURCE: U.S., 6 pp.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

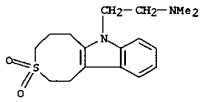
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 4144349	A	19790313	US 1977-863649	19771223

GI



I

AB The thiocinoindoles I (R = H, C1-6 alkyl, C1-6 alkoxy, halogen; R1 = C1-6 aminoalkyl; X = S, SO) their pharmaceutically acceptable addn. salts were prepd. Thus, 1-thiacyclooctan-5-one 1,1-dioxide was treated with PhNHNH2 in HOAc to give 80% I (R = R1 = H, X = SO) which was treated with Me2N(CH2)3Cl to give 64% I (R = Me2N(CH2)3, R1 = H, X = SO) (II) at 40 mg/kg II had antidepressant activity similar to iprindole.
IT **70351-09-6P 70351-10-9P 70351-12-1P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of)
RN 70351-09-6 CAPLUS
CN Thiocino[5,4-b]indole-7(2H)-ethanamine, 1,4,5,6-tetrahydro-N,N-dimethyl-, 3,3-dioxide (9CI) (CA INDEX NAME)

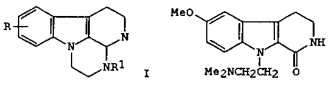


RN 70351-10-9 CAPLUS

L13 ANSWER 37 OF 99 CAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 1979:23110 CAPLUS
DOCUMENT NUMBER: 90:23110
TITLE: Pyrazino[1,2,3-ab]-.beta.-carboline derivatives and salts
INVENTOR(S): Glushkov, R. G.; Mashkovskii, M. D.; Andreeva, N. I.; Liberman, S. S.; Gerchikov, L. N.; Volskova, V. A.; Zaitseva, A. V.; Magidson, O. Yu.
PATENT ASSIGNEE(S): USSR
SOURCE: U.S., 4 pp.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 4088647	A	19780509	US 1976-746688	19761202
PRIORITY APPLN. INFO.:			US 1973-415841	19731114
			US 1976-655020	19760204

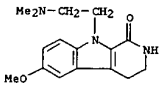
GI



I II

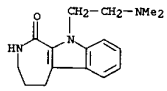
AB Pyrazinocarbolines I (R = H, OMe, lower alkyl; R1 = lower alkyl) were prepd. Thus, I (R = 8-OMe, R1 = Me) was obtained by cyclizing II with POCl3 and neutralizing the resulting chlorophosphate with NH4OH. I (R = 8-OMe, R1 = Me) had antidepressant activity (no data).
IT **67830-39-1**
RL: RCT (Reactant)
(cyclization of)
RN 67830-39-1 CAPLUS
CN 1H-Pyrido[3,4-b]indol-1-one, 9-[2-(dimethylamino)ethyl]-2,3,4,9-tetrahydro-6-methoxy-, hydrochloride (7CI, 9CI) (CA INDEX NAME)

L13 ANSWER 37 OF 99 CAPLUS COPYRIGHT 2002 ACS (Continued)

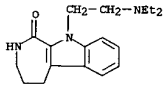


●x HCl

IT **54188-27-1P 54188-32-8P 54188-33-9P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation)
(prepn. and cyclization of)
RN 54188-27-1 CAPLUS
CN Azepino[3,4-b]indol-1(2H)-one, 10-[2-(dimethylamino)ethyl]-3,4,5,10-tetrahydro- (9CI) (CA INDEX NAME)

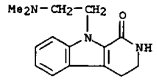


RN 54188-32-8 CAPLUS
CN Azepino[3,4-b]indol-1(2H)-one, 10-[2-(diethylamino)ethyl]-3,4,5,10-tetrahydro- (9CI) (CA INDEX NAME)



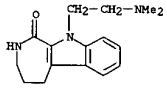
RN 54188-33-9 CAPLUS
CN 1H-Pyrido[3,4-b]indol-1-one,
9-[2-(dimethylamino)ethyl]-2,3,4,9-tetrahydro-
, monohydrochloride (9CI) (CA INDEX NAME)

L13 ANSWER 37 OF 99 CAPLUS COPYRIGHT 2002 ACS (Continued)



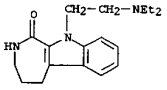
● HCl

IT **54188-28-2P 54188-29-3P 54188-30-6P**
54188-34-0P 54188-35-1P
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of)
RN 54188-28-2 CAPLUS
CN Azepino[3,4-b]indol-1(2H)-one, 10-[2-(dimethylamino)ethyl]-3,4,5,10-tetrahydro-, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

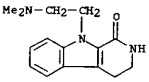
RN 54188-29-3 CAPLUS
CN Azepino[3,4-b]indol-1(2H)-one, 10-[2-(diethylamino)ethyl]-3,4,5,10-tetrahydro-, monohydrochloride (9CI) (CA INDEX NAME)



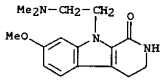
● HCl

RN 54188-30-6 CAPLUS
CN 1H-Pyrido[3,4-b]indol-1-one,
9-[2-(dimethylamino)ethyl]-2,3,4,9-tetrahydro-

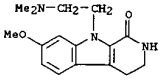
L13 ANSWER 37 OF 99 CAPLUS COPYRIGHT 2002 ACS (Continued)
(9CI) (CA INDEX NAME)



RN 54188-34-0 CAPLUS
CN 1H-Pyrido[3,4-b]indol-1-one,
9-[2-(dimethylamino)ethyl]-2,3,4,9-tetrahydro-
7-methoxy- (9CI) (CA INDEX NAME)

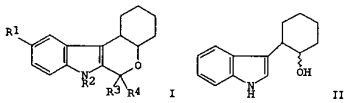


RN 54188-35-1 CAPLUS
CN 1H-Pyrido[3,4-b]indol-1-one,
9-[2-(dimethylamino)ethyl]-2,3,4,9-tetrahydro-
7-methoxy-, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

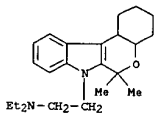
L13 ANSWER 38 OF 99 CAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 1978:615259 CAPLUS
DOCUMENT NUMBER: 89:215259
TITLE: Octahydro[1]benzopyrano[3,4-b]indoles
AUTHOR(S): Freter, Kurt
CORPORATE SOURCE: Pharma Res. Canada Ltd., Pointe Claire, Que., Can.
SOURCE: Justus Liebigs Ann. Chem. (1978), (8), 1357-64
CODEN: JLACBF; ISSN: 0075-4617
DOCUMENT TYPE: Journal
LANGUAGE: German
GI



AB Benzopyranoindoles I (R1 = H, MeO; R2 = H, CH2CH2NEt2, R3 = Me, Pr, Ph; R4
= CH2CO2Et, Ph, Me; R3R4 = CH2CH2NAcCH2CH2, CH2CH2NHCH2CH2) were prepd. in
38-96% yields by BF3-catalyzed cyclocondensation of indolylcyclohexanols
II (.alpha.- and .beta.-OH) with R3COR4. II were prepd. by cycloalkylation of indole with 2-hydroxycyclohexanone and H3PO4 and redn.
of the product with NaBH4. Free acids, N,N-dimethylamides, and amide redn. products were prepd. from the above I.

IT **68222-17-3P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of)
RN 68222-17-3 CAPLUS
CN [1]Benzopyrano[3,4-b]indole-7(1H)-ethanamine,
N,N-diethyl-2,3,4,4a,6,11c-
hexahydro-6,6-dimethyl-, monohydrochloride (9CI) (CA INDEX NAME)

L13 ANSWER 38 OF 99 CAPLUS COPYRIGHT 2002 ACS (Continued)



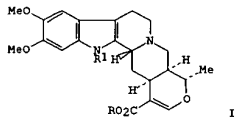
● HCl

L13 ANSWER 39 OF 99 CAPLUS COPYRIGHT 2002 ACS

ACCESSION NUMBER: 1978:105634 CAPLUS
DOCUMENT NUMBER: 88:105634
TITLE: Reserpiline derivatives
INVENTOR(S): Fukushima, Hideo; Komatsu, Yasuhiro
PATENT ASSIGNEE(S): Nisshin Flour Milling Co., Ltd., Japan
SOURCE: Japan. Kokai, 5 pp.
CODEN: JKXXAF
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 52093799	A2	19770806	JP 1976-10168	19760202

GI



I

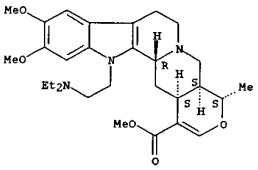
AB Ten reserpiline derivs. I (R = H, alkyl, dialkylaminoalkyl; R1 = alkyl, morpholinoethyl, dimethylaminoalkyl) were prepd. and their antiarrhythmia activity tested. Thus, 4.12 g reserpiline in Me2SO contg. NaH was treated with MeI at room temp. to give 1.5 g N-methylreserpiline. The effective and LDs of I (R = H, R1 = H, Me, Et) were tabulated.

IT **65644-96-4P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of)

RN 65644-96-4 CAPLUS
CN Oxayohimban-16-carboxylic acid, 16,17-didehydro-1-[2-(diethylamino)ethyl]-10,11-dimethoxy-19-methyl-, methyl ester, monohydrochloride, (3.beta.,19.alpha.,20.alpha.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L13 ANSWER 39 OF 99 CAPLUS COPYRIGHT 2002 ACS (Continued)



● HCl

L13 ANSWER 40 OF 99 CAPLUS COPYRIGHT 2002 ACS

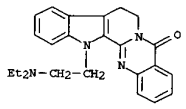
ACCESSION NUMBER: 1978:7168 CAPLUS
DOCUMENT NUMBER: 88:7168
TITLE: ind-N-Alkylation of rutecarpine and synthesis of two novel related heterocyclic ring systems: indolo[1',2':3,4]pyrazo[1,2-a]quinazoline and indolo[1',2':3,4][1,4]diazepino[1,2-a]quinazoline
AUTHOR(S): Danieli, Bruno; Palmisano, Giovanni
CORPORATE SOURCE: Ist. Chim. Org., Univ. Milano, Milan, Italy
SOURCE: J. Heterocycl. Chem. (1977), 14(5), 839-44
CODEN: JHTCAD
DOCUMENT TYPE: Journal
LANGUAGE: English

GI For diagram(s), see printed CA Issue.

AB The failure to obtain the alkylrutecarpines I (R = Et, Bu, isobutyl) by heating rutecarpine (I, R = H) with neat alkyl halides at 120.degrees. is compared with the facile reaction with MeI. In contrast, use of alkyl halide-K2CO3 in acetone gave I (R = alkyl) in good yield. Using 1,3-diiodopropane and 1,2-dibromoethane gave II (n = 2, 3), derivs. of indolo[1',2':3,4]pyrazo[1,2-a]quinazoline and indolo[1',2':3,4][1,4]diazepino[1,2-a]quinazolines.

IT **64837-11-2P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of)

RN 64837-11-2 CAPLUS
CN Indolo[2',3':3,4]pyrido[2,1-b]quinazolin-5(7H)-one, 13-[2-(diethylamino)ethyl]-8,13-dihydro-, monohydrochloride (9CI) (CA INDEX NAME)



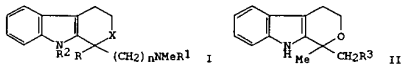
● HCl

L13 ANSWER 41 OF 99 CAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 1977:601506 CAPLUS
DOCUMENT NUMBER: 87:201506
TITLE: Pharmaceutical method for using pyrano- and thiopyranoindole derivatives
INVENTOR(S): Demerson, Christopher A.; Humber, Leslie G.; Asselin, Andre A.; Jirkovsky, Ivo; Dobson, Thomas A.
PATENT ASSIGNEE(S): Ayerst, McKenna and Harrison Ltd., Can.
SOURCE: U.S., 4 pp. Division of U.S. 4,003,913. CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 11
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 4041169	A	19770809	US 1976-736624	19761028
US 3852285	A	19741203	US 1972-217627	19720113
ZA 7208875	A	19740731	ZA 1972-8875	19721215
AU 7250439	A1	19740627	AU 1972-50439	19721222
US 3880853	A	19750429	US 1973-377837	19730709
US 4003913	A	19770118	US 1975-555906	19750305
US 4056538	A	19771101	US 1976-733834	19761018
US 4056537	A	19771101	US 1976-733829	19761018
US 4118394	A	19781003	US 1977-822456	19770808

PRIORITY APPLN. INFO.: US 1972-217627 19720113
US 1973-377837 19730709
US 1975-555906 19750305
US 1974-507085 19740918
US 1976-733834 19761018

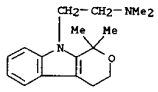
GI



AB Antidepressant and antiulcer (no data) tetrahydropyranoindoles, such as I (R = R1 = Me, R2 = H, X = O, n = 2, 3; R = Me, R1 = R2 = H, X = O, n = 3; R = Pr, R1 = Me, R2 = H, X = O, n = 2; R = Me, R1 = H, R2 = Pr, X = O, n = 2; R = R1 = Me, R2 = Et, X = S, n = 2) were prepd. Thus, tryptophol was condensed with AcCH2CO2Et, II (R3 = CO2Et) hydrolyzed, II (R3 = CO2H) aminated, and II (R3 = CONMe2) reduced with LiAlH4 to give II (R3 = CH2NMe2).

IT **64759-48-4P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation)
(prepn. and redn. of)

L13 ANSWER 41 OF 99 CAPLUS COPYRIGHT 2002 ACS (Continued)
monohydrochloride (9CI) (CA INDEX NAME)

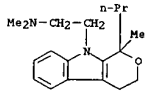


● HCl

RN 64733-85-3 CAPLUS
RN 64733-86-4 CAPLUS
CN Pyrano[3,4-b]indole-9(1H)-ethanamine, 3,4-dihydro-N,N,1-trimethyl-1-propyl-, (2Z)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

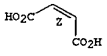
CRN 42821-24-9
CMF C19 H28 N2 O



CM 2

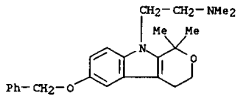
CRN 110-16-7
CMF C4 H4 O4

Double bond geometry as shown.



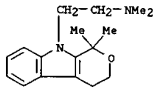
RN 64733-87-5 CAPLUS
RN 64733-88-6 CAPLUS
CN Pyrano[3,4-b]indole-9(1H)-ethanamine, 3,4-dihydro-N,N,1-trimethyl-1-(phenylmethyl)-, monohydrochloride (9CI) (CA INDEX NAME)

L13 ANSWER 41 OF 99 CAPLUS COPYRIGHT 2002 ACS (Continued)
RN 64759-48-4 CAPLUS
CN Pyrano[3,4-b]indole-9(1H)-ethanamine, 3,4-dihydro-N,N,1,1-tetramethyl-6-(phenylmethoxy)-, monohydrochloride (9CI) (CA INDEX NAME)

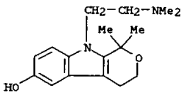


● HCl

IT **42821-20-5P 42821-32-9P 57791-12-5P 64733-85-3P 64733-86-4P 64733-87-5P 64733-88-6P 64733-89-7P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of)
RN 42821-20-5 CAPLUS
CN Pyrano[3,4-b]indole-9(1H)-ethanamine, 3,4-dihydro-N,N,1,1-tetramethyl- (9CI) (CA INDEX NAME)

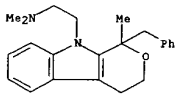


RN 42821-32-9 CAPLUS
CN Pyrano[3,4-b]indol-6-ol, 9-[2-(dimethylamino)ethyl]-1,3,4,9-tetrahydro-1,1-dimethyl- (9CI) (CA INDEX NAME)



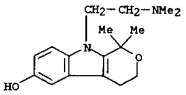
RN 57791-12-5 CAPLUS
CN Pyrano[3,4-b]indole-9(1H)-ethanamine, 3,4-dihydro-N,N,1,1-tetramethyl-,

L13 ANSWER 41 OF 99 CAPLUS COPYRIGHT 2002 ACS (Continued)



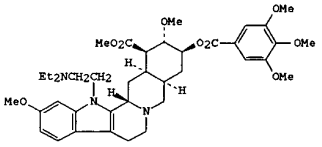
● HCl

RN 64733-89-7 CAPLUS
CN Pyrano[3,4-b]indol-6-ol, 9-[2-(dimethylamino)ethyl]-1,3,4,9-tetrahydro-1,1-dimethyl-, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

L13 ANSWER 42 OF 99 CAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 1977:448137 CAPLUS
DOCUMENT NUMBER: 87:48137
TITLE: Effect of reserpine and its derivatives on release of biogenic amines. Comparative study on the activity of reserpine and diethylaminoethyl-1-reserpine as bitartrates
AUTHOR(S): Cholet, R.
CORPORATE SOURCE: Dep. Pharmacol., Firma Lab. Lefrancq, Romainville, Fr.
SOURCE: Arzneim.-Forsch. (1977), 27(5), 1004-5
CODEN: ARZNAD
DOCUMENT TYPE: Journal
LANGUAGE: German
GI



I

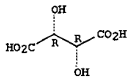
AB Bietaserpine bitartrate [1-(diethylaminoethyl)reserpine bitartrate](I) [
1111-44-0] by injection was .gtoreq.200-fold less potent than reserpine in causing depletion of catecholamines in the central nervous system of rats and in causing ptosis palpebralis in mice, an indicator of catecholamine release.
IT **1111-44-0**
RL: BIOL (Biological study)
(catecholamine release by brain hypothalamus in response to)
RN 1111-44-0 CAPLUS
CN Yohimban-16-carboxylic acid, 1-[2-(diethylamino)ethyl]-11,17-dimethoxy-18-[(3,4,5-trimethoxybenzoyl)oxy]-, methyl ester, (3.beta.,16.beta.,17.alpha.,18.beta.,20.alpha.)-, (2R,3R)-2,3-dihydroxybutanedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 87-69-4
CMF C4 H6 O6

Absolute stereochemistry.

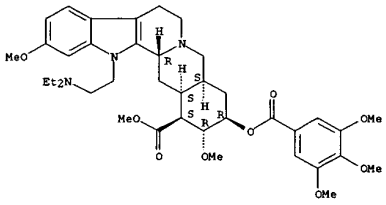
L13 ANSWER 42 OF 99 CAPLUS COPYRIGHT 2002 ACS (Continued)



CM 2

CRN 53-18-9
CMF C39 H53 N3 O9

Absolute stereochemistry.

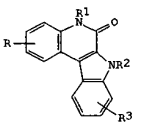


L13 ANSWER 43 OF 99 CAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 1977:439450 CAPLUS
DOCUMENT NUMBER: 87:39450
TITLE: Indoloquinolines and intermediates
INVENTOR(S): Fryer, Rodney Ian; Ning, Robert Ye-Fong; Sternbach, Leo Henryk; Walser, Armin
PATENT ASSIGNEE(S): Hoffmann-La Roche, Inc., USA
SOURCE: U.S., 26 pp.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 3
PATENT INFORMATION:

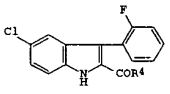
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 4014883	A	19770329	US 1975-596684	19750716
CA 1012147	A1	19770614	CA 1973-181739	19730924
FI 7400894	A	19750311	FI 1974-884	19740322
NO 7401039	A	19750311	NO 1974-1039	19740322
SE 7403950	A	19750311	SE 1974-3950	19740322

PRIORITY APPLN. INFO.: US 1972-292193 A2 19720925
US 1973-395871 A1 19730910

GI



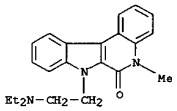
I



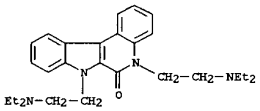
II

AB Indoloquinolones I (R = H, 2-NO2, 2-Cl, 2-Me, 3-(2-FC6H4), R1, R2 = H, alkyl, aminoalkyl, R3 = H, 11-Cl, 10-Br, 10-Cl, 10-OMe, 10-F, 10-NO2, 8-Cl) were prepd. Thus, 2-FC6H4CH2CHAcCO2Et was condesed with 4-ClC6H4N2Cl, the indolecarboxylate II (R4 = OEt) hydrolyzed and aminated, II (R4 = NHCH2CH2NMe2) cyclized with base to give I (R = R2 = H, R1 = CH2CH2NMe2, R3 = 10-Cl). This compd. had antitumor activity at 100 mg/kg day for 8 days orally or i.p. in mice.
IT **52865-42-6P 52865-44-8P 52865-53-9P**
52865-67-5P 52865-70-0P
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of)
RN 52865-42-6 CAPLUS
CN 6H-Indolo[2,3-c]quinolin-6-one, 7-[2-(diethylamino)ethyl]-5,7-dihydro-5-methyl- (9CI) (CA INDEX NAME)

L13 ANSWER 43 OF 99 CAPLUS COPYRIGHT 2002 ACS (Continued)

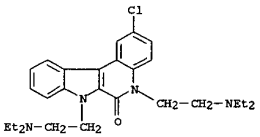


RN 52865-44-8 CAPLUS
CN 6H-Indolo[2,3-c]quinolin-6-one, 5,7-bis[2-(diethylamino)ethyl]-5,7-dihydro-, dihydrochloride (9CI) (CA INDEX NAME)



●2 HCl

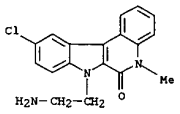
RN 52865-53-9 CAPLUS
CN 6H-Indolo[2,3-c]quinolin-6-one, 2-chloro-5,7-bis[2-(diethylamino)ethyl]-5,7-dihydro-, dihydrochloride (9CI) (CA INDEX NAME)



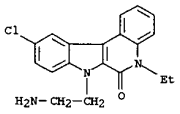
●2 HCl

RN 52865-67-5 CAPLUS
CN 6H-Indolo[2,3-c]quinolin-6-one, 7-(2-aminoethyl)-10-chloro-5,7-dihydro-5-

L13 ANSWER 43 OF 99 CAPLUS COPYRIGHT 2002 ACS (Continued)
methyl- (9CI) (CA INDEX NAME)



RN 52865-70-0 CAPLUS
CN 6H-Indolo[2,3-c]quinolin-6-one,
7-(2-aminoethyl)-10-chloro-5-ethyl-5,7-
dihydro- (9CI) (CA INDEX NAME)



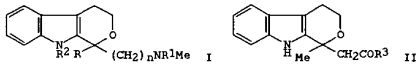
L13 ANSWER 44 OF 99 CAPLUS COPYRIGHT 2002 ACS

ACCESSION NUMBER: 1977:155635 CAPLUS
DOCUMENT NUMBER: 86:155635
TITLE: Pyrano- and thiopyranoindole derivatives and compositions
INVENTOR(S): Demerson, Christopher A.; Humber, Lesie G.; Asselin,
Andre A.; Jirkovsky, Ivo; Dobson, Thomas A.
PATENT ASSIGNEE(S): Ayerst, McKenna and Harrison Ltd., Can.
SOURCE: U.S., 47 pp. Continuation-in-part of U.S. 3,880,853.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 11
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 4003913	A	19770118	US 1975-555906	19750305
US 3852285	A	19741203	US 1972-217627	19720113
ZA 7208875	A	19740731	ZA 1972-8875	19721215
AU 7250439	A1	19740627	AU 1972-50439	19721222
US 3880853	A	19750429	US 1973-377837	19730709
US 4056538	A	19771101	US 1976-733834	19761018
US 4056537	A	19771101	US 1976-733829	19761018
US 4041169	A	19770809	US 1976-736624	19761028
US 4118394	A	19781003	US 1977-822456	19770808

PRIORITY APPLN. INFO.:
US 1972-217627 19720113
US 1973-377837 19730709
US 1974-507085 19740918
US 1975-555906 19750305
US 1976-733834 19761018

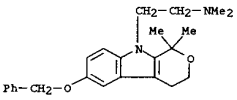
GI



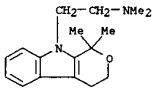
AB Pyranoindoles and thiopyranoindoles including I (R = R1 = Me, R2 = H, n = 2,3; R-R2 = Me, n = 2; R = Me, R1 = R2 = H, n = 3; R = Pr, R1 = Me, R2 = H, n = 2) were prepd. Thus, tryptophol was condensed with AcCH2CO2Et to give II (R3 = Et), which was hydrolyzed and the free acid aminated via the mixed anhydride (ClCO2Et) with Me2NH to give II (R3 = NMe2), which was reduced with LiAlH4 to give I (R = R1 = Me, R2 = H, n = 2). I were antidepressant in the reserpine antagonist test at 1-15 mg/kg.

IT **62481-45-2P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation)

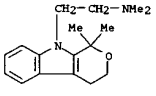
L13 ANSWER 44 OF 99 CAPLUS COPYRIGHT 2002 ACS (Continued)
(prepn. and redn. of)
RN 62481-45-2 CAPLUS
CN Pyrano[3,4-b]indole-9(1H)-ethanamine,
3,4-dihydro-N,N,1,1-tetramethyl-6-
(phenylmethoxy)- (9CI) (CA INDEX NAME)



IT **42821-20-5P 42821-21-6P 42821-24-9P**
42821-25-0P 42821-26-1P 42821-27-2P
42821-32-9P 62481-46-3P
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of)
RN 42821-20-5 CAPLUS
CN Pyrano[3,4-b]indole-9(1H)-ethanamine,
3,4-dihydro-N,N,1,1-tetramethyl-
(9CI) (CA INDEX NAME)



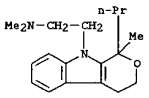
RN 42821-21-6 CAPLUS
CN Pyrano[3,4-b]indole-9(1H)-ethanamine,
3,4-dihydro-N,N,1,1-tetramethyl-,
hydrochloride (9CI) (CA INDEX NAME)



●x HCl

RN 42821-24-9 CAPLUS

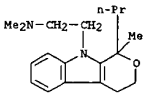
L13 ANSWER 44 OF 99 CAPLUS COPYRIGHT 2002 ACS (Continued)
CN Pyrano[3,4-b]indole-9(1H)-ethanamine,
3,4-dihydro-N,N,1-trimethyl-1-propyl-
(9CI) (CA INDEX NAME)



RN 42821-25-0 CAPLUS
CN Pyrano[3,4-b]indole-9(1H)-ethanamine,
3,4-dihydro-N,N,1-trimethyl-1-propyl-
, (2Z)-2-butenedioate (9CI) (CA INDEX NAME)

CM 1

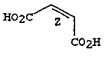
CRN 42821-24-9
CMF C19 H28 N2 O



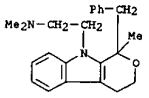
CM 2

CRN 110-16-7
CMF C4 H4 O4

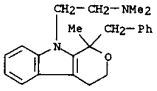
Double bond geometry as shown.



RN 42821-26-1 CAPLUS
CN Pyrano[3,4-b]indole-9(1H)-ethanamine, 3,4-dihydro-N,N,1-trimethyl-1-
(phenylmethyl)- (9CI) (CA INDEX NAME)

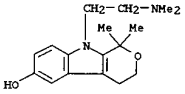


RN 42821-27-2 CAPLUS
CN Pyrano[3,4-b]indole-9(1H)-ethanamine, 3,4-dihydro-N,N,1-trimethyl-1-(phenylmethyl)-, hydrochloride (9CI) (CA INDEX NAME)

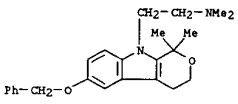


●x HCl

RN 42821-32-9 CAPLUS
CN Pyrano[3,4-b]indol-6-ol, 9-[2-(dimethylamino)ethyl]-1,3,4,9-tetrahydro-1,1-dimethyl- (9CI) (CA INDEX NAME)

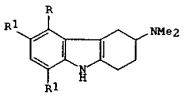


RN 62481-46-3 CAPLUS
CN Pyrano[3,4-b]indole-9(1H)-ethanamine, 3,4-dihydro-N,N,1,1-tetramethyl-6-(phenylmethoxy)-, hydrochloride (9CI) (CA INDEX NAME)



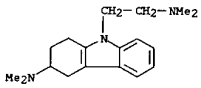
●x HCl

ACCESSION NUMBER: 1977:114982 CAPLUS
DOCUMENT NUMBER: 86:114982
TITLE: 3-Aminotetrahydrocarbazoles as a new series of central nervous system agents
AUTHOR(S): Mooradian, Aram; Dupont, Paul E.; Hlavac, Allan G.; Aceto, Mario D.; Pearl, Jack
CORPORATE SOURCE: Sterling-Winthrop Res. Inst., Rensselaer, N. Y., USA
SOURCE: J. Med. Chem. (1977), 20(4), 487-92
CODEN: JMCMAR
DOCUMENT TYPE: Journal
LANGUAGE: English
GI



I, R=R1=H
II, R=Me, R1=H
III, R=H, R1=F

AB Of 75 title compds., prepd. by Fisher cyclization of a cyclohexanone deriv. with a substituted phenylhydrazine, or the displacement reaction of an amine with a 3-(tosyloxy)-1,2,3,4-tetrahydrocarbazole deriv., none were more potent in preventing reserpine-induced ptosis in mice than 3-(dimethylamino)-1,2,3,4-tetrahydrocarbazole (I) [32211-97-5]. The 5-methyl deriv. (II) [60481-58-5] was as active as I in preventing ptosis, but, unlike I, did not prevent amphetamine-induced stereotyped behavior in rats. The 6,8-difluoro deriv. (III) [40594-09-0] was very active in preventing induced stereotyped behavior but inactive in preventing ptosis. Structure-activity relations and correlations with chloropromazine-like and imipramine-like activities are discussed.
IT **61894-98-2P**
RL: BAC (Biological activity or effector, except adverse); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(prepn. and central nervous system activity of)
RN 61894-98-2 CAPLUS
CN 9H-Carbazole-9-ethanamine, 3-(dimethylamino)-1,2,3,4-tetrahydro-N,N-dimethyl-, monohydrochloride (9CI) (CA INDEX NAME)



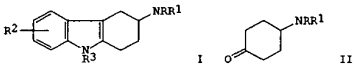
● HCl

L13 ANSWER 46 OF 99 CAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 1976:523759 CAPLUS
DOCUMENT NUMBER: 85:123759
TITLE: 3-Amido-1,2,3,4-tetrahydrocarbazoles
INVENTOR(S): Mooradian, Aram
PATENT ASSIGNEE(S): Sterling Drug, Inc., USA
SOURCE: U.S., 34 pp.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 4
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 3959309	A	19760525	US 1973-425205	19731217
US 3642816	A	19720215	US 1967-659606	19670810
US 4224335	A	19800923	US 1976-651882	19760123
US 4172834	A	19791030	US 1977-803891	19770606
US 4257952	A	19810324	US 1979-45917	19790606

PRIORITY APPLN. INFO.: US 1967-659606 19670810
CA 1968-10686 19680124
US 1969-793545 19690123
US 1971-172206 19710816
US 1973-425205 19731217
US 1974-465238 19740429
US 1975-579157 19750520
US 1977-803891 19770606

GI



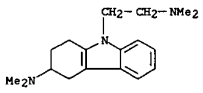
I II

AB Tetrahydrocarbazoles including I (R = R1 = Me, R2 = H, 6-OMe, 6-OH, 8-Me, 8-Cl, 6-Me, 6-Cl, R3 = H; R = R1 = Me, R2 = H, R3 = CH2C6H4Cl-4, CH2CH2NEt2, CH2CH2O2CC6H2(OMe)3-3,4,5, CH2CO2Et, Et, allyl, (CH2)3NMe2, Me, CH2Ph; R = Bu, CH2Ph, Me, Et, CH2CH2NEt2, R1-R3 = H; NRR1 = morpholino, piperidino, pyrrolidino, 4-phenylpiperazino, R2 = R3 = H) were prepd. by condensing cyclohexanones II with R2C6H4NR3NH2. I are psychotropics, antihistaminics and analgesics. Thus, I (R = R1 = Me, R2 = R3 = H) was effective in reserpine ptosis in mice at 10 mg/kg i.p.

IT **32212-05-8P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. and psychotropic and antihistaminic activity of)

RN 32212-05-8 CAPLUS
CN 9H-Carbazole-9-ethanamine, 3-(dimethylamino)-1,2,3,4-tetrahydro-N,N-dimethyl-, dihydrochloride (9CI) (CA INDEX NAME)

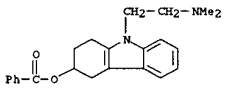
L13 ANSWER 46 OF 99 CAPLUS COPYRIGHT 2002 ACS (Continued)



●2 HCl

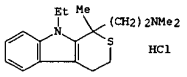
IT **60480-74-2P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of)

RN 60480-74-2 CAPLUS
CN 1H-Carbazol-3-ol, 9-[2-(dimethylamino)ethyl]-2,3,4,9-tetrahydro-, benzoate (ester), monohydrochloride (9CI) (CA INDEX NAME)



● HCl

L13 ANSWER 47 OF 99 CAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 1976:503883 CAPLUS
DOCUMENT NUMBER: 85:103883
TITLE: The effects of tandamine, a new potential antidepressant agent, on biogenic amine uptake mechanisms and related activities
AUTHOR(S): Lippmann, Wilbur; Pugsley, Thomas A.
CORPORATE SOURCE: Biochem. Pharmacol. Dep., Ayerst Res. Lab., Montreal, Que., Can.
SOURCE: Biochem. Pharmacol. (1976), 25(10), 1179-86
CODEN: BCPCA6
DOCUMENT TYPE: Journal
LANGUAGE: English
GI



I

AB (.+-.)Tandamine (I) [60188-74-1], which was one of several thiopyrano[3,4-b]indoles and pyrano[3,4-b]indoles tested, was a potent inhibitor (ED50 = 0.3 m/kg, i.p.) of in vivo norepinephrine (II) [51-41-2] uptake by mouse heart (3 times more active than desimipramine-HCl [58-28-6]) and was relatively ineffective in potentiating the 5-hydroxytryptophan behavioral syndrome. A pyranoindole and a thiopyranoindole blocked both II and brain 5-hydroxytryptamine (III) [50-67-9] uptake with activities greater than or similar to imipramine-HCl [113-52-0]. I was the most potent antagonizer of reserpine-induced hypothermia and guanethidine sulfate-induced depletion of heart II. (-)-Tandamine [60188-75-2] was more active than either I or (+)-tandamine [60188-76-3] in blocking II and III, uptake. The selective stereochem. effects of I and some of its congeners suggest their use as antidepressants and in studying the uptake mechanism and functional significance of II and III.

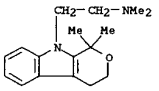
IT **60188-80-9 60188-81-0**
RL: BIOL (Biological study)
(hydroxytryptamine and noradrenaline uptake by heart inhibition by)

RN 60188-80-9 CAPLUS
CN Pyrano[3,4-b]indole-9(1H)-ethanamine, 3,4-dihydro-N,N,1,1-tetramethyl-, (2Z)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 42821-20-5

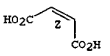
L13 ANSWER 47 OF 99 CAPLUS COPYRIGHT 2002 ACS (Continued)
CMF C17 H24 N2 O



CM 2

CRN 110-16-7
CMF C4 H4 O4

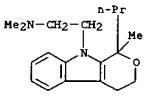
Double bond geometry as shown.



RN 60188-81-0 CAPLUS
CN Pyrano[3,4-b]indole-9(1H)-ethanamine, 3,4-dihydro-N,N,1-trimethyl-1-propyl-, ethanedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 42821-24-9
CMF C19 H28 N2 O



CM 2

CRN 144-62-7
CMF C2 H2 O4

L13 ANSWER 47 OF 99 CAPLUS COPYRIGHT 2002 ACS (Continued)

L13 ANSWER 48 OF 99 CAPLUS COPYRIGHT 2002 ACS

ACCESSION NUMBER: 1976:494402 CAPLUS
DOCUMENT NUMBER: 85:94402
TITLE: Tetracyclic compounds containing indole nucleus
PATENT ASSIGNEE(S): Ordzhonikidze, S., All-Union Scientific-Research Chemical-Pharmaceutical Institute, USSR
SOURCE: Japan. Kokai, 9 pp.
CODEN: JKXXAF
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

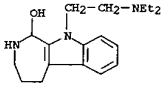
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 50083398	A2	19750705	JP 1973-129652	19731117
JP 56009510	B4	19810302		

GI For diagram(s), see printed CA Issue.

AB The tetracyclic compds. I (m, n = 2, 3; R, R1 = H, MeO; R2 = Me, Et) were prepd. by cyclization of the corresponding lactams II with POCl3. Thus, a mixt. of 2 g II (m = 2, n = 3, R = R1 = H, R2 = Me) and 10 ml POCl3 was heated for 4 hr, concd. and treated with NH4OH to give .apprx.50% I (m = 2, n = 3, R = R1 = H, R2 = Me). I [m, n, R-R2 given]: 2, 3, MeO, H, Me; 3, 3, H, H, Me; 3, 3, H, H, Et; 2, 2, H, H, Me; 2, 2, H, MeO, Me; 3, 2, MeO, H, Me; 2, 2, MeO, H, Me (as hydrochloride) were also prepd.

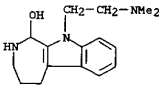
IT **60093-53-0P 60093-55-2P 60093-56-3P 60093-57-4P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation)
(prepn. and cyclization of)

RN 60093-53-0 CAPLUS
CN Azepino[3,4-b]indol-1-ol, 10-[2-(diethylamino)ethyl]-1,2,3,4,5,10-hexahydro- (9CI) (CA INDEX NAME)

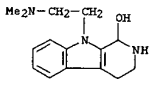


RN 60093-55-2 CAPLUS
CN Azepino[3,4-b]indol-1-ol, 10-[2-(dimethylamino)ethyl]-1,2,3,4,5,10-hexahydro- (9CI) (CA INDEX NAME)

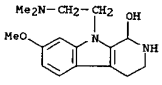
L13 ANSWER 48 OF 99 CAPLUS COPYRIGHT 2002 ACS (Continued)



RN 60093-56-3 CAPLUS
CN 1H-Pyrido[3,4-b]indol-1-ol, 9-[2-(dimethylamino)ethyl]-2,3,4,9-tetrahydro- (9CI) (CA INDEX NAME)



RN 60093-57-4 CAPLUS
CN 1H-Pyrido[3,4-b]indol-1-ol, 9-[2-(dimethylamino)ethyl]-2,3,4,9-tetrahydro- 7-methoxy- (9CI) (CA INDEX NAME)



L13 ANSWER 49 OF 99 CAPLUS COPYRIGHT 2002 ACS

ACCESSION NUMBER: 1976:31133 CAPLUS
DOCUMENT NUMBER: 84:31133
TITLE: Tetracyclic indole compounds
PATENT ASSIGNEE(S): Ordzhonikidze, S., All-Union Scientific-Research Chemical-Pharmaceutical Institute, USSR
SOURCE: Brit., 6 pp.
CODEN: BRXXAA
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

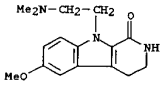
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
GB 1409935	A	19751015	GB 1973-54150	19731122

GI For diagram(s), see printed CA Issue.

AB Twelve title compds. I (n, m = 1, 2; R = Me, Et; R1, R2 = H, MeO) and I acid addn. salts were prepd. (40-88%) from the lactams II or II.HCl by heating with POCl3 followed by treatment with aq. NH3 or EtOH. Thus, I (n = m = 1, R = Me, R1 = MeO, R2 = H) was prepd. (.apprx.88%) from II by successive boiling 4 hr with POCl3 and 1 hr with EtOH; this compd. is useful as an antidepressant.

IT **966-86-9 54188-35-1**
RL: RCT (Reactant)
(cyclization of)

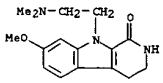
RN 966-86-9 CAPLUS
CN 1H-Pyrido[3,4-b]indol-1-one, 9-[2-(dimethylamino)ethyl]-2,3,4,9-tetrahydro- 6-methoxy-, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

RN 54188-35-1 CAPLUS
CN 1H-Pyrido[3,4-b]indol-1-one, 9-[2-(dimethylamino)ethyl]-2,3,4,9-tetrahydro- 7-methoxy-, monohydrochloride (9CI) (CA INDEX NAME)

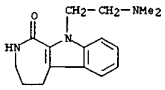
L13 ANSWER 49 OF 99 CAPLUS COPYRIGHT 2002 ACS (Continued)



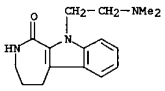
● HCl

IT **54188-27-1P 54188-28-2P 54188-29-3P**
54188-30-6P 54188-32-8P 54188-33-9P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation)
(prepn. and cyclization of)

RN 54188-27-1 CAPLUS
CN Azepino[3,4-b]indol-1(2H)-one, 10-[2-(dimethylamino)ethyl]-3,4,5,10-tetrahydro- (9CI) (CA INDEX NAME)



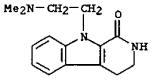
RN 54188-28-2 CAPLUS
CN Azepino[3,4-b]indol-1(2H)-one, 10-[2-(dimethylamino)ethyl]-3,4,5,10-tetrahydro-, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

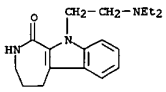
RN 54188-29-3 CAPLUS
CN Azepino[3,4-b]indol-1(2H)-one, 10-[2-(diethylamino)ethyl]-3,4,5,10-tetrahydro-, monohydrochloride (9CI) (CA INDEX NAME)

L13 ANSWER 49 OF 99 CAPLUS COPYRIGHT 2002 ACS (Continued)



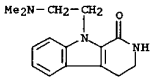
● HCl

L13 ANSWER 49 OF 99 CAPLUS COPYRIGHT 2002 ACS (Continued)

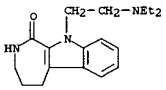


● HCl

RN 54188-30-6 CAPLUS
CN 1H-Pyrido[3,4-b]indol-1-one, 9-[2-(dimethylamino)ethyl]-2,3,4,9-tetrahydro- (9CI) (CA INDEX NAME)



RN 54188-32-8 CAPLUS
CN Azepino[3,4-b]indol-1(2H)-one, 10-[2-(diethylamino)ethyl]-3,4,5,10-tetrahydro- (9CI) (CA INDEX NAME)

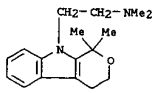


RN 54188-33-9 CAPLUS
CN 1H-Pyrido[3,4-b]indol-1-one, 9-[2-(dimethylamino)ethyl]-2,3,4,9-tetrahydro-, monohydrochloride (9CI) (CA INDEX NAME)

L13 ANSWER 50 OF 99 CAPLUS COPYRIGHT 2002 ACS

ACCESSION NUMBER: 1976:30939 CAPLUS
DOCUMENT NUMBER: 84:30939
TITLE: Novel class of potential antidepressants, 1-aminoalkyl-1,3,4,9-tetrahydropyrano[3,4-b]indoles
AUTHOR(S): Humber, Leslie G.; Demerson, Christopher A.; Asselin, Andre A.; Charest, Marie P.; Pelz, Karel
CORPORATE SOURCE: Dep. Chem., Ayerst Res. Lab., Montreal, Que., Can.
SOURCE: Eur. J. Med. Chem. - Chim. Ther. (1975), 10(3), 215-20
CODEN: EJMCA5
DOCUMENT TYPE: Journal
LANGUAGE: English
GI For diagram(s), see printed CA Issue.
AB (Aminoalkyl)pyranoindoles I (R = H, Me, Et, Pr; R1 = H, 6-MeO, 6-PhCH2O, 6-HO, 5-Cl, 5-Me; R3 = H, Me, Et; R4 = Me, Et; NR3R4 = pyrrolidino, piperidino, morpholino, N-methylpiperazino; n = 2, 3) (24 compds.) were prepd. and their acute toxicities and antidepressant activities were detd. Condensation of MeCO(CH2)nCO2Et (n = 1, 2) with indolesthanol II and subsequent alkylation with RX (X = halo) and hydrolysis gave acids III (n = 1, 2). Amidation of III (n = 1, 2) with R3R4NH followed by redn. with LiAlH4 gave I (n = 2, 3). I.HCl (R = Me, R1 = H, R3R4N = Me2N, n = 2) (V) had an ED50 of 0.51 mg/kg i.p. in mice in the prevention of reserpine-induced ptosis. The enantiomers of V had the same magnitude of activity as the racemate.
IT **57791-12-5P**
RL: BAC (Biological activity or effector, except adverse); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. and antidepressant activity of)
RN 57791-12-5 CAPLUS
CN Pyrano[3,4-b]indole-9(1H)-ethanamine, 3,4-dihydro-N,N,1,1-tetramethyl-, monohydrochloride (9CI) (CA INDEX NAME)

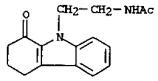
L13 ANSWER 50 OF 99 CAPLUS COPYRIGHT 2002 ACS (Continued)



● HCl

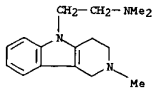
L13 ANSWER 51 OF 99 CAPLUS COPYRIGHT 2002 ACS

ACCESSION NUMBER: 1975:531553 CAPLUS
DOCUMENT NUMBER: 83:131553
TITLE: Pyrazino[1,2-a]- and 1,4-diazepino[1,2-a]indoles. Synthesis of pyrrolo[2',1':3,4]pyrazino[1,2-a]indoles and -1,4-diazepino[1,2-a]indoles, isoindolo[2',1':3,4]pyrazino[1,2-a]indoles and -1,4-diazepino[1,2-a]indoles, pyrazino[3,2,1-jk]carbazoles and 1,4-diazepino[3,2,1-jk]carbazoles
AUTHOR(S): Gatta, F.; Chiavarelli, S.
CORPORATE SOURCE: Lab. Chim. Ter., Ist. Super Sanita, Rome, Italy
SOURCE: Farmaco, Ed. Sci. (1975), 30(8), 631-41
CODEN: FRPSAX
DOCUMENT TYPE: Journal
LANGUAGE: Italian
GI For diagram(s), see printed CA Issue.
AB Condensed indoles I and II (X = CH2, CH2CH2, X1 = O, R = H, Me, Ph) were prepd. by cyclizing 1-(aminoalkyl)indoles with RCOCH2CH2CO2H or o-RCOC6H4CO2H. They were reduced to I and II (X1 = H2) with LiAlH4. I rearranged with HCl to III (R = H, Me, Ph, R1 = H, X = CH2, X1 = O). III (RR1 = bond, X = CH2, CH2CH2, X1 = H2) were prepd. by hydrolyzing IV and were reduced to III (R = R1 = H) with NaBH4 or to III (R = H, R1 = Me) with NaBH4-MeI. Treatment of III (RR1 = bond) with Ac2O gave N-acetylation with rearrangement of the double bond. But N-acetyl derivs. were aromatized with Pd-C. The oxime of III (X = CH2, X1 = O, R = Me, R1 = H) underwent Beckmann rearrangment to V (X2 = CONH, NHCO).
IT **56903-44-7P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation)
(prepn. and hydrolysis of)
RN 56903-44-7 CAPLUS
CN Acetamide, N-[2-(1,2,3,4-tetrahydro-1-oxo-9H-carbazol-9-yl)ethyl]- (9CI)
(CA INDEX NAME)

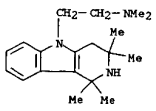


L13 ANSWER 52 OF 99 CAPLUS COPYRIGHT 2002 ACS

ACCESSION NUMBER: 1975:508140 CAPLUS
DOCUMENT NUMBER: 83:108140
TITLE: Relation between the chemical structure and pharmacological activity of carboline derivatives
AUTHOR(S): Barkov, N. K.; Kucherova, N. F.
CORPORATE SOURCE: Inst. Farmakol., Moscow, USSR
SOURCE: Khim.-Farm. Zh. (1975), 9(4), 6-10
CODEN: KHFZAN
DOCUMENT TYPE: Journal
LANGUAGE: Russian
GI For diagram(s), see printed CA Issue.
AB Twenty-one carboline derivs. were tested in mice for their effects on body temp., thiopental narcosis, aggression, locomotion, and pain. All of the compds. decreased body temp., I [56223-54-2] being most effective and decreasing body temp. by 8.7.degree.. Only 7 compds., including I, prolonged thiopental narcosis. All but 4 had antiaggressive effects, 3,6-dimethyl-1,2,3,4,4a,9a-hexahydro-.gamma.-carboline-2HCl [34273-20-6] being most active. All but 2 were analgetic. Pharmacol. activity of these compds. depended on the arom. nucleus and on the position 6 of the cycle.
IT **6208-56-6 56223-43-9 56223-44-0**
RL: BIOL (Biological study)
(nervous system depressant)
RN 6208-56-6 CAPLUS
CN 5H-Pyrido[4,3-b]indole-5-ethanamine, 1,2,3,4-tetrahydro-N,N,2-trimethyl- (9CI) (CA INDEX NAME)

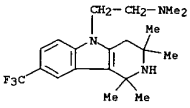


RN 56223-43-9 CAPLUS
CN 5H-Pyrido[4,3-b]indole-5-ethanamine, 1,2,3,4-tetrahydro-N,N,1,1,3,3-hexamethyl- (9CI) (CA INDEX NAME)

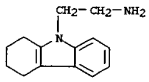


L13 ANSWER 52 OF 99 CAPLUS COPYRIGHT 2002 ACS (Continued)

RN 56223-44-0 CAPLUS
CN 5H-Pyrido[4,3-b]indole-5-ethanamine, 1,2,3,4-tetrahydro-N,N,1,1,3,3-hexamethyl-8-(trifluoromethyl)- (9CI) (CA INDEX NAME)



L13 ANSWER 53 OF 99 CAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 1975:453350 CAPLUS
DOCUMENT NUMBER: 83:53350
TITLE: Pharmacological properties of new derivatives of propionic acid, dimethylindole, and tetrahydrocarbazole
AUTHOR(S): Kleinrok, Zdzislaw; Przegalinski, Edmund; Jagiello-Wojtowicz, Ewa; Kruszewska, Alicja
CORPORATE SOURCE: Wydz. Lek., Akad. Med., Lublin, Pol.
SOURCE: Ann. Univ. Mariae Curie-Sklodowska, Sect. D (1973), 28, 227-33
CODEN: AUMKAS
DOCUMENT TYPE: Journal
LANGUAGE: Polish
GI For diagram(s), see printed CA Issue.
AB When injected i.p. into mice, .beta.-(2,3-cyclopentano-1-indolyl)propionic acid hydrazide (I), .beta.-(1,2,3,4-tetrahydro-9-carbazolyl)propionic acid hydrazide [21144-98-9], .beta.-(2,3-cycloheptano-1-indolyl)propionic acid hydrazide, .beta.-(1,2-benzo-3,4-dihydro-9-carbazolyl)propionic acid hydrazide [21144-99-0], and .beta.-(2,3-dimethyl-1-indolyl)propionic acid hydrazide [40861-76-5] or 23 new propionate, dimethylindole, and tetrahydrocarbazole derivs. had a depressive effect on the central nervous system. The prepns. had a weak hypothermic effect, potentiated the action of hexobarbital (35-80 .mu.g/kg, i.p.), and inhibited the spontaneous activity of the animals.
IT **23690-88-2 52872-28-3 52872-34-1**
RL: BIOL (Biological study)
(central nervous system depressant)
RN 23690-88-2 CAPLUS
CN 9H-Carbazole-9-ethanamine, 1,2,3,4-tetrahydro-, hydrochloride (9CI) (CA INDEX NAME)



●x HCl

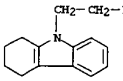
RN 52872-28-3 CAPLUS
CN 9H-Carbazole-9-ethanamine, 1,2,3,4-tetrahydro-, sulfate (9CI) (CA INDEX NAME)

L13 ANSWER 53 OF 99 CAPLUS COPYRIGHT 2002 ACS (Continued)

L13 ANSWER 53 OF 99 CAPLUS COPYRIGHT 2002 ACS (Continued)

CM 1

CRN 23709-72-0
CMF C14 H18 N2



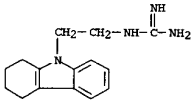
CM 2

CRN 7664-93-9
CMF H2 O4 S

RN 52872-34-1 CAPLUS
CN Guanidine, [2-(1,2,3,4-tetrahydro-9H-carbazol-9-yl)ethyl]-, sulfate (9CI) (CA INDEX NAME)

CM 1

CRN 46972-37-6
CMF C15 H20 N4



CM 2

CRN 7664-93-9
CMF H2 O4 S

L13 ANSWER 54 OF 99 CAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 1975:170666 CAPLUS
DOCUMENT NUMBER: 82:170666
TITLE: 9-(2-Aminoethyl)-3-tert-butyl-1,2,3,4-tetrahydrocarbazoles
INVENTOR(S): Cross, Peter E.; Dickinson, Roger P.; Kemp, John E. G.
PATENT ASSIGNEE(S): Pfizer Corp.
SOURCE: Ger. Offen., 17 pp.
CODEN: GWXXBX
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

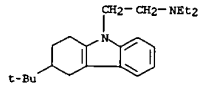
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DE 2425767	A1	19750109	DE 1974-2425767	19740528
GB 1418703	A	19751224	GB 1973-26414	19730602
BE 815584	A1	19741127	BE 1974-144793	19740527
NL 7407170	A	19741204	NL 1974-7170	19740529
US 3931222	A	19760106	US 1974-474151	19740529
JP 50058066	A2	19750520	JP 1974-60330	19740530
FR 2231390	A1	19741227	FR 1974-19003	19740531
DK 7402958	A	19750324	DK 1974-2958	19740531
DK 136245	B	19770912		
US 3970757	A	19760720	US 1975-622798	19751015
PRIORITY APPLN. INFO.:			GB 1973-26414	19730602
			US 1974-474151	19740529

GI For diagram(s), see printed CA Issue.
AB Seven carbazoles I (R = CH2CH2NR1R2, R1 = R2 = Me or Et or NR1R2 = 1-pyrrolidinyl or its N-oxide, morpholino, 1-azepinyl, or dioxide of thiomorpholino), useful as antisecretory agents, were prepd. mainly as salts, e.g. hydrochlorides, by reaction of I (R = H with RCl in DMF in the presence of NaH on a steam bath optionally followed by salt formation or N-oxidn.
IT **55160-59-3P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. and antisecretory activity of)
RN 55160-59-3 CAPLUS
CN 9H-Carbazole-9-ethanamine, 3-(1,1-dimethylethyl)-N,N-diethyl-1,2,3,4-tetrahydro-, ethanedioate (9CI) (CA INDEX NAME)

CM 1

CRN 55160-58-2
CMF C22 H34 N2

L13 ANSWER 54 OF 99 CAPLUS COPYRIGHT 2002 ACS (Continued)



CM 2

CRN 144-62-7
CMF C2 H2 O4

L13 ANSWER 55 OF 99 CAPLUS COPYRIGHT 2002 ACS

ACCESSION NUMBER: 1974:491594 CAPLUS
DOCUMENT NUMBER: 81:91594
TITLE: 1,2,5,6-Tetrahydro-3H-pyrazino[1,2,3-ab]-.beta.-carboline and homologs
INVENTOR(S): Glushkov, R. G.; Mashkovskii, M. D.; Andreeva, N. I.; Liberman, S. S.; Gerchikov, L. N.; Volskova, V. A.; Zaitseva, A. V.; Magidson, O. Yu.
PATENT ASSIGNEE(S): Ordzhonikidze, S., All-Union Scientific-Research Chemical-Pharmaceutical Institute
SOURCE: Ger. Offen., 16 pp.
CODEN: GWXXBX
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DE 2357320	A1	19740522	DE 1973-2357320	19731116
DE 2357320	C3	19791108		
DE 2357320	B2	19790322		
SU 434739	A1	19931130	SU 1972-1846675	19721117

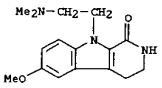
PRIORITY APPLN. INFO.: SU 1972-1846675 19721117

GI For diagram(s), see printed CA Issue.

AB Seven condensed indoles (I; m, n = 1 or 2; R = Me or Et; R1 = H, 8- or 9-MeO) and their salts, useful as antidepressants, were prepd. from the indoles II by successive treatment with POCl3 and NH4OH or EtOH.

IT **966-86-9**
RL: RCT (Reactant)
(cyclization of)

RN 966-86-9 CAPLUS
CN 1H-Pyrido[3,4-b]indol-1-one, 9-[2-(dimethylamino)ethyl]-2,3,4,9-tetrahydro-6-methoxy-, monohydrochloride (9CI) (CA INDEX NAME)

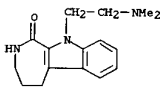


● HCl

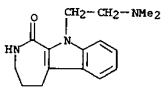
IT **54188-27-1P 54188-28-2P 54188-29-3P 54188-30-6P 54188-32-8P 54188-33-9P 54188-34-0P 54188-35-1P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of)

L13 ANSWER 55 OF 99 CAPLUS COPYRIGHT 2002 ACS (Continued)

RN 54188-27-1 CAPLUS
CN Azepino[3,4-b]indol-1(2H)-one, 10-[2-(dimethylamino)ethyl]-3,4,5,10-tetrahydro- (9CI) (CA INDEX NAME)

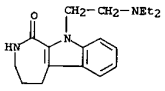


RN 54188-28-2 CAPLUS
CN Azepino[3,4-b]indol-1(2H)-one, 10-[2-(dimethylamino)ethyl]-3,4,5,10-tetrahydro-, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

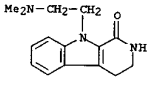
RN 54188-29-3 CAPLUS
CN Azepino[3,4-b]indol-1(2H)-one, 10-[2-(diethylamino)ethyl]-3,4,5,10-tetrahydro-, monohydrochloride (9CI) (CA INDEX NAME)



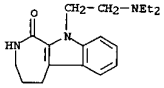
● HCl

RN 54188-30-6 CAPLUS
CN 1H-Pyrido[3,4-b]indol-1-one, 9-[2-(dimethylamino)ethyl]-2,3,4,9-tetrahydro- (9CI) (CA INDEX NAME)

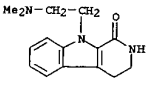
L13 ANSWER 55 OF 99 CAPLUS COPYRIGHT 2002 ACS (Continued)



RN 54188-32-8 CAPLUS
CN Azepino[3,4-b]indol-1(2H)-one, 10-[2-(diethylamino)ethyl]-3,4,5,10-tetrahydro- (9CI) (CA INDEX NAME)

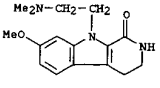


RN 54188-33-9 CAPLUS
CN 1H-Pyrido[3,4-b]indol-1-one, 9-[2-(dimethylamino)ethyl]-2,3,4,9-tetrahydro-, monohydrochloride (9CI) (CA INDEX NAME)



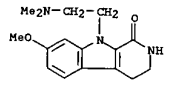
● HCl

RN 54188-34-0 CAPLUS
CN 1H-Pyrido[3,4-b]indol-1-one, 9-[2-(dimethylamino)ethyl]-2,3,4,9-tetrahydro-7-methoxy- (9CI) (CA INDEX NAME)



RN 54188-35-1 CAPLUS
CN 1H-Pyrido[3,4-b]indol-1-one, 9-[2-(dimethylamino)ethyl]-2,3,4,9-tetrahydro-

L13 ANSWER 55 OF 99 CAPLUS COPYRIGHT 2002 ACS (Continued)
7-methoxy-, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

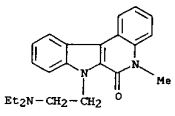
L13 ANSWER 56 OF 99 CAPLUS COPYRIGHT 2002 ACS

ACCESSION NUMBER: 1974:413480 CAPLUS
DOCUMENT NUMBER: 81:13480
TITLE: Polycyclic compounds
INVENTOR(S): Fryer, Rodney I.; Ning, Robert Y. F.; Sternbach, Leo H.; Walser, Armin
PATENT ASSIGNEE(S): Hoffmann-La Roche, F., und Co., A.-G.
SOURCE: Ger. Offen., 110 pp.
CODEN: GWXXBX
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 3
PATENT INFORMATION:

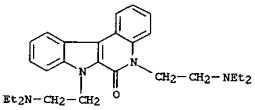
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DE 2348149	A1	19740404	DE 1973-2348149	19730925
ZA 7305679	A	19740731	ZA 1973-5679	19730820
JP 49069698	A2	19740705	JP 1973-106066	19730921
BE 805199	A1	19740325	BE 1973-135940	19730924
FR 2200004	A1	19740419	FR 1973-34085	19730924
DD 109388	C	19741112	DD 1973-173636	19730924
AU 7360620	A1	19750327	AU 1973-60620	19730924
GB 1400934	A	19750723	GB 1973-44691	19730924
SU 525428	D	19760815	SU 1973-1959333	19730924
AT 7308188	A	19770415	AT 1973-8188	19730924
AT 340425	B	19771212		
NL 7313186	A	19740327	NL 1973-13186	19730925
HU 168788	P	19760728	HU 1973-HO1616	19730925
ES 419019	A1	19760616	ES 1974-419019	19740924

PRIORITY APPLN. INFO.: US 1972-292193 A 19720925
GI For diagram(s), see printed CA Issue.
AB Carcinostatic indoloquinolinones I (R = H, Cl, Me, NO2; R1 = H, aminoalkyl, Me, Et, CH2CH2OH; R2 = H, CH2CH2-NMe2, CH2CH2NEt2, Me, Et, allyl, CH2OMe, CH2CN; R3 = H, 10-Cl, 10-Br, 10-OMe, 10-Et, 10-F, 10-NO2, 8-Cl, 11-Cl, 11-F) and some N-oxides (44 compds.) were prepd. Thus, 2-PhCOC6-H4NHCOCH2Br was cyclized with NaN3 to give 3-azido-4-phenylcarbostyril, which on cyclization with Me2NCH2CH2Cl.-HCl gave I (R = R2 = R3 = H, R1 = CH2CH2NMe2). I (R = R2 = H, R1 = CH2CH2NMe2, R3 = 10-Cl) at 100 mg/kg day orally for 8 days in sarcoma 180-infected mice gave a control/ treated tumor wt. ratio of 3.05; its LD50 was >4000 mg/kg orally.
IT **52865-42-6P 52865-44-8P 52865-53-9P 52865-58-4P 52865-67-5P 52865-70-0P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of)
RN 52865-42-6 CAPLUS
CN 6H-Indolo[2,3-c]quinolin-6-one, 7-[2-(diethylamino)ethyl]-5,7-dihydro-5-methyl- (9CI) (CA INDEX NAME)

L13 ANSWER 56 OF 99 CAPLUS COPYRIGHT 2002 ACS (Continued)

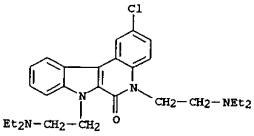


RN 52865-44-8 CAPLUS
CN 6H-Indolo[2,3-c]quinolin-6-one, 5,7-bis[2-(diethylamino)ethyl]-5,7-dihydro-, dihydrochloride (9CI) (CA INDEX NAME)



●2 HCl

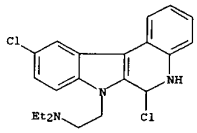
RN 52865-53-9 CAPLUS
CN 6H-Indolo[2,3-c]quinolin-6-one, 2-chloro-5,7-bis[2-(diethylamino)ethyl]-5,7-dihydro-, dihydrochloride (9CI) (CA INDEX NAME)



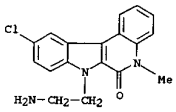
●2 HCl

RN 52865-58-4 CAPLUS
CN 7H-Indolo[2,3-c]quinoline-7-ethanamine, 6,10-dichloro-N,N-diethyl-5,6-

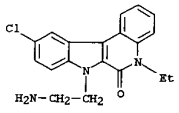
L13 ANSWER 56 OF 99 CAPLUS COPYRIGHT 2002 ACS (Continued)
dihydro- (9CI) (CA INDEX NAME)



RN 52865-67-5 CAPLUS
CN 6H-Indolo[2,3-c]quinolin-6-one, 7-(2-aminoethyl)-10-chloro-5,7-dihydro-5-methyl- (9CI) (CA INDEX NAME)



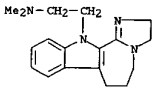
RN 52865-70-0 CAPLUS
CN 6H-Indolo[2,3-c]quinolin-6-one, 7-(2-aminoethyl)-10-chloro-5-ethyl-5,7-dihydro- (9CI) (CA INDEX NAME)



L13 ANSWER 57 OF 99 CAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 1974:59942 CAPLUS
DOCUMENT NUMBER: 80:59942
TITLE: 2,3,6,7-Tetrahydro-5H-imidazo [1,2-a]azepino[3,4-b]indole
INVENTOR(S): Glushlov, R. G.; Zaitseva, A. V.
PATENT ASSIGNEE(S): Ordzhonikidze, S., All-Union Scientific-Research Chemical-Pharmaceutical Institute
SOURCE: U.S.S.R. From: Otkrytiya, Izobret., Prom. Obraztsy, Tovarnye Znaki 1973, 50(38), 72.
CODEN: URXXAF
DOCUMENT TYPE: Patent
LANGUAGE: Russian
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
SU 398547	T	19730927	SU 1971-1709537	19711028

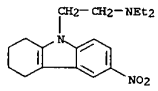
GI For diagram(s), see printed CA Issue.
AB Imidazoazepinoindoles I (R = H, alkyl, dialkylaminoalkyl) were prepd. by the reaction of 1-alkoxy-4,5-dihydro-3H-azepino[3,4-b]indole with ClCH2CH2NH2.HCl to give I.HCl (R = H), which was treated with base and an alkylating agent, e.g. Me2NCH2CH2Cl, to give, I (R = Me2NCH2CH2).
IT **51549-81-6P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of)
RN 51549-81-6 CAPLUS
CN Imidazo[1',2':1,2]azepino[3,4-b]indole-12(3H)-ethanamine, 2,5,6,7-tetrahydro-N,N-dimethyl- (9CI) (CA INDEX NAME)



L13 ANSWER 58 OF 99 CAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 1974:10279 CAPLUS
DOCUMENT NUMBER: 80:10279
TITLE: Synthetic trypanocides. 3. Structure-activity relations
AUTHOR(S): Poliakoff, Nora; Albonico, Sem M.; Alvarez, Manuel; Gallo Pecca, Jorge; Vernengo, Marcelo J.
CORPORATE SOURCE: Fac. Farm. Bioquim., Buenos Aires, Argent.
SOURCE: J. Med. Chem. (1973), 16(12), 1411
CODEN: JMCMAR
DOCUMENT TYPE: Journal
LANGUAGE: English
AB 8-Methoxy-9-(2-piperidin-1-ylethyl)-1,2,3,4-tetrahydro-9H-carbazole fumarate (I fumarate) [49558-40-9] was the most active trypanocide of 19 carbazoles prepd. When tested on the epimastigote stage of Tryponosoma cruzi in a liq culture medium, 70 .mu.g I fumarate/ml was the min. useful concn. and when the same test was performed in blood on the trypomastigote stage, the activity was 200 .mu.g I fumarate/ml. The LD50 of I fumarate was 200-220 mg/kg i.p. in mice. In mice, infected with T. cruzi (4 .tim. 105), a dose killing 90% of the controls, 5 daily injections (i.p.) of 100 mg 8-chloro-5,6-dihydro-11H-benzo[a]carbazole (II) [49561-97-9]/kg 2 days after infection, the survival increased to 60%. II was prepd from .alpha.-tetralone [529-34-0] in HOAc and 4-chlorophenylhydrazine [1073-69-4].
IT **50823-67-1P 50823-71-7P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of)
RN 50823-67-1 CAPLUS
CN 9H-Carbazole-9-ethanamine, N,N-diethyl-1,2,3,4-tetrahydro-6-nitro-, (2E)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

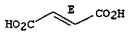
CRN 50823-66-0
CMF C18 H25 N3 O2



CM 2

L13 ANSWER 58 OF 99 CAPLUS COPYRIGHT 2002 ACS (Continued)
CRN 110-17-8
CMF C4 H4 O4

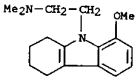
Double bond geometry as shown.



RN 50823-71-7 CAPLUS
CN 9H-Carbazole-9-ethanamine, 1,2,3,4-tetrahydro-8-methoxy-N,N-dimethyl-, (2E)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

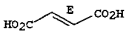
CRN 50823-70-6
CMF C17 H24 N2 O



CM 2

CRN 110-17-8
CMF C4 H4 O4

Double bond geometry as shown.

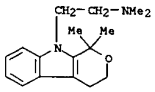


L13 ANSWER 59 OF 99 CAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 1973:492001 CAPLUS
DOCUMENT NUMBER: 79:92001
TITLE: Pyrano[3,4-b]indole and thiopyrano[3,4-b]indole derivatives
INVENTOR(S): Demerson, Christopher A.; Humber, Leslie G.; Asselin, Andre A.; Jirkovsky, Ivo L.; Dobson, Thomas A.; Pelz, Karel
PATENT ASSIGNEE(S): Ayerst, McKenna and Harrison Ltd.
SOURCE: Ger. Offen., 171 pp.
CODEN: GWXXBX
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 11
PATENT INFORMATION:

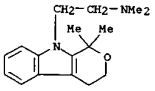
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DE 2301525	A1	19730719	DE 1973-2301525	19730112
US 3852285	A	19741203	US 1972-217627	19720113
US 3904617	A	19750909	US 1972-297130	19721012
ZA 7208875	A	19740731	ZA 1972-8875	19721215
AU 7250439	A1	19740627	AU 1972-50439	19721222
US 4056538	A	19771101	US 1976-733834	19761018
US 4056537	A	19771101	US 1976-733829	19761018
US 4118394	A	19781003	US 1977-822456	19770808

PRIORITY APPLN. INFO.: US 1972-217627 19720113
US 1972-297130 19721012
US 1974-507085 19740918
US 1976-733834 19761018

GI For diagram(s), see printed CA Issue.
AB Antidepressant tetrahydropyranoindoles I (X = O, R = Me, R1 = Me, Pr, R2 = H, Pr, n = 2; X = O, R = H, Me, R1 = Me, R2 = H, n = 3; X = S, R = R1 = Me, R2 = Et, n = 2) (.apprx.90 compds.) were prepd. Thus, 1H-indole-3-ethanol was cyclized with MeCOCH2CO2Et in the presence of mol. sieve no. 4 and p-MeC6H4SO3H ester to give II (R3 = OEt) which was hydrolyzed to the acid, treated with ClCO2Et to form the mixed anhydride, which with Me2NH gave II (R3 = NMe2). LiAlH4 redn. of the amide gave I (X = O, R = R1 = Me, R2 = H, n = 2).
IT **42821-20-5P 42821-21-6P 42821-24-9P**
42821-25-0P 42821-26-1P 42821-27-2P
42821-31-8P 42821-32-9P
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of)
RN 42821-20-5 CAPLUS
CN Pyrano[3,4-b]indole-9(1H)-ethanamine, 3,4-dihydro-N,N,1,1-tetramethyl- (9CI) (CA INDEX NAME)

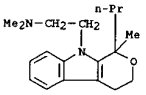


RN 42821-21-6 CAPLUS
CN Pyrano[3,4-b]indole-9(1H)-ethanamine, 3,4-dihydro-N,N,1,1-tetramethyl-, hydrochloride (9CI) (CA INDEX NAME)



●x HCl

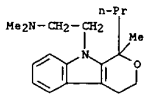
RN 42821-24-9 CAPLUS
CN Pyrano[3,4-b]indole-9(1H)-ethanamine, 3,4-dihydro-N,N,1-trimethyl-1-propyl- (9CI) (CA INDEX NAME)



RN 42821-25-0 CAPLUS
CN Pyrano[3,4-b]indole-9(1H)-ethanamine, 3,4-dihydro-N,N,1-trimethyl-1-propyl-, (2Z)-2-butenedioate (9CI) (CA INDEX NAME)

CM 1

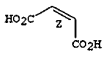
CRN 42821-24-9
CMF C19 H28 N2 O



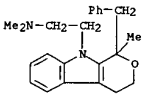
CM 2

CRN 110-16-7
CMF C4 H4 O4

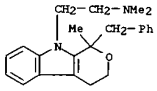
Double bond geometry as shown.



RN 42821-26-1 CAPLUS
CN Pyrano[3,4-b]indole-9(1H)-ethanamine, 3,4-dihydro-N,N,1-trimethyl-1-(phenylmethyl)- (9CI) (CA INDEX NAME)

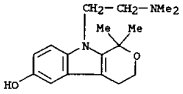


RN 42821-27-2 CAPLUS
CN Pyrano[3,4-b]indole-9(1H)-ethanamine, 3,4-dihydro-N,N,1-trimethyl-1-(phenylmethyl)-, hydrochloride (9CI) (CA INDEX NAME)



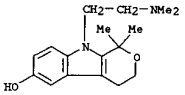
●x HCl

RN 42821-31-8 CAPLUS
CN Pyrano[3,4-b]indol-6-ol, 9-[2-(dimethylamino)ethyl]-1,3,4,9-tetrahydro-1,1-dimethyl-, hydrochloride (9CI) (CA INDEX NAME)



●x HCl

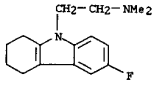
RN 42821-32-9 CAPLUS
CN Pyrano[3,4-b]indol-6-ol, 9-[2-(dimethylamino)ethyl]-1,3,4,9-tetrahydro-1,1-dimethyl- (9CI) (CA INDEX NAME)



L13 ANSWER 60 OF 99 CAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 1973:487329 CAPLUS
DOCUMENT NUMBER: 79:87329
TITLE: N-Alkylaminocarbazoles as potential anticonvulsant and diuretic agents
AUTHOR(S): Shoeb, Aboo; Anwer, Falak; Kapil, Randhir S.; Popli, Satya P.; Dua, Prithvi; Dhawan, Bhola N.
CORPORATE SOURCE: Div. Med. Chem., Cent. Drug Res. Inst., Lucknow, India
SOURCE: J. Med. Chem. (1973), 16(4), 425-7
CODEN: JMCMAR
DOCUMENT TYPE: Journal
LANGUAGE: English
AB Of a no. of alkylaminocarbazoles and their analogs synthesized, 9-(dimethylaminopropyl)-2-methoxycarbazole (I) [41562-73-6] and 2-chloro-9-(dimethylaminopropyl)-7-methoxycarbazole [41562-74-7] at 30 and 50 mg/kg i.p. resp., gave the best (100%) protection of mice against max. electroshock seizures. None of the compds. protected against pentylentetrazole- or strychnine-induced convulsions, inhibited monoamine oxidase, or affected forced locomotor activity. A no. of compds. showed diuretic activity in rats; the most active, 2-methoxy-9-[(1-pyrrolidinyl)ethyl]carbazole [41544-29-0] (37.5 mg/kg orally) was twice as potent as chlorothiazide. The compds. were prepd. by condensing the appropriate 2-bromonitrobenzene and iodobenzenes and cyclizing the resulting biphenyls with triethyl phosphite.
IT **41734-56-9P 41734-57-0P 41734-60-5P 41734-63-8P 41734-65-0P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. and anticonvulsant and diuretic activities of)
RN 41734-56-9 CAPLUS
CN 9H-Carbazole-9-ethanamine, 6-fluoro-1,2,3,4-tetrahydro-N,N-dimethyl-, ethanedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 48177-67-9
CMF C16 H21 F N2



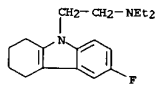
CM 2

L13 ANSWER 60 OF 99 CAPLUS COPYRIGHT 2002 ACS (Continued)
CRN 144-62-7
CMF C2 H2 O4

RN 41734-57-0 CAPLUS
CN 9H-Carbazole-9-ethanamine, N,N-diethyl-6-fluoro-1,2,3,4-tetrahydro-, ethanedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 25150-81-6
CMF C18 H25 F N2



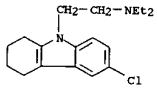
CM 2

CRN 144-62-7
CMF C2 H2 O4

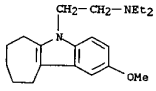
RN 41734-60-5 CAPLUS
CN 9H-Carbazole-9-ethanamine, 6-chloro-N,N-diethyl-1,2,3,4-tetrahydro-, ethanedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 25150-82-7
CMF C18 H25 Cl N2



L13 ANSWER 60 OF 99 CAPLUS COPYRIGHT 2002 ACS (Continued)



CM 2

CRN 144-62-7
CMF C2 H2 O4

L13 ANSWER 60 OF 99 CAPLUS COPYRIGHT 2002 ACS (Continued)

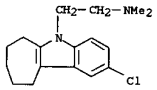
CM 2

CRN 144-62-7
CMF C2 H2 O4

RN 41734-63-8 CAPLUS
CN Cyclohept[b]indole-5(6H)-ethanamine, 2-chloro-7,8,9,10-tetrahydro-N,N-dimethyl-, ethanedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 48183-69-3
CMF C17 H23 Cl N2



CM 2

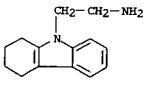
CRN 144-62-7
CMF C2 H2 O4

RN 41734-65-0 CAPLUS
CN Cyclohept[b]indole-5(6H)-ethanamine, N,N-diethyl-7,8,9,10-tetrahydro-2-methoxy-, ethanedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 48198-06-7
CMF C20 H30 N2 O

L13 ANSWER 61 OF 99 CAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 1973:71829 CAPLUS
DOCUMENT NUMBER: 78:71829
TITLE: Cycloparaffins condensed with heterocyclic rings. XIX. Synthesis of 1-(.omega.-guanidinealkyl)indole derivatives
AUTHOR(S): Hahn, Witold E.; Bartnik, Romuald; Kryczka, Boguslaw
CORPORATE SOURCE: Inst. Chem., Univ. Lodz, Lodz, Pol.
SOURCE: Soc. Sci. Lodz., Acta Chim. (1972), 17, 175-80
CODEN: SLACBC
DOCUMENT TYPE: Journal
LANGUAGE: English
GI For diagram(s), see printed CA Issue.
AB The title compd. (I) and the carbazole derivs. [II, R = C(:NH)NH2, n = 2,3] were prepd. by condensation of the corresponding indole- and carbazolealkylamine with S-methylisothiourea sulfate (III). Thus, 0.02 mole II (R = H, n = 2) and 0.03 mole III in 50% EtOH was heated 3 hr on a water bath to give 75% II.1/2H2SO4 [R = C(:NH)NH2, n = 2]. Similarly prepd. were I and II [R = C(:NH)NH2, n = 2, HCl salt; n = 3, 1/2H2SO4 salt].
IT **23709-72-0P 39595-36-3P 40163-79-9P 40481-06-9P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of)
RN 23709-72-0 CAPLUS
CN 9H-Carbazole-9-ethanamine, 1,2,3,4-tetrahydro- (9CI) (CA INDEX NAME)

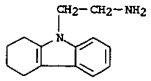


RN 39595-36-3 CAPLUS
CN 9H-Carbazole-9-ethanamine, 1,2,3,4-tetrahydro-, sulfate (2:1) (9CI) (CA INDEX NAME)

CM 1

CRN 23709-72-0
CMF C14 H18 N2

L13 ANSWER 61 OF 99 CAPLUS COPYRIGHT 2002 ACS (Continued)



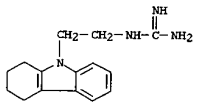
CM 2

CRN 7664-93-9
CMF H2 O4 S

RN 40163-79-9 CAPLUS
CN Guanidine, [2-(1,2,3,4-tetrahydro-9H-carbazol-9-yl)ethyl]-, sulfate (2:1) (9CI) (CA INDEX NAME)

CM 1

CRN 46972-37-6
CMF C15 H20 N4

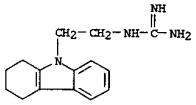


CM 2

CRN 7664-93-9
CMF H2 O4 S

L13 ANSWER 61 OF 99 CAPLUS COPYRIGHT 2002 ACS (Continued)

RN 40481-06-9 CAPLUS
CN Guanidine, [2-(1,2,3,4-tetrahydro-9H-carbazol-9-yl)ethyl]-, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

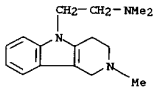
L13 ANSWER 62 OF 99 CAPLUS COPYRIGHT 2002 ACS

ACCESSION NUMBER: 1973:85 CAPLUS
DOCUMENT NUMBER: 78:85
TITLE: Relation between chemical structure and pharmacological activity of carboline derivatives
AUTHOR(S): Barkov, N. K.; Kucherova, N. F.
CORPORATE SOURCE: Nauchno-Issled. Inst. Farmakol., Moscow, USSR
SOURCE: Khim.-Farm. Zh. (1972), 6(8), 23-7
CODEN: KHFZAN
DOCUMENT TYPE: Journal
LANGUAGE: Russian

AB Of 21 carboline derivs. tested, 2,3,4,4a,5,9b-hexahydro-2,8-dimethyl-1H-pyrido[4,3-b]indole-2HCl (I) [33162-17-3] had the greatest antiaggression activity, surpassing that of aminazine [50-53-3], when tested on rats and mice. Most of the derivs. had appreciable antiaggression activity, and to a lesser extent were able to inhibit motion by the animals. .gamma.-Carboline derivs. were generally more effective than .beta.-carboline derivs. Et 2,3,4,5-tetrahydro-2-methyl-1H-pyrido[4,3-b]indole-8-carboxylate-HCl [36911-68-9] had significant analgesic activity.

IT **40431-49-0 40431-50-3 40431-51-4**
RL: BIOL (Biological study)
(tranquilizer)

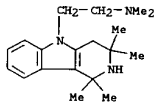
RN 40431-49-0 CAPLUS
CN 5H-Pyrido[4,3-b]indole-5-ethanamine, 1,2,3,4-tetrahydro-N,N,2-trimethyl-, dihydrochloride (9CI) (CA INDEX NAME)



●2 HCl

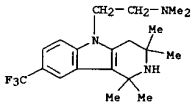
RN 40431-50-3 CAPLUS
CN 5H-Pyrido[4,3-b]indole-5-ethanamine, 1,2,3,4-tetrahydro-N,N,1,1,3,3-hexamethyl-, dihydrochloride (9CI) (CA INDEX NAME)

L13 ANSWER 62 OF 99 CAPLUS COPYRIGHT 2002 ACS (Continued)



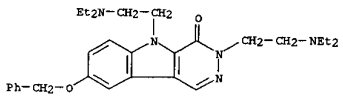
●2 HCl

RN 40431-51-4 CAPLUS
CN 5H-Pyrido[4,3-b]indole-5-ethanamine, 1,2,3,4-tetrahydro-N,N,1,1,3,3-hexamethyl-8-(trifluoromethyl)-, dihydrochloride (9CI) (CA INDEX NAME)

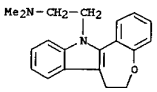


●2 HCl

L13 ANSWER 63 OF 99 CAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 1972:501501 CAPLUS
DOCUMENT NUMBER: 77:101501
TITLE: 2-Carbethoxyindole derivatives. II. Synthesis of
8-(benzyloxy)-3(H)-pyridazino[4,5-b]indol-4-one derivatives
AUTHOR(S): Nantka-Namirski, Pawel; Ozdowska, Zofia
CORPORATE SOURCE: Inst. Chem. Org., Pol. Akad. Nauk, Warsaw, Pol.
SOURCE: Acta Pol. Pharm. (1972), 29(1), 13-16
CODEN: APPHAX
DOCUMENT TYPE: Journal
LANGUAGE: Polish
GI For diagram(s), see printed CA Issue.
AB I (R = H) was N-Acylated and alkylated by refluxing with RCl in DMF or
dioxane and Na2CO3. I (R = H) 2Na salt was the reaction intermediate. I
(R = Bz; PhCH2; PhCH2CH2; Et2NCH2CH2 and its dihydrochloride were prepd.
and showed antiinflammatory and antihistamine activity.
IT **37175-63-6P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of)
RN 37175-63-6 CAPLUS
CN 4H-Pyridazino[4,5-b]indol-4-one, 3,5-bis[2-(diethylamino)ethyl]-3,5-dihydro-8-(phenylmethoxy)- (9CI) (CA INDEX NAME)

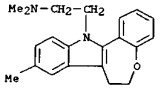


L13 ANSWER 64 OF 99 CAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 1972:469985 CAPLUS
DOCUMENT NUMBER: 77:69985
TITLE: Pharmacological activity spectra of some azepino- and
benzoxepinoindole derivatives
AUTHOR(S): Artemenko, G. N.; Lakoza, G. N.; Fedorova, I. B.; Kucherova, N. F.; Aksanova, L. A.; Sharkova, N. M.;
Sharkova, L. M.
CORPORATE SOURCE: Lab. Psikhofarmakol., Inst. Farmakol., Moscow, USSR
SOURCE: Farmakol. Toksikol. (Moscow) (1972), 35(3), 274-80
CODEN: FATOAO
DOCUMENT TYPE: Journal
LANGUAGE: Russian
AB Pharmacol. activities of 16 1,2,3,4,5,6-hexahydroazepino[4,5-b]indole derivs. (I), 12H, 6,7-dihydrobenz[2',3']oxepino[4,5-b]indole derivs. (II)
and 12H,6,7,7a,12a-tetrahydrobenz[2',3']oxepino[4,5-b]indole derivs. (III)
were compared with those of imipramine [50-49-7], amitriptyline [50-48-6],
noveril [315-80-0], carbidin [33162-17-3]. The hexahydroazepinoindoles
had pharmacol. activity similar to that of amitriptyline, whereas dihydrobenzoxepinoindoles had both antidepressant and neuroleptic properties, resembling carbidin in pharmacol. activity. A comparison of
the chem. structure of the substances with their pharmacol. activity indicated that the dimethylaminoethyl derivs. of dihydrobenzoxepinoindole
were more potent than the dimethylaminopropyl derivs. Introduction of a
Me group or of Br into the para position with respect to indole N of the
azepino and dihydrobenzoxepinoindole derivs. increased the ability of the
compds. to potentiate the action of phenamine [300-62-9], whereas the introduction of Cl in the same position decreased this effect.
IT **37683-55-9 37683-56-0 37683-57-1**
37683-58-2
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(pharmacology of)
RN 37683-55-9 CAPLUS
CN 12H-[1]Benzoxepino[5,4-b]indole-12-ethanamine, 6,7-dihydro-N,N-dimethyl-
(9CI) (CA INDEX NAME)

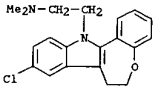


L13 ANSWER 64 OF 99 CAPLUS COPYRIGHT 2002 ACS (Continued)

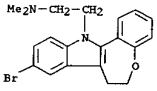
RN 37683-56-0 CAPLUS
CN 12H-[1]Benzoxepino[5,4-b]indole-12-ethanamine, 6,7-dihydro-N,N,9-trimethyl-
(9CI) (CA INDEX NAME)



RN 37683-57-1 CAPLUS
CN 12H-[1]Benzoxepino[5,4-b]indole-12-ethanamine, 9-chloro-6,7-dihydro-N,N-
dimethyl- (9CI) (CA INDEX NAME)



RN 37683-58-2 CAPLUS
CN 12H-[1]Benzoxepino[5,4-b]indole-12-ethanamine, 9-bromo-6,7-dihydro-N,N-
dimethyl- (9CI) (CA INDEX NAME)



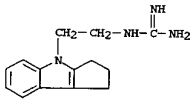
L13 ANSWER 65 OF 99 CAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 1972:448239 CAPLUS
DOCUMENT NUMBER: 77:48239
TITLE: 1-Alkylindole derivatives
INVENTOR(S): Okamoto, Tadashi; Kobayashi, Tsuyoshi; Yamamoto, Hisao
PATENT ASSIGNEE(S): Sumitomo Chemical Co., Ltd.
SOURCE: Jpn. Tokkyo Koho, 2 pp.
CODEN: JAXXAD
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 47013662	B4	19720424	JP 1968-87470	19681128

GI For diagram(s), see printed CA Issue.
AB 1-(2-Guanidinoethyl)-cyclopent[b]indole (I) sulfate, useful as a blood pressure depressant, was prepd. by treating 1-(2-aminoethyl)cyclopent[b]indole hydrochloride with S-methylisothiourea or
NH2CN with refluxing.
IT **36802-70-7P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of)
RN 36802-70-7 CAPLUS
CN Guanidine, [2-(2,3-dihydrocyclopent[b]indol-4(1H)-yl)ethyl]-, sulfate (9CI) (CA INDEX NAME)

CM 1

CRN 46884-85-9
CMF C14 H18 N4



CM 2

CRN 7664-93-9
CMF H2 O4 S

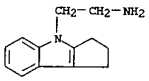
L13 ANSWER 65 OF 99 CAPLUS COPYRIGHT 2002 ACS (Continued)

L13 ANSWER 66 OF 99 CAPLUS COPYRIGHT 2002 ACS

ACCESSION NUMBER: 1972:448236 CAPLUS
DOCUMENT NUMBER: 77:48236
TITLE: Indole-1-alkylamine derivatives
INVENTOR(S): Okamoto, Tadashi; Kobayashi, Tsuyoshi; Yamamoto, Hisao
PATENT ASSIGNEE(S): Sumitomo Chemical Co., Ltd.
SOURCE: Jpn. Tokkyo Koho, 2 pp.
CODEN: JAXXAD
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 47013661	B4	19720424	JP 1968-87469	19681128

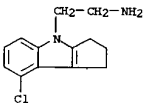
GI For diagram(s), see printed CA Issue.
AB The title compds. (I), acting on the central nervous system, were prepd.
by reducing the corresponding indole-1-fatty acid amide. E.g., 3.5 g 1-cyclopent[b]indolylacetamide in THF was refluxed with LiAlH4 and treated
with HCl to give 3 g I.HCl (X = H). Similarly prepd. was I (X = Cl).
IT **36856-42-5P 36856-43-6P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of)
RN 36856-42-5 CAPLUS
CN Cyclopent[b]indole-4(1H)-ethanamine, 2,3-dihydro-, monohydrochloride (9CI)
(CA INDEX NAME)



● HCl

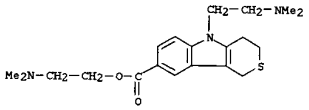
RN 36856-43-6 CAPLUS
CN Cyclopent[b]indole-4(1H)-ethanamine, 8-chloro-2,3-dihydro- (9CI) (CA INDEX NAME)

L13 ANSWER 66 OF 99 CAPLUS COPYRIGHT 2002 ACS (Continued)



L13 ANSWER 67 OF 99 CAPLUS COPYRIGHT 2002 ACS

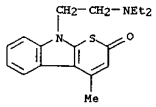
ACCESSION NUMBER: 1972:94533 CAPLUS
DOCUMENT NUMBER: 76:94533
TITLE: Influence of a number of indole derivatives on monoamine oxidase activity
AUTHOR(S): Pidevich, I. N.; Krupińska, I.; Griglevskii, R.; Zhmuda, A.
CORPORATE SOURCE: Lab. Farmakol. Serdechno-Sosudistoi Sist., Inst. Farmakol., Moscow, USSR
SOURCE: Farmakol. Toksikol. (Moscow) (1971), 34(6), 663-6
CODEN: FATOAO
DOCUMENT TYPE: Journal
LANGUAGE: Russian
AB Dimethylaminoethyl 2,3-dimethylindole-5-carboxylate (I) [34233-73-3] was
the strongest inhibitor of 6 indole derivs. tested against rat liver monoamine oxidase in vitro. Introduction of a benzyl or dimethylaminoethyl substituent on the indole nitrogen atom or conversion
of the indole carboxylic acid moiety to a thiopyranoindole or .gamma.-carboline nucleus decreased the ability of the compd. to inhibit
tyramine [51-67-2] deamination.
IT **34320-59-7**
RL: BIOL (Biological study)
(monoamine oxidase inhibition by)
RN 34320-59-7 CAPLUS
CN Thiopyrano[4,3-b]indole-8-carboxylic acid, 5-[2-(dimethylamino)ethyl]-1,3,4,5-tetrahydro-, 2-(dimethylamino)ethyl ester (8CI, 9CI) (CA INDEX
NAME)



L13 ANSWER 68 OF 99 CAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 1972:46183 CAPLUS
DOCUMENT NUMBER: 76:46183
TITLE: Substituted thiopyrano[2,3-b]indoles
INVENTOR(S): Bourdais, Jacques
PATENT ASSIGNEE(S): Agence Nationale de valorisation de la Recherche
SOURCE: Fr., 16 pp.
CODEN: FRXXAK
DOCUMENT TYPE: Patent
LANGUAGE: French
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

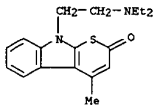
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
FR 2054462		19710528	FR	19690707

GI For diagram(s), see printed CA Issue.
AB Twenty title compds. [I-III; R=Me, Ph, or CO2Et; R1=H, Me; R2=Me, Ph, CO2Et, or CO2H; R3=H, Me, (CH2)2OH, (CH2)2NEt2, 2-(4-benzylpiperazinyl)ethyl, or p-chlorobenzyl] were prepd. and had possible use as photog. emulsifiers or intermediates for org. synthesis. Thus, 2-indolinethione and 2,4-pentanedione were mixed in EtOH soln. contg. HCl to give II (R, R2=Me; R1, R3=H), which was treated with K2CO3 to give I (R, R2=Me; R1=H).
IT **35156-02-6P 35156-05-9P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of)
RN 35156-02-6 CAPLUS
CN Thiopyrano[2,3-b]indol-2(9H)-one, 9-[2-(diethylamino)ethyl]-4-methyl- (9CI) (CA INDEX NAME)



RN 35156-05-9 CAPLUS
CN Thiopyrano[2,3-b]indol-2(9H)-one, 9-[2-(diethylamino)ethyl]-4-methyl-, monohydrochloride (9CI) (CA INDEX NAME)

L13 ANSWER 68 OF 99 CAPLUS COPYRIGHT 2002 ACS (Continued)



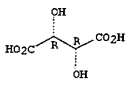
● HCl

L13 ANSWER 69 OF 99 CAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 1972:42382 CAPLUS
DOCUMENT NUMBER: 76:42382
TITLE: Effects of dibutyryl-3',5'-cyclic adenosine monophosphate, phosphodiesterase inhibitors, and prostaglandin E1 on compound 48/80-induced histamine release from rat peritoneal mast cells in vitro
AUTHOR(S): Loeffler, Larry J.; Lovenberg, Walter; Sjoerdsma, Albert
CORPORATE SOURCE: Natl. Heart Lung Inst., Natl. Inst. Health, Bethesda, Md., USA
SOURCE: Biochem. Pharmacol. (1971), 20(9), 2287-97
CODEN: BCPCA6
DOCUMENT TYPE: Journal
LANGUAGE: English
AB Compd. 48/80-induced histamine [56-92-8] release from rat peritoneal mast cell in vitro was inhibited by high concn. of N6,O2'-dibutyryl 3',5'-cyclic AMP (I) [362-74-3], prostaglandin E1 (II) [745-65-3], theophylline (III) [58-55-9], reserpine [50-55-5], diethylaminoethylreserpine [**1111-44-0**], and perphenazine [58-39-9]. Several other adenine nucleotides tested were ineffective at concns. comparable to the concn. of I. Thus, cyclic AMP [60-92-4] may be involved in the histamine release process of the mast cell.
IT **1111-44-0**
RL: PRP (Properties)
(histamine release from mast cells by Compd. 48/80 in relation to)
RN 1111-44-0 CAPLUS
CN Yohimban-16-carboxylic acid, 1-[2-(diethylamino)ethyl]-11,17-dimethoxy-18-[(3,4,5-trimethoxybenzoyl)oxy]-, methyl ester, (3.beta.,16.beta.,17.alpha.,18.beta.,20.alpha.)-, (2R,3R)-2,3-dihydroxybutanedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 87-69-4
CMF C4 H6 O6

Absolute stereochemistry.

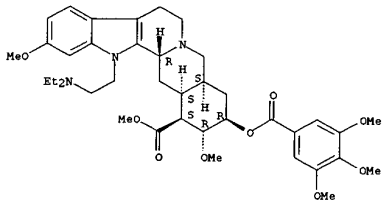


CM 2

CRN 53-18-9

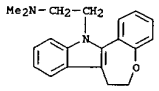
L13 ANSWER 69 OF 99 CAPLUS COPYRIGHT 2002 ACS (Continued)
CMF C39 H53 N3 O9

Absolute stereochemistry.



L13 ANSWER 70 OF 99 CAPLUS COPYRIGHT 2002 ACS

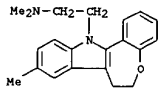
ACCESSION NUMBER: 1972:34140 CAPLUS
DOCUMENT NUMBER: 76:34140
TITLE: Indole derivatives. XXXVI. Synthesis and pharmacological study of some benzoxepinoindole derivatives
AUTHOR(S): Aksanova, L. A.; Sharkova, L. M.; Kucherova, N. F.;
Artemenko, G. N.; Fedorova, I. B.
CORPORATE SOURCE: Nauchno-Issled. Inst. Farmakol., Moscow, USSR
SOURCE: Khim.-Farm. Zh. (1971), 5(11), 3-5
CODEN: KHFZAN
DOCUMENT TYPE: Journal
LANGUAGE: Russian
GI For diagram(s), see printed CA Issue.
AB I (R1=H, Me, Cl, Br; R2=H) with NaH in DMF followed by treatment with Me2N(CH2)2Cl or Me2N(CH2)3Cl gave 40-90% I [R2=(CH2)3NMe2, (CH2)2NMe2].
Zn-HCl redn. of I (R1=H, Me; R2=H) in the presence of HgCl2 gave 43-94% of
the resp. II. ClCH2CH2COCl reacted with II (R=H) to give 70% II [R=CO(CH2)2Cl], which treated with an amine gave 68-85% II (R=CO(CH2)2NEt2, piperidino-ethylcarbonyl). I and II have psychosedative
and antidepressant activity.
IT **35073-69-9P 35073-77-9P 35073-79-1P**
35073-81-5P
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of)
RN 35073-69-9 CAPLUS
CN 12H-[1]Benzoxepino[5,4-b]indole-12-ethanamine, 6,7-dihydro-N,N-dimethyl-,
monohydrochloride (9CI) (CA INDEX NAME)



● HCl

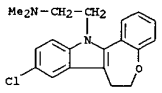
RN 35073-77-9 CAPLUS
CN 12H-[1]Benzoxepino[5,4-b]indole-12-ethanamine, 6,7-dihydro-N,N,9-trimethyl-
, monohydrochloride (9CI) (CA INDEX NAME)

L13 ANSWER 70 OF 99 CAPLUS COPYRIGHT 2002 ACS (Continued)



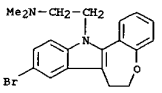
● HCl

RN 35073-79-1 CAPLUS
CN 12H-[1]Benzoxepino[5,4-b]indole-12-ethanamine, 9-chloro-6,7-dihydro-N,N-
dimethyl-, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

RN 35073-81-5 CAPLUS
CN 12H-[1]Benzoxepino[5,4-b]indole-12-ethanamine, 9-bromo-6,7-dihydro-N,N-
dimethyl-, monohydrochloride (9CI) (CA INDEX NAME)



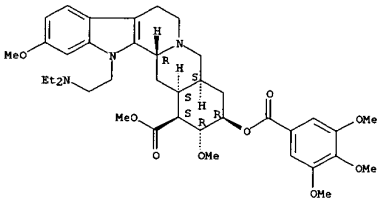
● HCl

L13 ANSWER 71 OF 99 CAPLUS COPYRIGHT 2002 ACS

ACCESSION NUMBER: 1972:21264 CAPLUS
DOCUMENT NUMBER: 76:21264
TITLE: Effects of reserpine and its derivatives on blood pressure and tissue levels of amines
AUTHOR(S): Fujiwara, Motohatsu; Osumi, Seimei; Tagashira, Eijiro;
Kurahashi, Kazuyoshi; Kajiwara, Hiroshi; Osumi, Kiyoshi; Mori, Jyo
CORPORATE SOURCE: Med. Sch., Kyoto Univ., Kyoto, Japan
SOURCE: Nippon Yakubutsugaku Zasshi (1970), 66(5), 564-85
CODEN: NIYZAM
DOCUMENT TYPE: Journal
LANGUAGE: Japanese
AB Reserpine (I) [50-55-5], 10-methoxydeserpidine (II) [865-04-3], N-diethylaminoethylreserpine (III) [**53-18-9**], and
dimethylaminoethyl reserpilinate (IV) [5585-67-1] lowered blood pressure
gradually in chloralosed cats; the effect of I was >10-fold stronger than
those of the other 3 drugs. I, II, and III inhibited persistently the
pressor reflexes to vagal stimulation and stoppage of respiration, and
transiently inhibited the pressor reflex to bilateral carotid occlusion;
IV had no effect on these pressor reflexes. Cardiac and cerebral noradrenaline [51-41-2] and serotonin [50-67-9] levels in rats were
decreased markedly by I, slightly decreased by II and III, and only very
slightly decreased by large IV doses. I decreased or abolished catechol
amine fluorescence in nerve cells, nerve endings, and vesicles, while III
and II decreased catechol amine fluorescence markedly only in vesicles.
IT **53-18-9**
RL: BIOL (Biological study)
(blood pressure and monoamine metabolism response to)
RN 53-18-9 CAPLUS
CN Yohimban-16-carboxylic acid, 1-[2-(diethylamino)ethyl]-11,17-dimethoxy-18-
[(3,4,5-trimethoxybenzoyl)oxy]-, methyl ester, (3.beta.,16.beta.,17.alpha.,18.beta.,20.alpha.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

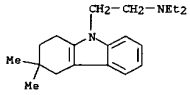
L13 ANSWER 71 OF 99 CAPLUS COPYRIGHT 2002 ACS (Continued)



L13 ANSWER 72 OF 99 CAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 1972:14239 CAPLUS
DOCUMENT NUMBER: 76:14239
TITLE: Spirans. XVIII. The gem-Dialkyl and spirotetrahydrocarbazoles
AUTHOR(S): Rice, Leonard M.; Sheth, Bhagvandas S.; Wheeler, James W.
CORPORATE SOURCE: Coll. Pharm., Howard Univ., Washington, D. C., USA
SOURCE: J. Heterocycl. Chem. (1971), 8(5), 751-4
CODEN: JHTCAD
DOCUMENT TYPE: Journal
LANGUAGE: English
GI For diagram(s), see printed CA Issue.
AB The reactions of 4,4-dialkylcyclohexanones, spiro[4.5]decan-8-one and various spiro[5.5]undecanones with phenylhydrazines to produce corresponding 3,3-dialkyltetrahydro and spiro(cycloalkyl 1,n')-1',2',3',4'-tetrahydrocarbazoles [n' = 1,2, or 3 (I, n = 0 or 1)] by the Fischer indole synthesis were examd. Structural assignment of the one isomeric product derived from spiro[5.5] undecan-2-one was obtained on the basis of PMR spectra.
IT **34574-58-8P 34574-59-9P 34574-65-7P 34574-66-8P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of)
RN 34574-58-8 CAPLUS
CN 9H-Carbazole-9-ethanamine, N,N-diethyl-1,2,3,4-tetrahydro-3,3-dimethyl-, (2Z)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 34574-59-9
CMF C20 H30 N2

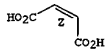


CM 2

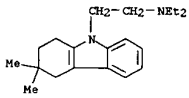
CRN 110-16-7
CMF C4 H4 O4

Double bond geometry as shown.

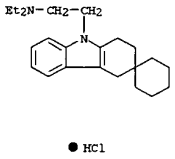
L13 ANSWER 72 OF 99 CAPLUS COPYRIGHT 2002 ACS (Continued)



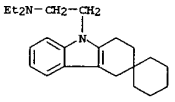
RN 34574-59-9 CAPLUS
CN 9H-Carbazole-9-ethanamine, N,N-diethyl-1,2,3,4-tetrahydro-3,3-dimethyl- (9CI) (CA INDEX NAME)



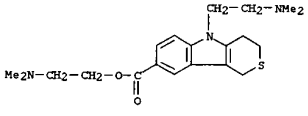
RN 34574-65-7 CAPLUS
CN Spiro[3H-carbazole-3,1'-cyclohexane]-9(2H)-ethanamine, N,N-diethyl-1,4-dihydro-, monohydrochloride (9CI) (CA INDEX NAME)



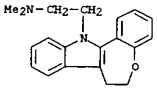
RN 34574-66-8 CAPLUS
CN Spiro[3H-carbazole-3,1'-cyclohexane]-9(2H)-ethanamine, N,N-diethyl-1,4-dihydro- (9CI) (CA INDEX NAME)



L13 ANSWER 73 OF 99 CAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 1971:517037 CAPLUS
DOCUMENT NUMBER: 75:117037
TITLE: Effect of serotonin antagonists on D, M, and T receptors
AUTHOR(S): Zakusov, V. V.; Pidevich, I. N.
CORPORATE SOURCE: Moscow, USSR
SOURCE: Biogennye Aminy Klin., Tr. Vses. Nauch. Konf. (1970), Meeting Date 1967, 250-6. Editor(s): Men'shikov, V. V. "Meditsina": Moscow, USSR.
CODEN: 23LZAD
DOCUMENT TYPE: Conference
LANGUAGE: Russian
AB A new type of serotonin-reactive structures and serotonin antagonists was found. Myotropic effects (D-receptors) were measured on rat uterus and stomach, the effect on ganglia (M-receptors) on cat nictitating membrane, and the effect on T-receptors (new class) on the heart-lung reflectogenic zone of anesthetized cat. In the latter, serotonin accelerates breathing and heart beat. Derivs. of thiopyranoindole, tetrahydrocarboline, and 2,3-dialkylindole, contg. a diethylaminoethyl group and an unsatd. H at the indole N, antagonized the effect of serotonin on T-receptors. Tipindole, a representative compd., slightly antagonized the effect of serotonin on D- and M-receptors and strongly that on T-receptors.
IT **34320-59-7**
RL: BIOL (Biological study)
(serotonin receptors response to)
RN 34320-59-7 CAPLUS
CN Thiopyrano[4,3-b]indole-8-carboxylic acid, 5-[2-(dimethylamino)ethyl]-1,3,4,5-tetrahydro-, 2-(dimethylamino)ethyl ester (8CI, 9CI) (CA INDEX NAME)



L13 ANSWER 74 OF 99 CAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 1971:435840 CAPLUS
DOCUMENT NUMBER: 75:35840
TITLE: Indole derivatives. XXXV. Synthesis of 12H-6,7-dihydrobenz[2',3']oxepino[4,5-b]indoles
AUTHOR(S): Sharkova, L. M.; Aksanova, L. A.; Kucherova, N. F.
CORPORATE SOURCE: USSR
SOURCE: Khim. Geterotsikl. Soedin. (1971), 7(1), 65-7
CODEN: KGSSAQ
DOCUMENT TYPE: Journal
LANGUAGE: Russian
GI For diagram(s), see printed CA Issue.
AB Reaction of homochroman-5-one with p-tolylhydrazine-HCl in 15% HCl-EtOH gave 97% I (R = R1 = H). Similarly prepd. were I (R, and R1 given): Me, H; MeO, H; H, Me; H, PhCH2; EtOCO, PhCH2; EtOCO, H; Cl, H; Br, H; CF3 (on C8 or C10), CH2CH2NMe2; NO2, H; 8,9-benzo, H.
IT **33308-43-9P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of)
RN 33308-43-9 CAPLUS
CN 6H-[1]Benzoxepino[5,4-b]indole, 12-[2-(dimethylamino)ethyl]-7,12-dihydro-8(or 10)-(trifluoromethyl)-, monohydrochloride (8CI) (CA INDEX NAME)



● HCl

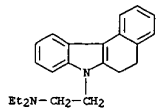
L13 ANSWER 75 OF 99 CAPLUS COPYRIGHT 2002 ACS

ACCESSION NUMBER: 1971:435588 CAPLUS
DOCUMENT NUMBER: 75:35588
TITLE: Synthetic trypanocides. 2. Substituted 5,6-dihydro[c]benzocarbazoles
AUTHOR(S): Albonico, Sem M.; Gallo Pecca, Jorge
CORPORATE SOURCE: Sch. Pharm. Biochem., Univ. Buenos Aires, Buenos Aires, Argent.
SOURCE: J. Med. Chem. (1971), 14(5), 448-9
CODEN: JMCMAR
DOCUMENT TYPE: Journal
LANGUAGE: English
GI For diagram(s), see printed CA Issue.
AB 7-(2-Dimethylaminoethyl)-8-chloro-5,6-dihydro-7H-benzo[c]carbazole (I) and 7-(2-piperidinoethyl)-10-methoxy-5,6-dihydro-7H-benzo[c]carbazole (II), the most active compds. of 32 substituted 5,6-dihydro[c]benzocarbazoles and substituted 5,6-dihydro[c]benzocarbazole fumarates, were prepd. by the Fisher indole synthesis and by a modified procedure (starting with substituted phenylhydrazines and .beta.-tetralones). I and II, each at 1000 mg/kg, orally or i.p., caused no deaths in mice. Furthermore, it was established that in compds. contg. an N-substituted indole nucleus, chloro and methoxy substituents in the benzonoid portion of the aromatic ring provide higher activities against Trypanosoma cruzi.
IT **32533-83-8P 32533-84-9P 32533-85-0P**
32533-86-1P 32533-87-2P 32533-88-3P
32533-89-4P 32533-90-7P 32534-12-6P
32534-13-7P 32534-14-8P 32534-15-9P
32534-16-0P 32534-18-2P 32534-20-6P
32557-41-8P
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of)
RN 32533-83-8 CAPLUS
CN 5H-Benzo[c]carbazole, 7-[2-(diethylamino)ethyl]-6,7-dihydro-, fumarate (1:1) (8CI) (CA INDEX NAME)

CM 1

CRN 31792-76-4
CMF C22 H26 N2

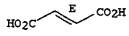
L13 ANSWER 75 OF 99 CAPLUS COPYRIGHT 2002 ACS (Continued)



CM 2

CRN 110-17-8
CMF C4 H4 O4

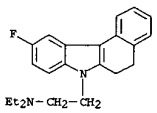
Double bond geometry as shown.



RN 32533-84-9 CAPLUS
CN 5H-Benzo[c]carbazole, 7-[2-(diethylamino)ethyl]-10-fluoro-6,7-dihydro-, fumarate (1:1) (8CI) (CA INDEX NAME)

CM 1

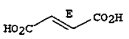
CRN 47433-14-7
CMF C22 H25 F N2



CM 2

CRN 110-17-8
CMF C4 H4 O4

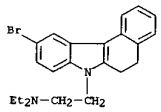
Double bond geometry as shown.



L13 ANSWER 75 OF 99 CAPLUS COPYRIGHT 2002 ACS (Continued)
RN 32533-85-0 CAPLUS
CN 5H-Benzo[c]carbazole, 10-bromo-7-[2-(diethylamino)ethyl]-6,7-dihydro-, fumarate (1:1) (8CI) (CA INDEX NAME)

CM 1

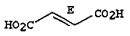
CRN 47433-11-4
CMF C22 H25 Br N2



CM 2

CRN 110-17-8
CMF C4 H4 O4

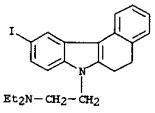
Double bond geometry as shown.



RN 32533-86-1 CAPLUS
CN 5H-Benzo[c]carbazole, 7-[2-(diethylamino)ethyl]-6,7-dihydro-10-iodo-, fumarate (1:1) (8CI) (CA INDEX NAME)

CM 1

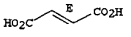
CRN 47433-15-8
CMF C22 H25 I N2



CM 2

L13 ANSWER 75 OF 99 CAPLUS COPYRIGHT 2002 ACS (Continued)
CRN 110-17-8
CMF C4 H4 O4

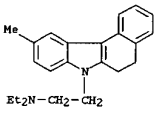
Double bond geometry as shown.



RN 32533-87-2 CAPLUS
CN 5H-Benzo[c]carbazole, 7-[2-(diethylamino)ethyl]-6,7-dihydro-10-methyl-, fumarate (1:1) (8CI) (CA INDEX NAME)

CM 1

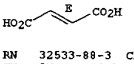
CRN 47433-12-5
CMF C23 H28 N2



CM 2

CRN 110-17-8
CMF C4 H4 O4

Double bond geometry as shown.

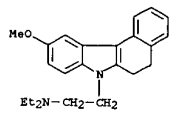


RN 32533-88-3 CAPLUS
CN 5H-Benzo[c]carbazole, 7-[2-(diethylamino)ethyl]-6,7-dihydro-10-methoxy-, fumarate (1:1) (8CI) (CA INDEX NAME)

CM 1

CRN 47480-11-5
CMF C23 H28 N2 O

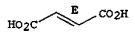
L13 ANSWER 75 OF 99 CAPLUS COPYRIGHT 2002 ACS (Continued)



CM 2

CRN 110-17-8
CMF C4 H4 O4

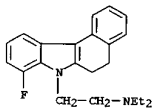
Double bond geometry as shown.



RN 32533-89-4 CAPLUS
CN 5H-Benzo[c]carbazole,
7-[2-(diethylamino)ethyl]-8-fluoro-6,7-dihydro-,
fumarate (1:1) (8CI) (CA INDEX NAME)

CM 1

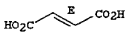
CRN 47433-09-0
CMF C22 H25 F N2



CM 2

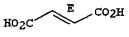
CRN 110-17-8
CMF C4 H4 O4

Double bond geometry as shown.



L13 ANSWER 75 OF 99 CAPLUS COPYRIGHT 2002 ACS (Continued)
CMF C4 H4 O4

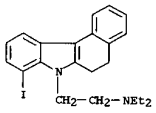
Double bond geometry as shown.



RN 32534-13-7 CAPLUS
CN 5H-Benzo[c]carbazole, 7-[2-(diethylamino)ethyl]-6,7-dihydro-8-iodo-,
fumarate (1:1) (8CI) (CA INDEX NAME)

CM 1

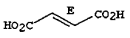
CRN 47433-10-3
CMF C22 H25 I N2



CM 2

CRN 110-17-8
CMF C4 H4 O4

Double bond geometry as shown.



RN 32534-14-8 CAPLUS
CN 5H-Benzo[c]carbazole,
7-[2-(diethylamino)ethyl]-6,7-dihydro-8-methyl-,
fumarate (1:1) (8CI) (CA INDEX NAME)

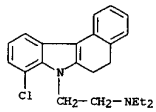
CM 1

CRN 47433-07-8
CMF C23 H28 N2

L13 ANSWER 75 OF 99 CAPLUS COPYRIGHT 2002 ACS (Continued)
RN 32533-90-7 CAPLUS
CN 5H-Benzo[c]carbazole, 8-chloro-7-[2-(diethylamino)ethyl]-6,7-dihydro-,
fumarate (1:1) (8CI) (CA INDEX NAME)

CM 1

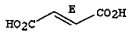
CRN 47433-08-9
CMF C22 H25 Cl N2



CM 2

CRN 110-17-8
CMF C4 H4 O4

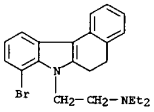
Double bond geometry as shown.



RN 32534-12-6 CAPLUS
CN 5H-Benzo[c]carbazole, 8-bromo-7-[2-(diethylamino)ethyl]-6,7-dihydro-,
fumarate (1:1) (8CI) (CA INDEX NAME)

CM 1

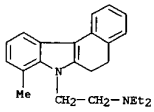
CRN 47433-06-7
CMF C22 H25 Br N2



CM 2

CRN 110-17-8

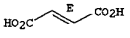
L13 ANSWER 75 OF 99 CAPLUS COPYRIGHT 2002 ACS (Continued)



CM 2

CRN 110-17-8
CMF C4 H4 O4

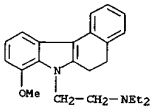
Double bond geometry as shown.



RN 32534-15-9 CAPLUS
CN 5H-Benzo[c]carbazole,
7-[2-(diethylamino)ethyl]-6,7-dihydro-8-methoxy-,
fumarate (1:1) (8CI) (CA INDEX NAME)

CM 1

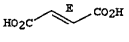
CRN 47480-09-1
CMF C23 H28 N2 O



CM 2

CRN 110-17-8
CMF C4 H4 O4

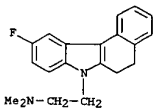
Double bond geometry as shown.



L13 ANSWER 75 OF 99 CAPLUS COPYRIGHT 2002 ACS (Continued)
RN 32534-16-0 CAPLUS
CN 5H-Benzo[c]carbazole,
7-[2-(dimethylamino)ethyl]-10-fluoro-6,7-dihydro-,
fumarate (1:1) (8CI) (CA INDEX NAME)

CM 1

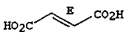
CRN 47271-03-4
CMF C20 H21 F N2



CM 2

CRN 110-17-8
CMF C4 H4 O4

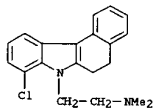
Double bond geometry as shown.



RN 32534-18-2 CAPLUS
CN 5H-Benzo[c]carbazole,
8-chloro-7-[2-(dimethylamino)ethyl]-6,7-dihydro-,
fumarate (1:1) (8CI) (CA INDEX NAME)

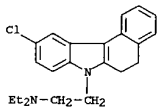
CM 1

CRN 31792-72-0
CMF C20 H21 Cl N2



CM 2

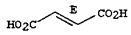
L13 ANSWER 75 OF 99 CAPLUS COPYRIGHT 2002 ACS (Continued)



CM 2

CRN 110-17-8
CMF C4 H4 O4

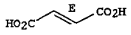
Double bond geometry as shown.



L13 ANSWER 75 OF 99 CAPLUS COPYRIGHT 2002 ACS (Continued)

CRN 110-17-8
CMF C4 H4 O4

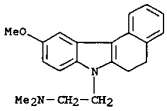
Double bond geometry as shown.



RN 32534-20-6 CAPLUS
CN 5H-Benzo[c]carbazole,
7-[2-(dimethylamino)ethyl]-6,7-dihydro-10-methoxy-,
fumarate (1:1) (8CI) (CA INDEX NAME)

CM 1

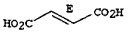
CRN 47334-74-7
CMF C21 H24 N2 O



CM 2

CRN 110-17-8
CMF C4 H4 O4

Double bond geometry as shown.



RN 32557-41-8 CAPLUS
CN 5H-Benzo[c]carbazole,
10-chloro-7-[2-(diethylamino)ethyl]-6,7-dihydro-,
fumarate (1:1) (8CI) (CA INDEX NAME)

CM 1

CRN 47433-13-6
CMF C22 H25 Cl N2

L13 ANSWER 75 OF 99 CAPLUS COPYRIGHT 2002 ACS (Continued)

CM 2

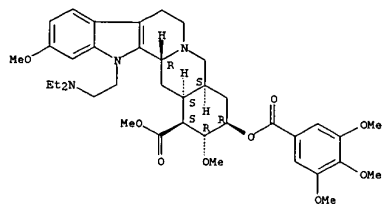
CRN 110-17-8
CMF C4 H4 O4

Double bond geometry as shown.

L13 ANSWER 76 OF 99 CAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 1971:433497 CAPLUS
DOCUMENT NUMBER: 75:33497
TITLE: Hypotensive effect of 1-[2-(diethylamino)ethyl]reserpine (bietaserpine)
AUTHOR(S): Ueda, Motohiko; Doteuchi, Masami; Matsuda, Saburo; Kawakami, Masaru; Tanaka, Hideo; Takeda, Hiroshi
CORPORATE SOURCE: Shionogi and Co. Ltd., Osaka, Japan
SOURCE: Nippon Yakurigaku Zasshi (1970), 66(2), 248-60
CODEN: NYKZAU
DOCUMENT TYPE: Journal
LANGUAGE: Japanese
GI For diagram(s), see printed CA Issue.
AB The hypotensive effect of bietaserpine (I) was compared with that of reserpine (II) and syrosingopine (III) in unanesthetized rabbits, while the effect of I on monoamine release from peripheral organs was compared with that of II, III, and 10-methoxydeserpidine in rats. I.v. I doses >5 mg/kg had hypotensive effects. I, II, and III produced no abnormal electrocardiogram patterns and had a similar potency with respect to miosis. The potency of I in inducing a hypotensive effect, a neg. chronotropic effect, and a decrease of rectal temp. was about 1/10th of the potency of III and <1/10th of the potency of II. I (25 mg/kg s.c.) caused a complete disappearance of catechol amine fluorescence in the duodenum and a partial disappearance of catechol amine fluorescence in the seminal vesicles and vas deferens; serotonin distribution was not affected in these organs. The hypotensive mechanism of I appears to be similar to that of III.
IT **53-18-9**
RL: BAC (Biological activity or effector, except adverse); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(hypotensive activity of)
RN 53-18-9 CAPLUS
CN Yohimban-16-carboxylic acid,
1-[2-(diethylamino)ethyl]-11,17-dimethoxy-18-[(3,4,5-trimethoxybenzoyl)oxy]-, methyl ester,
(3.beta.,16.beta.,17.alpha.,18.beta.,20.alpha.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L13 ANSWER 76 OF 99 CAPLUS COPYRIGHT 2002 ACS (Continued)



L13 ANSWER 77 OF 99 CAPLUS COPYRIGHT 2002 ACS

ACCESSION NUMBER: 1971:405697 CAPLUS
DOCUMENT NUMBER: 75:5697
TITLE: Tetrahydrocarbazole derivatives
PATENT ASSIGNEE(S): Sterling Drug Inc.
SOURCE: Brit., 18 pp.
CODEN: BRXXAA
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 4
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
GB 1225664	A	19710317	GB 1968-1225664	19680410
US 3642816	A	19720215	US 1967-659606	19670810
JP 50009797	B4	19750416	JP 1968-26859	19680423
CH 507945	A	19710531	CH 1968-507945	19680424
CH 517741	A	19720115	CH 1968-517741	19680424
NL 6806044	A	19690212	NL 1968-6044	19680429
FR 7693	M	19700223	FR 1968-7693	19680429
BE 714439	A	19681030	BE 1968-714439	19680430
SE 355018	B	19730402	SE 1968-5885	19680430
JP 52035669	B4	19770910	JP 1974-98822	19740828

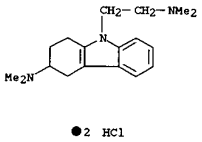
PRIORITY APPLN. INFO.: US 1967-659606 19670810

AB Title compounds were prepd. Thus, a mixt. of 6.7 g 3-(dimethylamino)-1,2,3,4-tetrahydrocarbazole and 1.35 g NaH in 75 ml DMF was heated on a steam bath, and 5 g p-ClC6H4CH2Cl in 10 ml DMF added dropwise to give 4.9 g 9-(p-chlorobenzyl)-3-(dimethylamino)-1,2,3,4-tetrahydrocarbazole.

IT **32212-05-8P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of)

RN 32212-05-8 CAPLUS

CN 9H-Carbazole-9-ethanamine, 3-(dimethylamino)-1,2,3,4-tetrahydro-N,N-dimethyl-, dihydrochloride (9CI) (CA INDEX NAME)



●2 HCl

L13 ANSWER 78 OF 99 CAPLUS COPYRIGHT 2002 ACS

ACCESSION NUMBER: 1971:97715 CAPLUS
DOCUMENT NUMBER: 74:97715
TITLE: Effect of amines on experimental stomach ulcer by stress
AUTHOR(S): Fujiwara, Motohatsu; Mori, Jo
CORPORATE SOURCE: Sch. Med., Univ. Kyoto, Kyoto, Japan
SOURCE: Saishin Igaku (1970), 25(10), 2058-67
CODEN: SAIGAK
DOCUMENT TYPE: Journal
LANGUAGE: Japanese

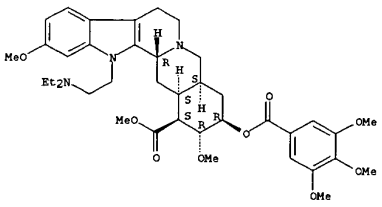
AB Male mature rats were subjected to cold stress (23.degree. bath, 20 hr), and the gastric mucosa was examd. histol. The i.p. injection of reserpine (2-5 mg/kg) accelerated cold-stress ulcer. Pretreatment with a smaller amt. of reserpine (0.5-2 mg) at 5 hr before cold-stress more greatly accelerated ulcer formation. Ulceration could also be induced by preinjection of tetrabenazine or to a lesser extent, by bietaserpine. Pretreatment with the monoamine oxidase inhibitors (iproniazid or nialamide) considerably protected the animals from cold-stress ulcer. The simultaneous administration of 3,4-dihydroxyphenylalanine or 5-hydroxytryptamine increased the protecting effect of the monoamine oxidase inhibitor. The results are discussed in assocn. with the cerebral (autonomic nervous system) catechol amine concn.

IT **53-18-9**
RL: BIOL (Biological study)
(ulcers from)

RN 53-18-9 CAPLUS

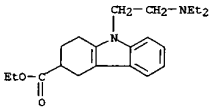
CN Yohimban-16-carboxylic acid, 1-[2-(diethylamino)ethyl]-11,17-dimethoxy-18-[(3,4,5-trimethoxybenzoyl)oxy]-, methyl ester, (3.beta.,16.beta.,17.alpha.,18.beta.,20.alpha.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L13 ANSWER 78 OF 99 CAPLUS COPYRIGHT 2002 ACS (Continued)

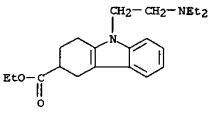
L13 ANSWER 79 OF 99 CAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 1970:100424 CAPLUS
DOCUMENT NUMBER: 72:100424
TITLE: 3-Substituted 1,2,3,4-tetrahydrocarbazoles
AUTHOR(S): Rice, Leonard M.; Scott, Kenneth Richard
CORPORATE SOURCE: Coll. of Pharm., Howard Univ., Washington, D. C., USA
SOURCE: J. Med. Chem. (1970), 13(2), 308-11
CODEN: JMCMAR
DOCUMENT TYPE: Journal
LANGUAGE: English
GI For diagram(s), see printed CA Issue.
AB 4-Carbethoxycyclohexanone is treated with PhNHNH2 to give I. II [R = CONEt2, CH2NEt2, and CH2OH; R1 = Me or .omega.-(dialkylamino)alkyl] are
prepd. from I by known methods.
IT **26072-06-0P 26072-07-1P 26072-08-2P**
26196-51-0P 29145-66-2P
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of)
RN 26072-06-0 CAPLUS
CN Carbazole-3-carboxylic acid,
9-[2-(diethylamino)ethyl]-1,2,3,4-tetrahydro-
, ethyl ester (8CI) (CA INDEX NAME)



RN 26072-07-1 CAPLUS
CN Carbazole-3-carboxylic acid,
9-[2-(diethylamino)ethyl]-1,2,3,4-tetrahydro-
, ethyl ester, monomethiodide (8CI) (CA INDEX NAME)

CM 1

CRN 26072-06-0
CMF C21 H30 N2 O2

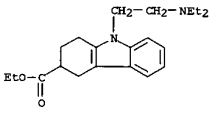


L13 ANSWER 79 OF 99 CAPLUS COPYRIGHT 2002 ACS (Continued)

RN 29145-66-2 CAPLUS
CN Carbazole-3-carboxylic acid,
9-[2-(diethylamino)ethyl]-1,2,3,4-tetrahydro-
, ethyl ester, maleate (1:1) (8CI) (CA INDEX NAME)

CM 1

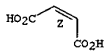
CRN 26072-06-0
CMF C21 H30 N2 O2



CM 2

CRN 110-16-7
CMF C4 H4 O4

Double bond geometry as shown.



L13 ANSWER 79 OF 99 CAPLUS COPYRIGHT 2002 ACS (Continued)

CM 2

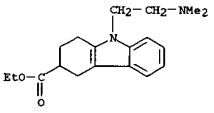
CRN 74-88-4
CMF C H3 I

RN 26072-08-2 CAPLUS
CN Carbazole-3-carboxylic acid,
9-[2-(dimethylamino)ethyl]-1,2,3,4-tetrahydro-
, ethyl ester (8CI) (CA INDEX NAME)

RN 26196-51-0 CAPLUS
CN Carbazole-3-carboxylic acid,
9-[2-(dimethylamino)ethyl]-1,2,3,4-tetrahydro-
, ethyl ester, monomethiodide (8CI) (CA INDEX NAME)

CM 1

CRN 26072-08-2
CMF C19 H26 N2 O2



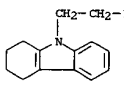
CM 2

CRN 74-88-4
CMF C H3 I

L13 ANSWER 80 OF 99 CAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 1970:97655 CAPLUS
DOCUMENT NUMBER: 72:97655
TITLE: Synthetic trypanocides. I. Substituted 1,2,3,4-tetrahydrocarbazoles
AUTHOR(S): Pecca, Jorge G.; Albonico, Sem M.
CORPORATE SOURCE: Sch. Pharm. Biochem., Univ. Buenos Aires, Buenos Aires, Argent.
SOURCE: J. Med. Chem. (1970), 13(2), 327-8
CODEN: JMCMAR
DOCUMENT TYPE: Journal
LANGUAGE: English
GI For diagram(s), see printed CA Issue.
AB Thirteen substituted 1,2,3,4-tetrahydrocarbazoles (I) were synthesized and
tested for their in vitro trypanocidal activity against Trypanosoma cruzi
in human blood. Prepd. were (R1, R1, m.p. and % yield given): H, H (II),
183-4.degree., 42; F, H (III), 168-70.degree., 36; Cl, H (IV),
182-4.degree., 38; Br, H, 184-5.degree., 37; I, H, 192-4.degree., 41; Me,
H (V), 188-9.degree., 38; OMe, H (VI), 158-70.degree., 35; H, F,
165-7.degree., 30; H, Cl, 154-5.degree., 41; H, Br, 143-4.degree., 35; H,
I, 153-4.degree., 41; H, Me, 163-5.degree., 30; and H, OMe (VII), 153-4,
35. II, III, IV, V, VI, and VII at min. concns. of 350, 350, 320, 350,
360, and 70 .mu.g/mg, resp., killed all trypanosomes within 16 hr.
IT **25150-74-7 25150-75-8 25150-76-9**
25150-77-0 25198-31-6 25198-32-7
27539-17-9 27539-20-4 27539-21-5
27539-22-6 27539-29-3 27994-77-0
RL: BAC (Biological activity or effector, except adverse); BIOL (Biological study)
(protozoacidal activity of)
RN 25150-74-7 CAPLUS
CN 9H-Carbazole-9-ethanamine, N,N-diethyl-1,2,3,4-tetrahydro-, (2E)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 25150-80-5
CMF C18 H26 N2

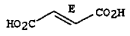


CM 2

L13 ANSWER 80 OF 99 CAPLUS COPYRIGHT 2002 ACS (Continued)

CRN 110-17-8
CMF C4 H4 O4

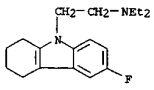
Double bond geometry as shown.



RN 25150-75-8 CAPLUS
CN 9H-Carbazole-9-ethanamine, N,N-diethyl-6-fluoro-1,2,3,4-tetrahydro-, (2E)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

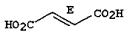
CRN 25150-81-6
CMF C18 H25 F N2



CM 2

CRN 110-17-8
CMF C4 H4 O4

Double bond geometry as shown.



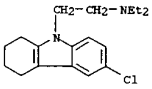
RN 25150-76-9 CAPLUS
CN 9H-Carbazole-9-ethanamine, N,N-diethyl-1,2,3,4-tetrahydro-6-methyl-, (2E)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 25150-83-8
CMF C19 H28 N2

L13 ANSWER 80 OF 99 CAPLUS COPYRIGHT 2002 ACS (Continued)

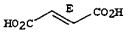
CRN 25150-82-7
CMF C18 H25 Cl N2



CM 2

CRN 110-17-8
CMF C4 H4 O4

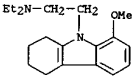
Double bond geometry as shown.



RN 25198-32-7 CAPLUS
CN 9H-Carbazole-9-ethanamine, N,N-diethyl-1,2,3,4-tetrahydro-8-methoxy-, (2E)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

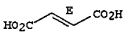
CRN 25150-85-0
CMF C19 H28 N2 O



CM 2

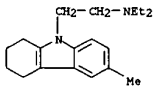
CRN 110-17-8
CMF C4 H4 O4

Double bond geometry as shown.



RN 27539-17-9 CAPLUS

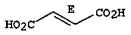
L13 ANSWER 80 OF 99 CAPLUS COPYRIGHT 2002 ACS (Continued)



CM 2

CRN 110-17-8
CMF C4 H4 O4

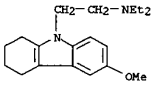
Double bond geometry as shown.



RN 25150-77-0 CAPLUS
CN 9H-Carbazole-9-ethanamine, N,N-diethyl-1,2,3,4-tetrahydro-6-methoxy-, (2E)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

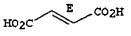
CRN 25150-84-9
CMF C19 H28 N2 O



CM 2

CRN 110-17-8
CMF C4 H4 O4

Double bond geometry as shown.



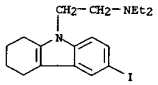
RN 25198-31-6 CAPLUS
CN 9H-Carbazole-9-ethanamine, 6-chloro-N,N-diethyl-1,2,3,4-tetrahydro-, (2E)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

L13 ANSWER 80 OF 99 CAPLUS COPYRIGHT 2002 ACS (Continued)
CN Carbazole, 9-[2-(diethylamino)ethyl]-1,2,3,4-tetrahydro-6-iodo-, fumarate
(1:1) (8CI) (CA INDEX NAME)

CM 1

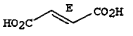
CRN 47144-01-4
CMF C18 H25 I N2



CM 2

CRN 110-17-8
CMF C4 H4 O4

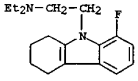
Double bond geometry as shown.



RN 27539-20-4 CAPLUS
CN Carbazole, 9-[2-(diethylamino)ethyl]-8-fluoro-1,2,3,4-tetrahydro-, fumarate (1:1) (8CI) (CA INDEX NAME)

CM 1

CRN 47140-72-7
CMF C18 H25 F N2

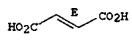


CM 2

CRN 110-17-8
CMF C4 H4 O4

Double bond geometry as shown.

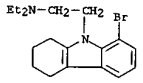
L13 ANSWER 80 OF 99 CAPLUS COPYRIGHT 2002 ACS (Continued)



RN 27539-21-5 CAPLUS
CN Carbazole, 8-bromo-9-[2-(diethylamino)ethyl]-1,2,3,4-tetrahydro-, fumarate
(1:1) (8CI) (CA INDEX NAME)

CM 1

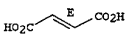
CRN 47140-69-2
CMF C18 H25 Br N2



CM 2

CRN 110-17-8
CMF C4 H4 O4

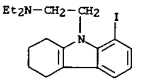
Double bond geometry as shown.



RN 27539-22-6 CAPLUS
CN Carbazole, 9-[2-(diethylamino)ethyl]-1,2,3,4-tetrahydro-8-iodo-, fumarate
(1:1) (8CI) (CA INDEX NAME)

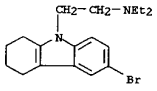
CM 1

CRN 47140-73-8
CMF C18 H25 I N2



CM 2

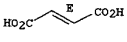
L13 ANSWER 80 OF 99 CAPLUS COPYRIGHT 2002 ACS (Continued)



CM 2

CRN 110-17-8
CMF C4 H4 O4

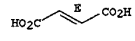
Double bond geometry as shown.



L13 ANSWER 80 OF 99 CAPLUS COPYRIGHT 2002 ACS (Continued)

CRN 110-17-8
CMF C4 H4 O4

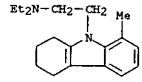
Double bond geometry as shown.



RN 27539-29-3 CAPLUS
CN Carbazole, 9-[2-(diethylamino)ethyl]-1,2,3,4-tetrahydro-8-methyl-, fumarate (1:1) (8CI) (CA INDEX NAME)

CM 1

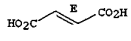
CRN 47140-70-5
CMF C19 H28 N2



CM 2

CRN 110-17-8
CMF C4 H4 O4

Double bond geometry as shown.

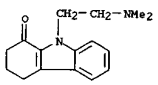


RN 27994-77-0 CAPLUS
CN Carbazole, 6-bromo-9-[2-(diethylamino)ethyl]-1,2,3,4-tetrahydro-, fumarate
(1:1) (8CI) (CA INDEX NAME)

CM 1

CRN 47143-97-5
CMF C18 H25 Br N2

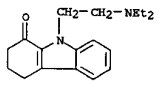
L13 ANSWER 81 OF 99 CAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 1970:12476 CAPLUS
DOCUMENT NUMBER: 72:12476
TITLE: Synthesis of dialkylaminoalkyl derivatives of 1,2,3,4-tetrahydro-1-oxocarbazole
AUTHOR(S): Shvedov, V. I.; Altukhova, L. B.; Grinev, A. N.
CORPORATE SOURCE: Vses. Nauch.-Issled. Khim.-Farm. Inst. im. Ordzhonikidze, Moscow, USSR
SOURCE: Khim.-Farm. Zh. (1969), 3(8), 28-9
CODEN: KHFZAN
DOCUMENT TYPE: Journal
LANGUAGE: Russian
GI For diagram(s), see printed CA Issue.
AB I were prepd. as potential antihistamine or antiserotonins. Thus, to a suspension of 0.04 mole of a 1,2,3,4-tetrahydro-1-oxocarbazole in 20 ml dioxane was added alc. NaOEt (from 0.04 mole Na), 0.04 mole dialkylaminoalkyl chloride added, and the mixt. heated 1 hr at 130-40.degree., and the product treated with Et2O-HCl to give the following I (R, R1, r2, % yield, and m.p. given): (CH2)2NMe2.HCl, H, H, 60, 203-4.degree. (EtOH); (CH2)2NEt2.HCl, H, H, 70, 150-1.degree. (acetone); (CH2)3NMe2.HCl, H, H, 77, 175-6.degree. (acetone-methanol); (CH2)2NEt2.HCl, Me, H, 65.5, 181-2.degree. (EtOH); (CH2)2NMe2.HCl, Me, H, 78, 174-5.degree. (1:1 acetone-MeOH); (CH2)2NMe2.HCl, OMe, H, 80, 211-12.degree. (EtOH); (CH2)2NEt2.HCl, Cl, H, 80, 213-14.degree. (EtOH); (CH2)2NEt2.HCl, H, Me, 80, 190-1.degree. (acetone); Me, CO2(CH2)2NEt2.HCl, H, 77, 203-4.degree. (MeOH); Me, CO2(CH2)3NMe2, H, 64, 90-1.degree. (aq. MeOH).
IT **15995-83-2P 15995-84-3P 18638-84-1P 18638-85-2P 18638-87-4P 24536-19-4P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of)
RN 15995-83-2 CAPLUS
CN 1H-Carbazol-1-one, 9-[2-(dimethylamino)ethyl]-2,3,4,9-tetrahydro-, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

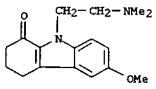
RN 15995-84-3 CAPLUS
CN 1H-Carbazol-1-one, 9-[2-(diethylamino)ethyl]-2,3,4,9-tetrahydro-,

L13 ANSWER 81 OF 99 CAPLUS COPYRIGHT 2002 ACS (Continued)
monohydrochloride (9CI) (CA INDEX NAME)



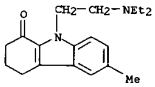
● HCl

RN 18638-84-1 CAPLUS
CN Carbazol-1(2H)-one,
9-[2-(dimethylamino)ethyl]-3,4-dihydro-6-methoxy-,
monohydrochloride (8CI) (CA INDEX NAME)



● HCl

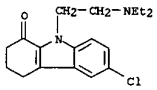
RN 18638-85-2 CAPLUS
CN Carbazol-1(2H)-one, 9-[2-(diethylamino)ethyl]-3,4-dihydro-6-methyl-,
monohydrochloride (8CI) (CA INDEX NAME)



● HCl

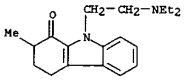
RN 18638-87-4 CAPLUS
CN Carbazol-1(2H)-one, 6-chloro-9-[2-(diethylamino)ethyl]-3,4-dihydro-,
monohydrochloride (8CI) (CA INDEX NAME)

L13 ANSWER 81 OF 99 CAPLUS COPYRIGHT 2002 ACS (Continued)



● HCl

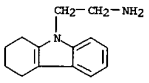
RN 24536-19-4 CAPLUS
CN Carbazol-1(2H)-one, 9-[2-(diethylamino)ethyl]-3,4-dihydro-2-methyl-,
monohydrochloride (8CI) (CA INDEX NAME)



● HCl

L13 ANSWER 82 OF 99 CAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 1969:481085 CAPLUS
DOCUMENT NUMBER: 71:81085
TITLE: Cycloparaffins condensed with heterocyclic rings. X.
Synthesis of 1-(.omega.-aminoalkyl)-2,3-cycloalkenoindoles
AUTHOR(S): Hahn, Witold E.; Bartnik, Romuald; Zawadzka, Halina;
Nowaczyk, M.
CORPORATE SOURCE: Univ. Lodz, Lodz, Poland
SOURCE: Lodz. Tow. Nauk. Wydz. III, Acta Chim. (1968), 13,
73-9
CODEN: LTNCAL
DOCUMENT TYPE: Journal
LANGUAGE: English
GI For diagram(s), see printed CA Issue.
AB 1-(.omega.-Aminoalkyl)-2,3-cycloalkenoindoles were synthesized for use as
substrates in the prepn. of guanidine derivs. with pharmacol. activity.
The following I were prepd. by the methods of Hahn et al., (1967 and 1968)
(n, m, R, and m.p., given): 3, 2, CN, 118-19.degree.; 4, 1, CN, 126-7.degree.; 4, 2, CN, 120-2.degree.; 4, 2, CO2H, 118-19.degree.; 4, 2,
CO2Et, b14 228-31.degree.; 4, 2, CONHNH2, 133-8.degree.. These materials
were then used in the prepn. of amino derivs. I (n = 3, m = 2, R = CN)
(10.5 g.) as a suspension in Et2O, was added dropwise over 2 hrs. to 3 g.
LiAlH4 in 200 ml. Et2O in ice, the mixt. stirred 2 hrs., kept 12 hrs. at
room temp., and refluxed 5 hrs., the green soln. cooled to 0.degree., 100
ml. N NaOH added, and the ppt. treated with HCl to give 68% I (n = 3, m =
3, R = NH2.HCl), m. 197-9.degree.. I (n = 4, m = 1, R = CN) was similarly
reduced to I (n = 4, m = 2, R = NH2), b0.cntdot.2 146-7.degree.. I (n =
4, m = 2, R = CONHNH2) was dissolved in 500 ml. 2% HCl, cooled, and
treated with 27 g. NaNO2 in 50 ml. H2O at <10.degree., and refluxed with
HCl 12 hrs. to give 63% I (n = 4, m = 2, R = NH2HCl), m. >300.degree..
This product was neutralized to give the liq., b0.cntdot.2 146-7.degree.;
picrate m. 190-1.degree.. I (n = 4, m = 2, R = CN) was reduced to give I
(n = 4, m = 3, R = NH2), b9 180.degree.; picrate m. 187.degree.;
hydrochloride m. 239-41.degree..
IT **23690-88-2P 23690-89-3P 23709-72-0P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of)

L13 ANSWER 82 OF 99 CAPLUS COPYRIGHT 2002 ACS (Continued)
RN 23690-88-2 CAPLUS
CN 9H-Carbazole-9-ethanamine, 1,2,3,4-tetrahydro-, hydrochloride (9CI) (CA
INDEX NAME)

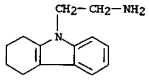


●x HCl

RN 23690-89-3 CAPLUS
CN Carbazole, 9-(2-aminoethyl)-1,2,3,4-tetrahydro-, picrate (8CI) (CA INDEX
NAME)

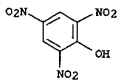
CM 1

CRN 23709-72-0
CMF C14 H18 N2



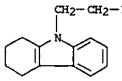
CM 2

CRN 88-89-1
CMF C6 H3 N3 O7



RN 23709-72-0 CAPLUS
CN 9H-Carbazole-9-ethanamine, 1,2,3,4-tetrahydro- (9CI) (CA INDEX NAME)

L13 ANSWER 82 OF 99 CAPLUS COPYRIGHT 2002 ACS (Continued)



L13 ANSWER 83 OF 99 CAPLUS COPYRIGHT 2002 ACS

ACCESSION NUMBER: 1969:450306 CAPLUS
DOCUMENT NUMBER: 71:50306
TITLE: 1-(Diethylaminoethyl)reserpine
PATENT ASSIGNEE(S): Societe Civile de Marques et Brevets
SOURCE: Fr., 4 pp.
CODEN: FRXXAK
DOCUMENT TYPE: Patent
LANGUAGE: French
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

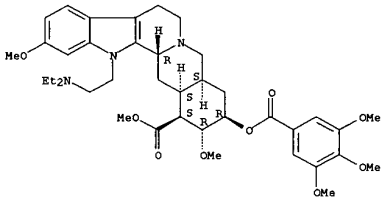
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
FR 1494069		19670908	FR	19650909

AB Reserpine is condensed with a halide X(CH2)2NEt2 (X = halo) in the presence of a condensation agent in Me2SO solvent at <30.degree. to give more easily purified 1-(diethylaminoethyl)reserpine (I). Thus, a soln. of 5 g. synthetic reserpine in 50 ml. Me2SO was combined under N with 1.6 g. Et2NCH2CH2Cl and 0.206 g. NaH (as a 50% mineral oil suspension) and the mixt. stirred 4 hrs. to give 5.02 g. I; ditartrate m. 145-50.degree.. Natural reserpine is also used to prep. I.

IT **53-18-9P 23618-66-8P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of)

RN 53-18-9 CAPLUS
CN Yohimban-16-carboxylic acid, 1-[2-(diethylamino)ethyl]-11,17-dimethoxy-18-[(3,4,5-trimethoxybenzoyl)oxy]-, methyl ester, (3.beta.,16.beta.,17.alpha.,18.beta.,20.alpha.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 23618-66-8 CAPLUS

L13 ANSWER 83 OF 99 CAPLUS COPYRIGHT 2002 ACS (Continued)

L13 ANSWER 84 OF 99 CAPLUS COPYRIGHT 2002 ACS

ACCESSION NUMBER: 1969:403204 CAPLUS
DOCUMENT NUMBER: 71:3204
TITLE: Indole derivatives. XXVII. 1,2,3,4-Tetrahydropyrrolo[3,4-b]indoles
AUTHOR(S): Sharkova, N. M.; Kucherova, N. F.; Aksanova, L. A.; Zagorevskii, V. A.
CORPORATE SOURCE: Inst. Farmakol. Khimioter., Moscow, USSR
SOURCE: Khim. Geterotsikl. Soedin. (1969), (1), 81-7
CODEN: KGSSAQ
DOCUMENT TYPE: Journal
LANGUAGE: Russian
GI For diagram(s), see printed CA Issue.

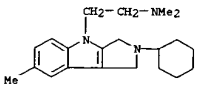
AB To a soln. of 228 g. C6H11NH2 in 285 ml. MeOH was added dropwise 200 g. Me acrylate at such a rate as to keep the temp. at 20-5.degree.. The whole was heated 1.5 hrs. at 60.degree. to yield 380 g. N-(.beta.-methoxycarbonylethyl)cyclohexylamine (I), b9 126-8.degree., n20D 1.4623.
A mixt. of 250 g. I, 165 g. CH2ClCO2Et and 463 g. anhyd. K2CO3 was heated 18 hrs. at 100.degree. in an autoclave, dild. with H2O, and extd. with Et2O to yield 261 g. N-(.beta.-methoxycarbonylethyl)-N-ethoxycarbonylmethylcyclohexylam-ine (II), b3 155-7.degree., n20D 1.4655.
To EtONa, prepd. from 15.2 g. Na, dried 30 min. at 150.degree. in vacuo, was added 170 g. II in 250 ml. anhyd. xylene and the whole heated 1 hr. at 120.degree. with evapn. of EtOH. After cooling, the mixt. was treated with 1.3 l. 18% HCl, and the aq. layer sepd., refluxed 6 hrs., evapd. to 1/3 vol. in vacuo and basified with 50% NaOH to yield 52% III (R = cyclohexyl, R1 = O), b2.5 96-7.degree., n20D 1.4910. A mixt. of 0.01 mole III and 0.01 mole appropriate arylhydrazine-HCl in 10 ml. EtOH gave the following IV.HCl (R, Q, m.p., and % yield given): Bu, p-MeC6H4, 134-5.degree., 53; Bu, p-MeOC6H4, 122-2.5.degree., 85; cyclohexyl, p-MeC6H4, 170-1.degree. (decompn.), 87; cyclohexyl, Ph, 166-8.degree., 100; PhCH2, p-MeOC6H4, 144-5.degree., 55.6; PhCH2, p-EtO2CC6H4, 153-4.degree., 83; PhCH2, p-MeC6H4, 136-7.degree., 89; PhCH2, .beta.-naphthyl, 153-3.5.degree., 98. The IV.HCl refluxed with HCl-EtOH, poured onto ice, and K2CO3 added, gave the following V (R, R1, amt. of the resp. hydrazone-HCl in g., ml. of HCl-EtOH mixt., % of HCl in the mixt., reaction time (min.), m.p., and % yield given): Bu, Me, 15.3, 35, 34, 2, 168-9.degree. (HCl salt m. 173-4.degree.) 54; Bu, MeO, 3, 17.5, 2.5, 20, 146-8.degree. (methiodide m. 149-50.degree.) 11.5; Bu, H, 8, 24, 32, 2,

L13 ANSWER 84 OF 99 CAPLUS COPYRIGHT 2002 ACS (Continued)
150.degree., 11; cyclohexyl, Me, 10.8, 32, 30, 3, 215-16.degree. (HCl salt
m. 206-8.degree. (decompn.)), 50; cyclohexyl, H, 5.2, 25, 30, 3, 200-10.degree. (decompn.) (picrate m. 175-6.degree. (decompn.)), 13; cyclohexyl, MeO, 9, 40, 30, -, 218-20.degree. (decompn.) (HCl salt m. 216-18.degree. (decompn.)), 62; PhCH2, Me, 4, 12, 35, 5, 141-2.degree.
(HCl salt m. 181-3.degree. (decompn.)), 61; PhCH2, MeO, 1, 10, 22, 30,
143-3.5.degree., 25. Similarly were obtained the following VI (R, m.p.,
and % yield given): cyclohexyl, 200-10.degree. (tetrahydrofuran), 82; PhCH2, 208-9.degree. (HCl salt), 48; Bu, 163-4.degree., 21. To a suspension of 0.2 g. NaH in 30 ml. anhyd. HCONMe2 was added dropwise 1.5
g. V (R = cyclohexyl, R1 = Me). The whole was stirred 1 hr. at 20.degree.
and heated to 60.degree.; 1.1 g. N-methyl-N-(.gamma.-chloropropyl)piperazine added dropwise, stirred 2 hrs. at 60.degree., cooled, and poured into H2O to yield 1.7 g. 2-cyclohexyl-4[.gamma.-(4-methylpiperazin-1-yl)propyl]-7-methyl-1,2,3, 4-tetrahydropyrrolo[3,4-b]indole, m. 64.5-5.5.degree. (aq. EtOH). Similarly were obtained: 2-cyclohexyl-4-(.beta.-dimethylaminoethyl)-7-methyl-1,2,-3, 4-tetrahydropyrrolo[3,4-b]indole, m. 85-7.degree., in 79% yield and 2-butyl-4-(.beta.-dimethylam]noethyl)-7-methyl-1,2,3, 4-tetrahydropyrrolo[3,4-b]indole dimaleate, m. 255-6.degree., in 35% yield.

2-Butyl-4-(.beta.-cyanoethyl)-1,2,3,4-tetrahydropyrrolo%3,4-b%indole, m. 90-1.degree., was obtained in 61.5% yield from V (R = Bu, R1 = H) and acrylonitrile by using Rodionov catalyst.

IT **22870-47-9P 22905-57-3P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of)

RN 22870-47-9 CAPLUS
CN Pyrrolo[3,4-b]indole, 2-cyclohexyl-4-[2-(dimethylamino)ethyl]-1,2,3,4-tetrahydro-7-methyl- (8CI) (CA INDEX NAME)

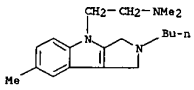


RN 22905-57-3 CAPLUS
CN Pyrrolo[3,4-b]indole, 2-butyl-4-[2-(dimethylamino)ethyl]-1,2,3,4-tetrahydro-7-methyl-, maleate (1:2) (8CI) (CA INDEX NAME)

CM 1

CRN 47219-68-1

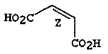
L13 ANSWER 84 OF 99 CAPLUS COPYRIGHT 2002 ACS (Continued)
CMF C19 H29 N3



CM 2

CRN 110-16-7
CMF C4 H4 O4

Double bond geometry as shown.



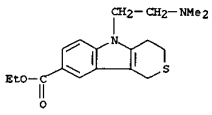
L13 ANSWER 85 OF 99 CAPLUS COPYRIGHT 2002 ACS

ACCESSION NUMBER: 1969:68209 CAPLUS
DOCUMENT NUMBER: 70:68209
TITLE: Indole derivatives. XXIX. Synthesis and antiserotonin properties of some new indole derivatives
AUTHOR(S): Aksanova, L. A.; Pidevich, I. N.; Sharkova, L. M.; Kucherova, N. F.
CORPORATE SOURCE: Nauch.-Issled. Inst. Farmakol. Khimioter., Moscow, USSR
SOURCE: Khim.-Farm. Zh. (1968), 2(7), 3-10
CODEN: KHFZAN
DOCUMENT TYPE: Journal
LANGUAGE: Russian
GI For diagram(s), see printed CA Issue.
AB I(X = S) (II) I (X = NMe) (III), I (X = CH2) (IV), I [X = (CH2)2] (V), VI
(R1 = R2 = Me) (VII), and VI (R1 = Me, R2 = Et) (VIII) were obtained by
Fischer cyclization of the corresponding p-carbethoxyphenyl-hydrazones.
Similar cyclization of tetrahydro-4-thiopyrone o-carbomethoxyphenylhydrazone (IX) yielded 56.7% X, m. 150-1.degree.. IX
(93% yield, m. 90-1.degree.) was synthesized by 30 min. refluxing of 14 g.
o-carbomethoxyphenylhydrazine-HCl (m. 174-5.degree., prepd. from Me anthranilate by the method of Stroh and Westphal, 1963), 5.4 g. 4-tetrahydrothiopyrone, and 100 ml. alc. VII, VIII, and X (0.028 mole) in
50 ml. HCONMe2 (DMF) were added with stirring to 0.9 g. NaH in 30 ml. freshly prepd. DMF, the mixt. stirred 1.5 hrs. at 30-40.degree., 0.028
mole alkyl halide added, and the mixt. stirred 1.5-2 hrs. at room temp.
and worked up to yield the following XI (R1, R2, R3, % yield, and m.p.
given): Me, Me, Me, 79, 92-3.degree.; Me, Me, Et, 77.5, 72-3.degree.; PhCH2, Me, Et, 55.5, 63-4.degree.; CH2CH2NMe2, Me, Me, 85, - (HCl salt m.
210-11.degree.); CH2CH2NMe2, (R2R3 = CH2SCH2CH2), -, 74.1, - (HCl salt m.
118-19.degree.); and CH2CH2NMe2, Me, Me, 95, - (HCl salt m.
215-17.degree.). Transesterification of II, III, IV, V, VII, VIII, X, and
XI yielded the following compds. (% yield, m.p. base, and m.p. HCl salt
given): 1,3,4,5-tetrahydrothiopyrano[4,3-c]indole-6-carboxylic acid (XII)
.beta.-dimethylaminoethyl ester (XIII) 52.6, 117-18.degree., 234-6.degree.; 8-isomer (XIV) of XII .beta.-(piperazino)ethyl ester, 50.3,
176-8.degree., 246-7.5.degree.; XIV .beta.-morpholinoethyl ester, 57.5,
159-61.degree., -; XIV 5-(.beta.-dimethylaminoethyl) deriv.

L13 ANSWER 85 OF 99 CAPLUS COPYRIGHT 2002 ACS (Continued)
.beta.-dimethylaminoethyl ester, 69.4, -, 273-5.degree.; XIV 5-benzyl deriv. .beta.-dimethylaminoethyl ester, 65.3, -, 209-10.degree.; .beta.-dimethylaminoethyl 1,2,3,4-tetrahydro-.gamma.-carboline-6-carboxylate (XV), 70.4, 148-9.degree., 269-70.degree.; .beta.-dimethylaminoethyl 1,2,3,4-tetrahydrocarbazole-6-carboxylate (XVI),
67.0, 151-2.degree., 209-10.degree.; .beta.-dimethylaminoethyl 5,6,7,8,9,10-hexahydrocyclohept[c]indole-2-carboxylate (XVII), 80.0, 124-5.degree., 183-4.degree.; .beta.-dimethylaminoethyl 2,3-dimethylindole-5-carboxylate (XVIII), 60.6, 136-7.degree., 203-4.degree.; .beta.-dimethylaminoethyl 1,2,3-trimethylindole-5-carboxylate 72.1, 72-3.degree., 198-200.degree.; .beta.-dimethylaminoethyl
2 - methyl - 3 - ethylindole - 5 - carboxylate, 70.0, 110-11.degree., 176-8.degree.; .beta.-dimethylaminoethyl 1,2-dimethyl-5-ethylindole-5-carboxylate, 80.0, -, 216-17.degree.; .beta.-dimethylaminoethyl 1-benzyl-2-methyl-3-ethylindole-5-carboxylate, 95.0, -, 205-6.degree.. Among the compds. synthesized XIII, XV, XVI, XVII, and XVIII had the strongest antiserotonin activity in anesthetized cats.

IT **21523-68-2P 21523-83-1P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of)

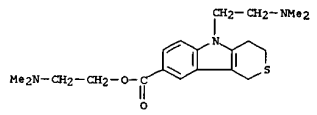
RN 21523-68-2 CAPLUS
CN Thiopyrano[4,3-b]indole-8-carboxylic acid, 5-[2-(dimethylamino)ethyl]-1,3,4,5-tetrahydro-, ethyl ester, monohydrochloride (8CI) (CA INDEX NAME)



● HCl

RN 21523-83-1 CAPLUS
CN Thiopyrano[4,3-b]indole-8-carboxylic acid, 5-[2-(dimethylamino)ethyl]-1,3,4,5-tetrahydro-, 2-(dimethylamino)ethyl ester, dihydrochloride (8CI)
(CA INDEX NAME)

L13 ANSWER 85 OF 99 CAPLUS COPYRIGHT 2002 ACS (Continued)



●2 HCl

L13 ANSWER 86 OF 99 CAPLUS COPYRIGHT 2002 ACS

ACCESSION NUMBER: 1968:450784 CAPLUS
DOCUMENT NUMBER: 69:50784
TITLE: Comparison of the sedative effects of reserpine, syrosingopine, and bietaserpine using the mouse behavior tests
AUTHOR(S): Lafille, C.
CORPORATE SOURCE: Lab. Pharmacodyn., Herouville-St-Clair, Fr.
SOURCE: C. R. Soc. Biol. (1967), 161(12), 2461-5
CODEN: CRSBAW
DOCUMENT TYPE: Journal
LANGUAGE: French

AB In mice, the sedative effect of syrosingopine was 10 times weaker than that of reserpine, while the sedative effect of bietaserpine bitartrate was 800 times weaker than that of reserpine and 40 times weaker than that of syrosingopine. Bietaserpine bitartrate may be considered a peripherally acting sedative.

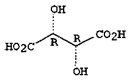
IT **1111-44-0**
RL: BAC (Biological activity or effector, except adverse); BIOL (Biological study)
(sedative activity of)

RN 1111-44-0 CAPLUS
CN Yohimban-16-carboxylic acid, 1-[2-(diethylamino)ethyl]-11,17-dimethoxy-18-[(3,4,5-trimethoxybenzoyl)oxy]-, methyl ester, (3.beta.,16.beta.,17.alpha.,18.beta.,20.alpha.)-, (2R,3R)-2,3-dihydroxybutanedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 87-69-4
CMF C4 H6 O6

Absolute stereochemistry.

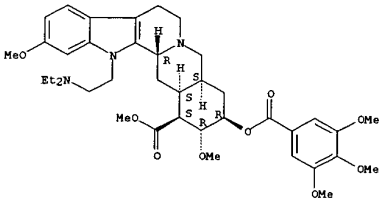


CM 2

CRN 53-18-9
CMF C39 H53 N3 O9

Absolute stereochemistry.

L13 ANSWER 86 OF 99 CAPLUS COPYRIGHT 2002 ACS (Continued)



L13 ANSWER 87 OF 99 CAPLUS COPYRIGHT 2002 ACS

ACCESSION NUMBER: 1968:433146 CAPLUS
DOCUMENT NUMBER: 69:33146
TITLE: Inhibition of cyclic 3',5'-nucleotide phosphodiesterase by phenothiazine and reserpine derivatives
AUTHOR(S): Honda, Fumio; Imamura, Hitomi
CORPORATE SOURCE: Res. Lab., Fujisawa Pharm. Co., Ltd., Osaka, Japan
SOURCE: Biochim. Biophys. Acta (1968), 161(1), 267-9
CODEN: BBACAQ
DOCUMENT TYPE: Journal
LANGUAGE: English

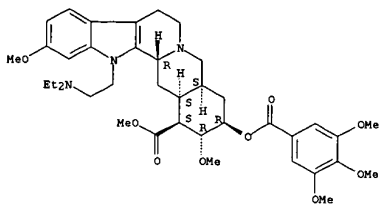
AB Chlorpromazine, perphenazine, fluphenazine, and proclorperazine (all at 5 .times. 10-5M) decreased the activity of cyclic 3',5'-nucleotide phosphodiesterase from beef heart to 86, 86, 82, and 86% of the control level, resp., and from rabbit brain cortex to 50, 40, 36, and 36% of the control level, resp. In general, substitution of position 2 of phenothiazine with a halogen or a halogenated group appeared to potentiate the inhibitory effect. Chlorprothixene showed a comparable inhibitory effect. Butyrophenones, including haloperidol, showed lesser inhibitory effects at 5 .times. 10-5M. Imipramine, demethylimipramine, amitriptyrine, meprobamate, and chlordiazepoxide (all at 5 .times. 10-5M) together with barbital, cocaine, picrotoxin, epinephrine, and serotonin (at concns. as high as 10-3M) failed to show significant effects. Reserpine and 1-(diethylaminoethyl)reserpine were more inhibitory than phenothiazine. 1-(Diethylaminoethyl)reserpine was 100-200-fold more potent than theophylline and the inhibition by either compd. was competitive; perphenazine acted noncompetitively. Inhibition by perphenazine was enhanced by preincubating with the enzyme prior to substrate addn. and was reversed by dialysis. Pretreatment of rats with chlorpromazine 1-(or diethylaminoethyl)reserpine (5-10 mg./kg.) potentiated the hyperglycemia induced by administration of cyclic 3',5'-AMP, suggesting the in vivo inhibition of cyclic 3',5'-nucleotide phosphodiesterase by these drugs.

IT **53-18-9P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of)

RN 53-18-9 CAPLUS
CN Yohimban-16-carboxylic acid, 1-[2-(diethylamino)ethyl]-11,17-dimethoxy-18-[(3,4,5-trimethoxybenzoyl)oxy]-, methyl ester, (3.beta.,16.beta.,17.alpha.,18.beta.,20.alpha.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L13 ANSWER 87 OF 99 CAPLUS COPYRIGHT 2002 ACS (Continued)



L13 ANSWER 88 OF 99 CAPLUS COPYRIGHT 2002 ACS

ACCESSION NUMBER: 1968:95679 CAPLUS
DOCUMENT NUMBER: 68:95679
TITLE: Method of treating depression
INVENTOR(S): Rice, Leonard M.; Freed, Meier E.
PATENT ASSIGNEE(S): American Home Products Corp.
SOURCE: U.S., 7 pp.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 3329571		19670704	US	19650203

GI For diagram(s), see printed CA Issue.

AB Depression in humans is improved using I. A soln. of 0.1 mole 2,3-pentamethylenindole (II) in 100 cc. HCONMe2 (DMF) was added slowly to 0.12 mole NaH (6 g. 48% dispersion) suspended in 50 cc. DMF by vigorous stirring, the temp. slowly raised to 30-5.degree. until H evolution ceased, 0.1 mole freshly distd. .gamma.-dimethylaminopropyl chloride (III) added to the suspension, the mixt. stirred and heated to 50.degree. 6 hrs., poured into 300 cc. ice water, and extd. with Et2O, the Et2O layers worked up, and the residue in abs. EtOH treated with dry HCl to give 1-(.gamma.-dimethylaminopropyl)-2,3-pentamethylenindole-HCl (IV), m. 207-8.degree. (EtOH-Me2CO). (This is procedure A). The free base was prepd. from 0.1 mole II and 0.1 mole III as described. The reaction mixt. was poured into ice water, the oil layer extd. with Et2O, the Et2O soln. washed with aq. NaCl soln. several times with 100-cc. portions 2N HCl, the aq. acid soln. basified with NaOH, the oil extd. with Et2O, and the Et2O soln. washed with aq. NaCl, dried, and evapd. to give the free base (V) corresponding to IV as a viscous yellow oil, b0.1 180-3.degree.; maleate m. 101-2.degree. (CH2Cl2-Et2O); V methiodide, m. 186-7.degree.. III (0.1 mole) was added to a well-stirred suspension of 0.1 mole of the Na deriv. of 2,3-hexamethylenindole (VI) in 150 cc. DMF. After 6 hrs., the reaction mixt. was poured into 500 cc. ice water, the oil layer extd. with Et2O, the Et2O soln. washed with H2O, extd. with N HCl until acidic, and then with H2O, the aq. soln. washed with Et2O, basified, and extd. with Et2O, and the Et2O soln. washed with H2O, dried, and evapd. to give an orange

L13 ANSWER 88 OF 99 CAPLUS COPYRIGHT 2002 ACS (Continued)

oil. This was dissolved in abs. EtOH, dry HCl passed until the soln. was strongly acidic, and Et2O added to ppt. 46.7% 1-(.gamma.-dimethylaminopropyl)-2,3-hexamethylenindole-HCl (VII), m. 146-7.degree. (EtOH-AcOEt). (This is procedure B). II (0.1 mole) and 0.12 mole 48% NaH in 100 cc. DMF was stirred and warmed to 40.degree., 0.1 mole dimethylaminoisopropyl chloride added, the mixt. stirred at 40.degree. 6 hrs., and the suspension poured into 250 cc. ice water and acidified with concd. HCl to give 50.5% 1-(.beta.-dimethylaminopropl)-2,3-pentamethylenindole-HCl, m. 189-90.degree. (abs. EtOH). A soln. of 0.1 mole II was treated with NaH in 100 cc. DMF as described. To this stirred suspension, 0.1 mole freshly distd. 1-(.beta.-chloroethyl)piperidine (VIII) was added, the mixt. kept 16 hrs., poured into 300 cc. ice water, acidified with concd. HCl, and extd. with Et2O, the aq. soln. basified with 40% NaOH, the oil that sepd. taken up in Et2O, the Et2O soln. washed with satd. NaCl soln., dried, and evapd., the residual oil dissolved in 50 cc. EtOH, dry HCl passed through, and Me2CO added until crystn. occurred to give 43.8% 1-(.beta.-piperidinoethyl)-2,3-pentamethylenindole-HCl, m. 209-10.degree.. (This is procedure C). A soln. of 0.1 mole II was converted to the Na deriv. using 0.12 mole 48% NaH in 150 cc. DMF, 0.1 mole freshly distd. diethylaminoethyl chloride was added dropwise, the mixt. stirred at 50.degree. 6 hrs. and poured into 33 cc. ice water, 15-20 cc. concd. HCl added, the aq. soln. extd. with Et2O several times, the aq. layer basified, the product taken up in Et2O, and the Et2O soln. washed with satd. aq. NaCl, dried, and evapd. in vacuo to give the free base which was dissolved in 50 cc. iso-PrOH and 4.8 g. fumaric acid in 200 cc. iso-PrOH added to give 34.5% 1-(.beta.-diethylaminoethyl)-2,3-pentamethylenindole fumarate, m. 187-8.degree. (iso-PrOH); the free base methiodide m. 186-7.degree.. A suspension of 0.1 mole of the Na deriv. of II in 150 cc. DMF was treated with 0.1 mole freshly distd. 4-(.beta.-chloroethyl)morpholine (IX) at 50.degree. 6 hrs., the mixt. poured into 300 cc. ice water, 15-20 cc. concd. HCl added, the mixt. extd. several times with Et2O, and the aq. phase sepd. and allowed to stand to give 71.6% 1-(.beta.-morpholinoethyl)-2,3-pentamethylenindole-HCl, m.

L13 ANSWER 88 OF 99 CAPLUS COPYRIGHT 2002 ACS (Continued)

181-2.degree. (dil. HCl). A suspension of 0.1 mole of the Na deriv. of II was treated with 0.1 mole freshly distd. 1-(.beta.-chloroethyl)pyrrolidine (X) at 50.degree. 6 hrs., the mixt. poured into 300 cc. ice water, 15-20 cc. concd. HCl added, this mixt. extd. several times with Et2O, the aq. layer basified, the product taken up in Et2O, and the Et2O soln. worked up to give 9 g. 1-(.beta.-pyrrolidinoethyl)-2,3-pentamethylenindole b0.2 193-6.degree.; fumarate m. 244-5.degree.. Acrylonitrile (0.20 mole) was added slowly with cooling to a soln. of 0.2 mole II and 4 cc. trimethylbenzylammonium methiodide (40% in MeOH) in 100 cc. C6H6. The reaction temp. reached 50.degree. and dropped slowly. The mixt. was stirred and addnl. hr., 5 cc. concd. HCl added, and the C6H6 soln. worked up to give 56.6% 1-(.beta.-cyanoethyl)-2,3-pentamethylenindole (XI), m. 95-6.degree. (Me2CO-MeOH). (This is procedure D). A soln. of 0.1 mole XI in 100 cc. dry C6H6 was added slowly to a stirred suspension of 0.15 mole LiAlH4 in 500 cc. dry Et2O, the mixt. heated to reflux and stirred overnight, 30 cc. H2O added slowly with cooling, the mixt. kept one hr. and filtered, and the filtrate evapd. to give 81.5% 1-(.gamma.-aminopropyl)-2,3-pentamethylenindole, b0.7 190-2.degree.; HCl salt m. 271-2.degree. (MeOH-Me2CO). (This is procedure E). Also, 1-(.gamma.-dimethylaminopropyl)-2,3-pentamethylene-5-fluoroindole was prepd. from 0.05 mole of the 5-fluoro deriv. of II and 0.05 mole III using procedure A. The free base b0.3 178-80.degree.; HCl salt m. 177-80.degree.. Also, 1-(.gamma.-dimethylaminopropyl)-2,3-pentamethylene-5-chloroindole was prepd. from 0.5 mole of the 5-chloro deriv. of II and 6.08 g. III using procedure A. The base b0.05 185-8.degree. (62.5% yield); fumarate salt m. 141-2.degree.. 1-(.beta.-Dimethylaminoethyl)-2,3-pentamethylenindole was prepd. from 0.05 mole II and 0.05 mole .beta.-dimethylaminoethyl chloride (XII) using procedure A. The base b0.05 131-6.degree.; fumarate m. 219-21.degree.. 1-(.beta.-Dimethylaminoethyl)-2,3-hexamethylenindole was prepd. from 9.96 g. VI and 5.38 g. XII using procedure B. The free base b0.3 180-3.degree.; fumarate m. 198.5-201.0.degree.. 1-(.beta.-Piperidinoethyl)-2,3-hexamethylenindole was prepd. from 0.05 mole VI and 0.5 mole VIII using procedure C. The fumarate m. 224.0-4.5.degree. (decompn.). 1-(.gamma.-Dimethylaminopropyl)-2,3-tridecamethylenindole was prepd. from 0.03 mole 2,3-tridecamethylenindole and 0.03 mole III using procedure A. The fumarate

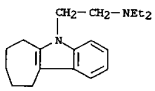
L13 ANSWER 88 OF 99 CAPLUS COPYRIGHT 2002 ACS (Continued)
m. 147.5-49.0.degree.. II (0.05 mole) was treated with 0.05 mole N,N-dimethyl-.beta.-phenyl-.beta.-chloroethylamine using procedure A. The
free base m. 120-2.degree.; fumarate m. 195-7.degree..
1-[.gamma.-(4-methyl-1-piperazinyl)propyl]-2,3-pentamethylenindole was
prepd. from 0.027 mole II and 0.027 mole 1-methyl-4-(.gamma.-chloropropyl)piperazine (XIII) using procedure A. The difumarate m. 217.5-18.5.degree.. Treatment of 0.0276 mole VI with 0.0276 mole XIII
using procedure A gave
1-[.gamma.-(4-methyl-1-piperazinyl)propyl]-2,3-hexamethylenindole-2-HCl, m. 239-42.degree.; difumarate m. 216-17.degree..
Also,
1-(.gamma.-dimethylaminopropyl)-2,3-pentamethylene-5-nitroindole was prepd. from 0.02 mole of the 5-nitro deriv. of II and 0.02 mole III using
procedure A. The free base m. 77.5-80.0.degree.; HCl salt m. 220-3.degree.; fumarate (XIV) m. 178-80.degree..
1-(.beta.-Cyanoethyl)-
2,3-hexamethylenindole (XV) was prepd. from 0.5 mole VI, 0.55 mole acrylonitrile, and 2 cc. trimethylbenzylammonium methoxide using procedure
D. XV (0.107 mole) was converted to 1-(.gamma.-aminopropyl)-2,3-hexamethylenindole (XVI), b0.1 162-5.degree., n20D 1.5959, using procedure
E. XIV (0.01 mole) was dissolved in 100 cc. MeOH and hydrogenated over
100 mg. PtO2 at 45 psi. and 75.degree.. After 4 hrs., the catalyst was
filtered off, the solvent evapd. in vacuo, the residue taken up in H2O,
the mixt. basified with 10% NaOH and extd. with Et2O, and the Et2O phase
worked up and treated with dry HCl to give
1-(.gamma.-dimethylaminopropyl)-
2,3-pentamethylene-5-aminoindole-HCl, m. 260-1.degree. (iso-PrOH). To a
soln. of 0.045 mole XVI in 50 cc. MeOH, 0.1 mole ethylene oxide was added
slowly. After 2 days, the MeOH was evapd. and the residue distd. to give
77.5%
1-[.gamma.-bis(2-hydroxyethyl)-aminopropyl]-2,3-hexamethylenindole, b0.001 245-50.degree.. Using procedure A, 0.025 mole 2,3-octamethylenindole was treated with 0.025 mole III. The fumarate m. 174-6.degree. (decompn.). II (0.03 mole) was treated with 0.033 mole XIII
using procedure A to give 1-(.gamma.-piperazinopropyl)-2,3-pentamethylenindole; difumarate m. 172-4.degree.. VI (0.05 mole) was treated with 0.05 mole IX using procedure A to give 1-(.beta.-morpholinoethyl)-2,3-hexamethylenindole; fumarate m. 174-6.degree..
Using
procedure A, 0.04 mole VI was treated with 0.04 mole X to give
1-(.beta.-pyrrolidinoethyl)-2,3-hexamethylenindole; fumarate m.

L13 ANSWER 88 OF 99 CAPLUS COPYRIGHT 2002 ACS (Continued)
231-3.degree.. XI (0.17 mole) dissolved in 300 cc. abs. EtOH was satd.
with dry HCl, 2 cc. H2O added and the mixt. refluxed 2 hrs., cooled to room temp., the sepd. NH4Cl filtered, the filtrate concd. in vacuo, the
residue taken up in Et2O, and the Et2O ext. worked up to yield 31 g.
1-(.beta.-carbethoxyethyl)-2,3-pentamethylenindole (XVII), b0.05 220-5.degree.. (This is procedure F). XVII (0.11 mole) in 200 cc. dry
Et2O was added slowly to a stirred suspension of 0.05 mole LiAlH4 in 200
cc. dry Et2O, the reaction mixt. refluxed 4 hrs. and cooled, 12 cc. H2O
added dropwise, and then 50 cc. iso-PrOH, the suspension filtered, and the
filtrate concd. to yield 82.3% 1-(.gamma.-hydroxypropyl)-2,3-pentamethylenindole, b0.05 210-15.degree.. Then, 0.02 mole of the above
compd., 10 cc. 48% aq. HBr, and 2 cc. concd. H2SO4 was refluxed 1.5 hrs.,
cooled, poured onto ice, and extd. with Et2O to give 2.8 g.
1-(.gamma.-bromopropyl)-2,3-pentamethylenindole, b0.05 185-90.degree.. Then, a mixt. of 0.026 mole this compd. and 0.03 mole N-(.beta.-hydroxyethyl)piperazine in 100 cc. xylene was refluxed 24 hrs., cooled,
and worked up and dry HCl added to ppt. 1-[.gamma.-[4-(.beta.-hydroxyethyl)piperazino]propyl]-2,3-pentamethylenindole-HCl, m. 209-10.degree. (EtOH). Using procedure A, the 5-methyl deriv. of II was
treated with III to give 1-(.gamma.-dimethyl-aminopropyl)-2,3-pentamethylene-5-methylindole fumarate, m. 141.5-5.0.degree.. XV was converted to 1-(.beta.-carbomethoxyethyl)-2,3-hexamethylenindole, m. 62-4.degree., using procedure F with 1250 cc. MeOH and 5 cc. H2o. This
compd. (10 g.) in 50 cc. MeOH satd. at 0.degree. with MeNH2 was kept at
room temp. 48 hrs. to give 1-(.beta.-methylcarbamoylethyl)-2,3-hexamethylenindole, m. 115-16% (MeOH). Then, 11 g. of this product in 500
cc. C6H6 was treated with 10 g. LiAlH4 in 1 l. dry Et2O and the mixt. decompd. with 25 cc. H2O to yield 1-(.gamma.-methylaminopropyl)-2,3-hexamethylenindole, b0.1 160-70.degree.; HCl salt m. 180-1.degree..
The
results of clinical tests of VII were given
IT **17901-75-6P 17901-83-6P 17929-92-9P**
17929-95-2P 17929-96-3P 17929-99-6P
17993-66-7P 17993-67-8P 21283-91-0P
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of)
RN 17901-75-6 CAPLUS
CN Cyclohept[b]indole, 5-[2-(diethylamino)ethyl]-5,6,7,8,9,10-hexahydro-, monomethiodide (8CI) (CA INDEX NAME)

CM 1

CRN 47143-29-3

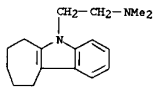
L13 ANSWER 88 OF 99 CAPLUS COPYRIGHT 2002 ACS (Continued)
CMF C19 H28 N2



CM 2

CRN 74-88-4
CMF C H3 I

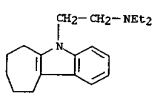
RN 17901-83-6 CAPLUS
CN Cyclohept[b]indole, 5-[2-(dimethylamino)ethyl]-5,6,7,8,9,10-hexahydro-(8CI) (CA INDEX NAME)



RN 17929-92-9 CAPLUS
CN Cyclohept[b]indole, 5-[2-(diethylamino)ethyl]-5,6,7,8,9,10-hexahydro-, fumarate (1:1) (8CI) (CA INDEX NAME)

CM 1

CRN 47143-29-3
CMF C19 H28 N2

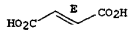


CM 2

L13 ANSWER 88 OF 99 CAPLUS COPYRIGHT 2002 ACS (Continued)

CRN 110-17-8
CMF C4 H4 O4

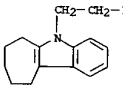
Double bond geometry as shown.



RN 17929-95-2 CAPLUS
CN Cyclohept[b]indole, 5-[2-(dimethylamino)ethyl]-5,6,7,8,9,10-hexahydro-, fumarate (1:1) (8CI) (CA INDEX NAME)

CM 1

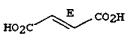
CRN 17901-83-6
CMF C17 H24 N2



CM 2

CRN 110-17-8
CMF C4 H4 O4

Double bond geometry as shown.

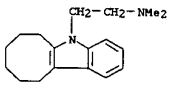


RN 17929-96-3 CAPLUS
CN 5H-Cyclooct[b]indole, 5-[2-(dimethylamino)ethyl]-6,7,8,9,10,11-hexahydro-, fumarate (1:1) (8CI) (CA INDEX NAME)

CM 1

CRN 17993-66-7
CMF C18 H26 N2

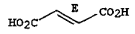
L13 ANSWER 88 OF 99 CAPLUS COPYRIGHT 2002 ACS (Continued)



CM 2

CRN 110-17-8
CMF C4 H4 O4

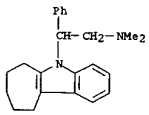
Double bond geometry as shown.



RN 17929-99-6 CAPLUS
CN Cyclohept[b]indole,
5-[.alpha.-[(dimethylamino)methyl]benzyl]-5,6,7,8,9,10-hexahydro-, fumarate (1:1) (8CI) (CA INDEX NAME)

CM 1

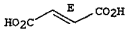
CRN 17993-67-8
CMF C23 H28 N2



CM 2

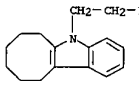
CRN 110-17-8
CMF C4 H4 O4

Double bond geometry as shown.

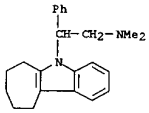


RN 17993-66-7 CAPLUS
CN 5H-Cyclooct[b]indole,
5-[2-(dimethylamino)ethyl]-6,7,8,9,10,11-hexahydro-

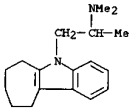
L13 ANSWER 88 OF 99 CAPLUS COPYRIGHT 2002 ACS (Continued)
(8CI) (CA INDEX NAME)



RN 17993-67-8 CAPLUS
CN Cyclohept[b]indole,
5-[.alpha.-[(dimethylamino)methyl]benzyl]-5,6,7,8,9,10-hexahydro- (8CI) (CA INDEX NAME)



RN 21283-91-0 CAPLUS
CN Cyclohept[b]indole,
5-[2-(dimethylamino)propyl]-5,6,7,8,9,10-hexahydro-, monohydrochloride (8CI) (CA INDEX NAME)



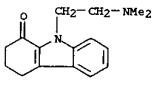
● HCl

L13 ANSWER 89 OF 99 CAPLUS COPYRIGHT 2002 ACS

ACCESSION NUMBER: 1968:37793 CAPLUS
DOCUMENT NUMBER: 68:37793
TITLE: Antihistamine and antiserotonin properties of 1-oxo-1,2,3,4-tetrahydrocarbazole derivatives
AUTHOR(S): Andreeva, N. I.
CORPORATE SOURCE: Vses. Nauch.-Issled. Khim.-Farmatsevt. Inst. im. Ordzhonikidze, Moscow, USSR
SOURCE: Farmakol. Toksikol. (Moscow) (1967), 30(6), 713-17
CODEN: FATOAO
DOCUMENT TYPE: Journal
LANGUAGE: Russian
GI For diagram(s), see printed CA Issue.
AB Nine N-dialkylaminoalkyl- (I) and 2 N-lupinanyl-1-oxo-1,2,3,4-tetrahydrocarbazoles (II), and 2 1-oxo-1,2,3,4-tetrahydrocarbazolyl carboxylic acid diethylaminoalkyl esters (III) were tested for antihistamine and antiserotonin action in vivo in cats and guinea pigs and in vitro on isolated rat uterus and on guinea pig intestinal slices.

I

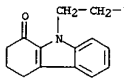
(R2 = R3 = H, R4 = Me, n = 2).HCl exhibited the greatest antihistamine properties and I (R2 = R3 = H, R4 = Et, n = 2).HCl the strongest antiserotonin action. Lengthening the side chain to 3 methylene groups between the N atoms decreased both types of activity. The antihistamine effect was also decreased by a substitution of Et for Me groups at the side chain N and by substitution onto the carbazole ring.
IT **15995-83-2 15995-84-3 18638-84-1 18638-85-2 18638-86-3 18638-87-4**
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses) (pharmacology of)
RN 15995-83-2 CAPLUS
CN 1H-Carbazol-1-one, 9-[2-(dimethylamino)ethyl]-2,3,4,9-tetrahydro-, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

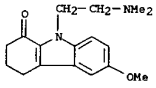
RN 15995-84-3 CAPLUS
CN 1H-Carbazol-1-one, 9-[2-(diethylamino)ethyl]-2,3,4,9-tetrahydro-, monohydrochloride (9CI) (CA INDEX NAME)

L13 ANSWER 89 OF 99 CAPLUS COPYRIGHT 2002 ACS (Continued)



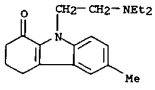
● HCl

RN 18638-84-1 CAPLUS
CN Carbazol-1(2H)-one, 9-[2-(dimethylamino)ethyl]-3,4-dihydro-6-methoxy-, monohydrochloride (8CI) (CA INDEX NAME)



● HCl

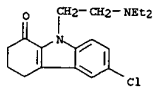
RN 18638-85-2 CAPLUS
CN Carbazol-1(2H)-one, 9-[2-(diethylamino)ethyl]-3,4-dihydro-6-methyl-, monohydrochloride (8CI) (CA INDEX NAME)



● HCl

RN 18638-86-3 CAPLUS
RN 18638-87-4 CAPLUS
CN Carbazol-1(2H)-one, 6-chloro-9-[2-(diethylamino)ethyl]-3,4-dihydro-, monohydrochloride (8CI) (CA INDEX NAME)

L13 ANSWER 89 OF 99 CAPLUS COPYRIGHT 2002 ACS (Continued)



● HCl

L13 ANSWER 90 OF 99 CAPLUS COPYRIGHT 2002 ACS

ACCESSION NUMBER: 1967:490993 CAPLUS
DOCUMENT NUMBER: 67:90993
TITLE: Derivatives of yohimban
INVENTOR(S): Bonati, Attilio
PATENT ASSIGNEE(S): Inverni and Della Beffa S.p.A.
SOURCE: Brit., 3 pp.
CODEN: BRXXAA
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
GB 1064496		19670405	GB	19631114

GI For diagram(s), see printed CA Issue.

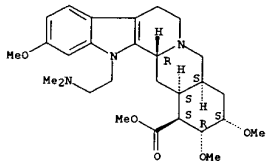
AB I (4.28 g.) is dissolved in 200 cc. of dioxane contg. 1.38 g. Me2NCH2CH2Cl, 0.64 g. NaH in a 50% oil suspension was added in portions and over 1 hr. to the boiling soln. The mixt. was refluxed with agitation for 2 hrs. to give I (R = CH2CH2NMe2), m. 195-7.degree., [.alpha.]20D -50.degree. (c 1, CHCl3). Similarly prepd. were the following I [R, m.p., [.alpha.]20D (c 1, (CHCl3) given]: (methyl 1-diethylaminoethyl-18-p-bromobenzenesulfonylreserpate), 180-2.degree., -85.degree.; Et2NCH2CH2, 155-8.degree., -57.5.degree.; Bu, 195-7.degree., -51.5.degree.; Me, 172-4.degree., -10.degree.; Et, 158-9.degree., -27.5.degree.; CH2:CHCH2, 159-60.degree., -35.degree.; Pr, 161-2.degree., -40.degree.; iso-amyl, 195-7.degree., -55.degree.; PhCH2, 224-6.degree., -92.5.degree.; iso-Pr2NCH2CH2, 125-7.degree., -70.degree.; Me(iso-Pr)NCH2CH2, 166-8.degree., -60.degree.; Me2N(CH2)3, 188-93.degree., -52.5.degree.; piperidinoethyl, 166-8.degree., -47.5.degree.; morpholinoethyl, 158-60.degree., -54.5.degree..

IT **16617-17-7P 16617-18-8P 16617-19-9P 16617-27-9P 16617-28-0P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of)

RN 16617-17-7 CAPLUS
CN 3.beta.,20.alpha.-Yohimban-16.beta.-carboxylic acid, 1-[2-(dimethylamino)ethyl]-11,17.alpha.,18.alpha.-trimethoxy-, methyl ester (8CI) (CA INDEX NAME)

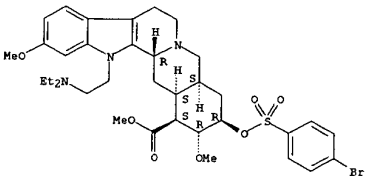
Absolute stereochemistry.

L13 ANSWER 90 OF 99 CAPLUS COPYRIGHT 2002 ACS (Continued)



RN 16617-18-8 CAPLUS
CN 3.beta.,20.alpha.-Yohimban-16.beta.-carboxylic acid, 1-[2-(diethylamino)ethyl]-18.beta.-hydroxy-11,17.alpha.-dimethoxy-, methyl ester, p-bromobenzenesulfonate (ester) (8CI) (CA INDEX NAME)

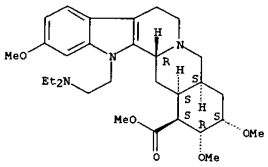
Absolute stereochemistry.



RN 16617-19-9 CAPLUS
CN 3.beta.,20.alpha.-Yohimban-16.beta.-carboxylic acid, 1-[2-(diethylamino)ethyl]-11,17.alpha.,18.alpha.-trimethoxy-, methyl ester (8CI) (CA INDEX NAME)

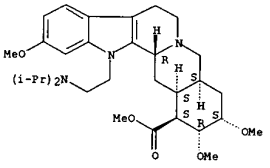
Absolute stereochemistry.

L13 ANSWER 90 OF 99 CAPLUS COPYRIGHT 2002 ACS (Continued)



RN 16617-27-9 CAPLUS
CN 3.beta.,20.alpha.-Yohimban-16.beta.-carboxylic acid, 1-[2-(diisopropylamino)ethyl]-11,17.alpha.,18.alpha.-trimethoxy-, methyl ester (8CI) (CA INDEX NAME)

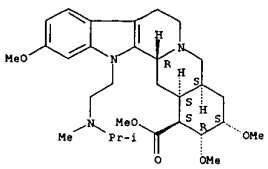
Absolute stereochemistry.



RN 16617-28-0 CAPLUS
CN 3.beta.,20.alpha.-Yohimban-16.beta.-carboxylic acid, 1-[2-(isopropylmethylamino)ethyl]-11,17.alpha.,18.alpha.-trimethoxy-, methyl ester (8CI) (CA INDEX NAME)

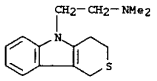
Absolute stereochemistry.

L13 ANSWER 90 OF 99 CAPLUS COPYRIGHT 2002 ACS (Continued)



L13 ANSWER 91 OF 99 CAPLUS COPYRIGHT 2002 ACS

ACCESSION NUMBER: 1967:45274 CAPLUS
DOCUMENT NUMBER: 66:45274
TITLE: Pharmacology of some condensed indole systems
AUTHOR(S): Batulin, Yu. M.; Klygul, T. A.; Kovaleva, A. E.; Fedorova, I. B.; Aksanova, L. A.
CORPORATE SOURCE: Inst. Pharmacol. and Chemotherapy, Moscow, USSR
SOURCE: Farmakol. Toksikol. (Moscow) (1966), 29(5), 590-4
CODEN: FATOAO
DOCUMENT TYPE: Journal
LANGUAGE: Russian
GI For diagram(s), see printed CA Issue.
AB The HCl salts of I, where R = (CH2)3NMe2, R' = CF3; R = CH2-CH2NMe2, R' = CF3; R = N-propyl-N'-methylpyrazinyl, R' = CF3; and of II, where R = (CH2)3NMe2, R' = CF3 (or H); R = CH2CH2NMe2, R' = CF3 (or H); R = N-propyl-N'-methylpyrazinyl, R' = H; and of III where R = N-propyl-N'-methylpyrazinyl, R' = CF3, were tested in comparison with tofranil and with o,o'-[N-(.gamma.-dimethylaminopropyl]imino]bibenzyl in mice. Among the condensed indoles (tetrahydrocarbazoles, tetrahydrothiopyranoindoles, benzdihydrothiopyranoindoles), antidepressants were discovered. With the no. of methylene groups in the side chain structure rising from 2 to 3, activity of substances after the phenamine potentiation test increases, the toxicity declines, and the antimorphine and the antireserpine activities seem to go down. The substitution, in the side chain, of an N'-methylpiperazinyl group for dimethylamine results in a decrease of these activities. Change from the tetrahydrocarbazole to the tetrahydrothiopyranoindole does not cause any noteworthy alterations in the activity of the compds.
IT **5502-40-9 29465-19-8 29465-20-1**
RL: BAC (Biological activity or effector, except adverse); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(antidepressant activity of)
RN 5502-40-9 CAPLUS
CN Thiopyrano[4,3-b]indole, 5-[2-(dimethylamino)ethyl]-1,3,4,5-tetrahydro-, monohydrochloride (8CI) (CA INDEX NAME)

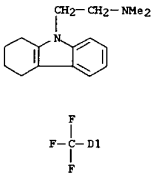


● HCl

RN 29465-19-8 CAPLUS

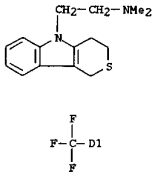
L13 ANSWER 91 OF 99 CAPLUS COPYRIGHT 2002 ACS (Continued)

CN Carbazole, 9-[2-(dimethylamino)ethyl]-1,2,3,4-tetrahydro-5(or 7)-(trifluoromethyl)-, monohydrochloride (8CI) (CA INDEX NAME)



● HCl

RN 29465-20-1 CAPLUS
CN Thiopyrano[4,3-b]indole, 5-[2-(dimethylamino)ethyl]-1,3,4,5-tetrahydro-7(or 9)-(trifluoromethyl)-, monohydrochloride (8CI) (CA INDEX NAME)



● HCl

L13 ANSWER 92 OF 99 CAPLUS COPYRIGHT 2002 ACS

ACCESSION NUMBER: 1966:75740 CAPLUS
DOCUMENT NUMBER: 64:75740
ORIGINAL REFERENCE NO.: 64:14179g-h,14180a-e
TITLE: Indole derivatives. XXII. N-(Dialkylamino)alkyl derivatives of some condensed indole systems
AUTHOR(S): Aksanova, L. A.; Sharkova, N. M.; Baranova, M. A.; Kucherova, N. F.; Zagorevskii, V. A.
SOURCE: Zh. Organ. Khim. (1966), 2(1), 163-8
DOCUMENT TYPE: Journal
LANGUAGE: Russian
AB cf. CA 64, 11189g. Heating Me2NCH2CH2Cl.HCl with m-CF3C6H4NH2 (I) 10 hrs. at 110.degree. gave 23% N-.beta.-(dimethylamino)ethyl-m-(trifluoromethyl)aniline, b10 118-19.degree., n20.5D 1.4848, which in 2N H2SO4 at 0-2.degree. was treated with aq. NANO2 0.5 hr. and gave after addn. of NaOH and extn. with Et2O, the N-nitroso deriv., which treated in aq. AcOH with Zn dust 1 hr. at <10.degree. gave 60% N-.beta.-(dimethylamino)ethyl-N-[m-(trifluoromethyl)phenyl] hydrazine (II), b2 90-100.degree., n20D 1.4910. Similarly was prepd. N-.gamma.-(dimethylamino)propyl-m-(trifluoromethyl)aniline, b2 101-3.degree., 1.4875, which was converted to 14% N-.gamma.-(dimethylamino)propyl-N-[m-(trifluoromethyl)phenyl]hydrazine, b1.5 110-12.degree., 1.4911. I and .gamma.-(4-methyl-1-piperazinyl)propyl chloride-2HCl gave 59% N-[.gamma.-(4-methyl-1-piperazinyl)propyl]-m-(trifluoromethyl)aniline, b2 139-40.degree., n20.5D 1.5068; tri-HCl salt m. 260-1.degree.. This was converted as above into 78% N-[.gamma.-(4-methyl-1-piperazinyl)propyl]-N-[m-(trifluoromethyl)phenyl]hydrazine (III), b2 163-8.degree., n21.5D 1.5125; tri-HCl salt decompd. 234-5.degree.. Refluxing 4-tetrahydrothiopyrone with m-CF3C6H4NHNH2 in 20% HCl in abs. EtOH gave 9(or 7)-(trifluoromethyl)-1,3,4,5-tetrahydrothiopyrano[4,3-b]indole (IIIa), 22%, m. 196-7.degree.. Similarly 4-thiochromanone in AcOH-HCl 5 hrs. gave 20% 7(or 9)-(trifluoromethyl)-6,11-dihydro[1]benzthiopyrano[4,3-b]indole, decompd. 134-6.degree.. 1-Methylpiperidone in AcOH-H2SO4 20 min. gave 3-methyl-5(or 7)-(trifluoromethyl)-1,2,3,4-tetrahydro-.gamma.-carboline, 21%, m. 232-3.degree.. Cyclohexanone and II in 25% HCl in abs. EtOH 6 hrs. gave 5(or 7)-(trifluoromethyl)-9-.beta.-(dimethylamino)ethyl-1,2,3,4-tetrahydrocarbazole-HCl, decompd. 295-7.degree.. III similarly gave with cyclohexanone 67% 5(or 7)-(trifluoromethyl)-9-.gamma.-(4-methyl-1-piperazinyl)propyl-1,2,3,4-tetrahydrocarbazole-2HCl (IIIb) m. 273-3.5.degree. (monohydrate). PhN(NH2)CH2CH2NMe2 and 4-thiochromanone in HCl-EtOH 1.5 hrs. gave 11-.beta.-(dimethylamino)ethyl-6,11-

L13 ANSWER 92 OF 99 CAPLUS COPYRIGHT 2002 ACS (Continued)
dihydro[1]benzthiopyrano-[4,3-b]indole-HCl, m. 227-8.degree..
1,2,3,4-Tetrahydro-.gamma.-carboline reduced with NaH in Me2NCHO 2
hrs. at
60.degree., then treated with .gamma.-(4-methyl-1-piperazinyl)propyl
chloride (IV)and kept overnight, gave 54%
3-methyl-9-(.gamma.-4-methyl-1-
piperazinyl)-propyl-1,2,3,4-tetrahydro-.gamma.-carboline, m.
76-7.degree..
Similarly 1,3,4,5-tetrahydrothiopyrano[4,3-b]indole and IV gave 94%

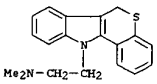
5-[.gamma.-(4-methyl-1-piperazinyl)propyl]-1,3,4,5-tetrahydrothiopyrano-
[4,3-b]indole-2HCl, m. 215-16.degree.. Similarly were obtained: 40%
5(or
7)-(trifluoromethyl)-9-.gamma.-(dimethylamino)propyl-1,2,3,4-
tetrahydrocarbazole-HCl, m. 235-7.degree.; 7(or
9)-(trifluoromethyl) - 11
- .beta.-(dimethylamino)ethyl - 6,11 -
dihydro[1]benzopyrano[4,3-b]indole-
HCl, m. 253-5.degree.; 5-benzyl-1,3,4,5-tetrahydrothiopyrano[4,3-
b]indole, m. 107-8.degree.; 5-.beta.-(dimethylamino)ethyl-1,3,4,5-
tetrahydrothiopyrano[4,3-b]indole-HCl, decompd. 245-6.degree.;

5-.gamma.-(dimethylamino)propyl-1,3,4,5-tetrahydrothiopyrano[4,3-b]indole-
HCl (IVa), decompd. 200-2.degree.; 9(or 7)-trifluoromethyl)-5-.beta.-
(dimethylamino)ethyl-1,3,4,5-tetrahydrothiopyrano[4,3-b]indole-HCl,
decompd. 267-9.degree. (base m. 92-3.degree.); 9(or
7)-(trifluoromethyl)-5-

.gamma.-(dimethylamino)propyl-1,3,4,5-tetrahydrothiopyrano[4,3-b]indole-
HCl, m. 216-18.degree. (free base m. 85-6.degree.); 9(or

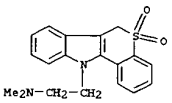
7)-(trifluoromethyl)-5-.gamma.-(4-methyl-1-piperazinyl)propyl-1,3,4,5-
tetrahydrothiopyrano-[4,3-b]indole-2HCl, decompd. 226-8.degree.
(base m.
119-20.degree.); 7-(or
9)-(trifluoromethyl)-11-.beta.-(dimethylamino)ethyl-
6,11-dihydro[1] benzthiopyrano-[4,3-b]indole-HCl, m. 228-30.degree.;
7(or
9)-(trifluoromethyl)-11-.gamma.-(4-methyl-1-piperazinyl)propyl-6,11-
dihydro[1]benzthiopyrano[4,3-b]indole-2HCl, decompd. 265-6.degree.
(base
m. 140-1.5.degree.); 11-.beta.-(dimethylamino)ethyl-6,11-
dihydro[1]benzthiopyrano[4,3-b]indole S,S-dioxide-HCl, decompd.
271-3.degree.; 12-.beta.-(dimethylamino)ethyl-6,7-
dihydro[1]benzthiopyrano[4,3-b]-indole-HCl decompd. 227-8.degree.;
3-methyl-5(or
7)-(trifluoromethyl)-9-.beta.-(dimethylamino)ethyl-1,2,3,4-
tetrahydro-.gamma.-carboline, m. 47-9.degree. (di-HCl salt m.
264-5.5.degree.), which gave tri-HCl salt, decompd. 221-3.degree..
IIIa,
IIIb, and IVa were comparable to or more active psychotropics in
comparison with Tofranil.
IT **5547-40-0**, [1]Benzothiopyrano[4,3-b]indole, 11-[2-
(dimethylamino)ethyl]-6,11-dihydro-, hydrochloride **5547-41-1**,
[1]Benzothiopyrano[4,3-b]indole,
11-[2-(dimethylamino)ethyl]-6,11-dihydro-

L13 ANSWER 92 OF 99 CAPLUS COPYRIGHT 2002 ACS (Continued)
, 5,5-dioxide, hydrochloride **30700-25-5**, [1]Benzopyrano[4,3-
b]indole, 11-[2-(dimethylamino)ethyl]-6,11-dihydro-7(or
9)-(trifluoromethyl)-, hydrochloride **30700-31-3**,
[1]Benzothiopyrano[4,3-b]indole,
11-[2-(dimethylamino)ethyl]-6,11-dihydro-
7(or 9)-(trifluoromethyl)-, hydrochloride **98102-44-4**, Carbazole,
9-[2-(dimethylamino)ethyl]-1,2,3,4-tetrahydro-5(or
7)-(trifluoromethyl)-,
hydrochloride **99887-37-3**, 6H[1]Benzothiepino[5,4-b]indole,
12-[2-(dimethylamino)ethyl]-7,12-dihydro-, hydrochloride
(prepn. of)
RN 5547-40-0 CAPLUS
CN [1]Benzothiopyrano[4,3-b]indole,
11-[2-(dimethylamino)ethyl]-6,11-dihydro-
, monohydrochloride (8CI) (CA INDEX NAME)



● HCl

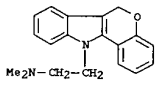
RN 5547-41-1 CAPLUS
CN [1]Benzothiopyrano[4,3-b]indole,
11-[2-(dimethylamino)ethyl]-6,11-dihydro-
, 5,5-dioxide, monohydrochloride (8CI) (CA INDEX NAME)



● HCl

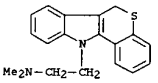
RN 30700-25-5 CAPLUS
CN [1]Benzopyrano[4,3-b]indole,
11-[2-(dimethylamino)ethyl]-6,11-dihydro-7(or
9)-(trifluoromethyl)-, monohydrochloride (8CI) (CA INDEX NAME)

L13 ANSWER 92 OF 99 CAPLUS COPYRIGHT 2002 ACS (Continued)



● HCl

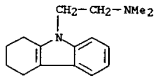
RN 30700-31-3 CAPLUS
CN [1]Benzothiopyrano[4,3-b]indole,
11-[2-(dimethylamino)ethyl]-6,11-dihydro-
7(or 9)-(trifluoromethyl)-, monohydrochloride (8CI) (CA INDEX NAME)



● HCl

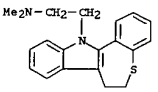
RN 98102-44-4 CAPLUS
CN Carbazole, 9-[2-(dimethylamino)ethyl]-1,2,3,4-tetrahydro-5(or
7)-(trifluoromethyl)-, hydrochloride (7CI) (CA INDEX NAME)

L13 ANSWER 92 OF 99 CAPLUS COPYRIGHT 2002 ACS (Continued)



●x HCl

RN 99887-37-3 CAPLUS
CN 6H-[1]Benzothiepino[5,4-b]indole,
12-[2-(dimethylamino)ethyl]-7,12-dihydro-
, hydrochloride (7CI) (CA INDEX NAME)



●x HCl

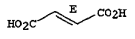
L13 ANSWER 93 OF 99 CAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 1966:19187 CAPLUS
DOCUMENT NUMBER: 64:19187
ORIGINAL REFERENCE NO.: 64:3492g-h,3493a
TITLE: 11-(Tertiary aminoalkyl)-5,6-dihydro-11H-benzo[a]carbazoles
INVENTOR(S): Freed, Meier E.; Rice, Leonard M.; Hertz, Elisabeth
PATENT ASSIGNEE(S): American Home Products Corp.
SOURCE: 3 pp.
DOCUMENT TYPE: Patent
LANGUAGE: Unavailable
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 3215691		19651102	US	19620124

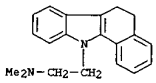
AB The title compds. are claimed to have antidepressant, anorectic, and anti-histaminic activity. 5,6-Dihydro-11H-benzo[a]carbazole (11 g.) and 1.44 g. NaH in 100 ml. HCONMe2 was stirred 1 hr. at 35-40.degree., treated with 6 g. Me2N(CH2)3Cl and the mixt. stirred overnight. The mixt. was cooled, poured into ice-H2O, acidified with dilute HCl, extd. with Et2O, and the aq. layer basified with NaOH. The oily product which sepd. was extd. with Et2O and the Et2O ext. was washed with aq. NaCl, dried, and evapd. to give 11-(3-dimethylaminopropyl)-5,6-dihydro-11H-benzo[a]carbazole, m. 59-60.degree. (90% EtOH) [HCl salt m. 222-3.degree. (Me2CO-MeOH)]. Similarly prepd. were the following substituted 5,6-dihydro-11H-benzo[a]carbazoles (substituent given): 11-(2-piperidinoethyl), m. 91-2.degree. (HCl salt m. 214-222.degree., fumarate salt m. 204-6.degree.); 11-(2-dimethylaminoethyl), (HCl salt m. 228-31.degree.); 11-(2-pyrrolidinoethyl), m. 85.6-86.0.degree. (fumarate salt m. 192.5-3.degree.); 11-(2-dimethylaminoethyl), b0.08 168.degree. (fumarate salt m. 147-50.degree.); 11-(2-dimethylaminopropyl), (fumarate salt m. 159-62.degree.); 11-(2-morpholinoethyl), m. 122-3.degree.; 11-(3-dipropylaminopropyl) [fumarate salt m. 142-4.degree. (decompn.)]; and 11-(6-dimethylaminohexyl) (fumarate salt m. 104-7.degree.).

IT **4624-81-1**, 5H-Benzo[a]carbazole, 11-[2-(dimethylamino)ethyl]-6,11-dihydro-, hydrochloride **4629-97-4**, 5H-Benzo[a]carbazole, 11-[2-(diethylamino)ethyl]-6,11-dihydro- **4629-98-5**, 5H-Benzo[a]carbazole, 11-[2-(diethylamino)ethyl]-6,11-dihydro-, fumarate (1:1) **5014-02-8**, 5H-Benzo[a]carbazole, 11-[2-(dimethylamino)ethyl]-6,11-dihydro-
(prepn. of)

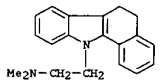
L13 ANSWER 93 OF 99 CAPLUS COPYRIGHT 2002 ACS (Continued)
Double bond geometry as shown.



RN 5014-02-8 CAPLUS
CN 5H-Benzo[a]carbazole, 11-[2-(dimethylamino)ethyl]-6,11-dihydro (7CI, 8CI)
(CA INDEX NAME)

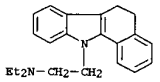


L13 ANSWER 93 OF 99 CAPLUS COPYRIGHT 2002 ACS (Continued)
RN 4624-81-1 CAPLUS
CN 11H-Benzo[a]carbazole-11-ethanamine, 5,6-dihydro-N,N-dimethyl-, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

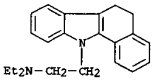
RN 4629-97-4 CAPLUS
CN 5H-Benzo[a]carbazole, 11-[2-(diethylamino)ethyl]-6,11-dihydro- (7CI, 8CI)
(CA INDEX NAME)



RN 4629-98-5 CAPLUS
CN 5H-Benzo[a]carbazole, 11-[2-(diethylamino)ethyl]-6,11-dihydro-, fumarate
(1:1) (7CI, 8CI) (CA INDEX NAME)

CM 1

CRN 4629-97-4
CMF C22 H26 N2



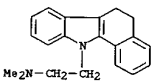
CM 2

CRN 110-17-8
CMF C4 H4 O4

L13 ANSWER 94 OF 99 CAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 1964:461632 CAPLUS
DOCUMENT NUMBER: 61:61632
ORIGINAL REFERENCE NO.: 61:10667g-h
TITLE: Antidepressants. II. Derivatives of polynuclear indoles
AUTHOR(S): Freed, Meier E.; Hertz, Elisabeth; Rice, Leonard M.
CORPORATE SOURCE: Wyeth Labs. Inc., Radnor, PA
SOURCE: J. Med. Chem. (1964), 7(5), 628-32
DOCUMENT TYPE: Journal
LANGUAGE: Unavailable
GI For diagram(s), see printed CA Issue.
AB cf. CA 61, 4300f. A series of N-substituted derivs. of polycyclic indole systems was prepd. and examd. for central nervous system activity. Examples of 1,3,4,5-tetrahydrothiopyrano[4,3-b]indoles, 5,6-dihydro-11-H-benzo[a]carbazole, 2,3-pentamethylene-1H-benz[g]indole (I), 5,6-dihydro-13H-dibenzo[a,i]carbazole, and 10H-benzofuro[3,2-b]indoles (II) were included. The indole systems required were obtained via modifications of the Fischer indole synthesis and converted to N-substituted derivs. by varied methods. Some of the pharmacologic activities of the compds. are discussed.

IT **4624-81-1**, 5H-Benzo[a]carbazole, 11-[2-(dimethylamino)ethyl]-6,11-dihydro-, hydrochloride **4629-97-4**, 5H-Benzo[a]carbazole, 11-[2-(diethylamino)ethyl]-6,11-dihydro- **4629-98-5**, 5H-Benzo[a]carbazole, 11-[2-(diethylamino)ethyl]-6,11-dihydro-, fumarate (1:1)
(prepn. of)

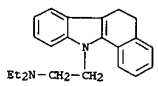
RN 4624-81-1 CAPLUS
CN 11H-Benzo[a]carbazole-11-ethanamine, 5,6-dihydro-N,N-dimethyl-, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

RN 4629-97-4 CAPLUS
CN 5H-Benzo[a]carbazole, 11-[2-(diethylamino)ethyl]-6,11-dihydro- (7CI, 8CI)
(CA INDEX NAME)

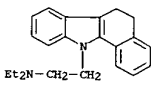
L13 ANSWER 94 OF 99 CAPLUS COPYRIGHT 2002 ACS (Continued)



RN 4629-98-5 CAPLUS
CN 5H-Benzo[a]carbazole, 11-[2-(diethylamino)ethyl]-6,11-dihydro-, fumarate
(1:1) (7CI, 8CI) (CA INDEX NAME)

CM 1

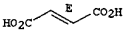
CRN 4629-97-4
CMF C22 H26 N2



CM 2

CRN 110-17-8
CMF C4 H4 O4

Double bond geometry as shown.

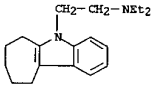


L13 ANSWER 95 OF 99 CAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 1964:425255 CAPLUS
DOCUMENT NUMBER: 61:25255
ORIGINAL REFERENCE NO.: 61:4300f-g
TITLE: Antidepressant agents. Derivatives of 2,3-polymethyleneindoles
AUTHOR(S): Rice, Leonard M.; Hertz, Elisabeth; Freed, Meier E.
CORPORATE SOURCE: Wyeth Labs., Philadelphia, PA
SOURCE: J. Med. Chem. (1964), 7(3), 313-19
DOCUMENT TYPE: Journal
LANGUAGE: Unavailable
AB A series of substituted 2,3-(polymethylene)indoles was prepd. from phenylhydrazines and alicyclic ketones by the Rogers-Carson modification (CA 42, 1261a) of the Fischer indole syntheses or by the method of Buu Hoi (CA 44, 7307c). Alkylation of the Na deriv. of the (polymethylene)indoles with dialkylaminoalkyl chlorides gave N-alkyl derivs. The Na derivs. were prepd. by treating the indoles in HCONMe2 with 48% NaH mineral oil with HCONMe2 as solvent. The compds. were tested for central nervous system activity and weight loss activity. Some were active as antidepressants. In the weight loss test, 5(3-dimethylaminopropyl)-6,7,8,9,10,11-hexahydro-5H- cycloct-[b]indole was the most active. The compds. are in the same psychopharmacol. family as imipramine.
IT **17901-75-6**, Cyclohept[b]indole, 5-[2-(diethylamino)ethyl]-5,6,7,8,9,10-hexahydro-, methiodide **17929-92-9**, Cyclohept[b]indole, 5-[2-(diethylamino)ethyl]-5,6,7,8,9,10-hexahydro-, fumarate **17929-95-2**, Cyclohept[b]indole, 5-[2-(dimethylamino)ethyl]-5,6,7,8,9,10-hexahydro-, fumarate **17929-96-3**, 5H-Cyclooct[b]indole, 5-[2-(dimethylamino)ethyl]-6,7,8,9,10,11-hexahydro-, fumarate **17929-99-6**, Cyclohept[b]indole, 5-[.alpha.-[(dimethylamino)methyl]benzyl]-5,6,7,8,9,10-hexahydro-, fumarate **100149-95-9**, Cyclohept[b]indole, 5-[2-(dimethylamino)propyl]-5,6,7,8,9,10-hexahydro-, hydrochloride **101058-93-9**, 5H-Cyclooct[b]indole, 5-[2-(dimethylamino)propyl]-6,7,8,9,10,11-hexahydro-, fumarate **106844-04-6**, 5H-Cyclooct[b]indole, 5-[2-(diethylamino)ethyl]-6,7,8,9,10,11-hexahydro-, fumarate (prepn. of)
RN 17901-75-6 CAPLUS
CN Cyclohept[b]indole, 5-[2-(diethylamino)ethyl]-5,6,7,8,9,10-hexahydro-, monomethiodide (8CI) (CA INDEX NAME)

CM 1

CRN 47143-29-3
CMF C19 H28 N2

L13 ANSWER 95 OF 99 CAPLUS COPYRIGHT 2002 ACS (Continued)



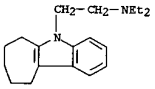
CM 2

CRN 74-88-4
CMF C H3 I

RN 17929-92-9 CAPLUS
CN Cyclohept[b]indole, 5-[2-(diethylamino)ethyl]-5,6,7,8,9,10-hexahydro-, fumarate (1:1) (8CI) (CA INDEX NAME)

CM 1

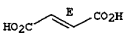
CRN 47143-29-3
CMF C19 H28 N2



CM 2

CRN 110-17-8
CMF C4 H4 O4

Double bond geometry as shown.

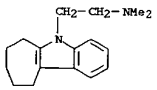


RN 17929-95-2 CAPLUS
CN Cyclohept[b]indole, 5-[2-(dimethylamino)ethyl]-5,6,7,8,9,10-hexahydro-, fumarate (1:1) (8CI) (CA INDEX NAME)

CM 1

L13 ANSWER 95 OF 99 CAPLUS COPYRIGHT 2002 ACS (Continued)

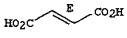
CRN 17901-83-6
CMF C17 H24 N2



CM 2

CRN 110-17-8
CMF C4 H4 O4

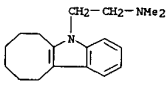
Double bond geometry as shown.



RN 17929-96-3 CAPLUS
CN 5H-Cyclooct[b]indole, 5-[2-(dimethylamino)ethyl]-6,7,8,9,10,11-hexahydro-, fumarate (1:1) (8CI) (CA INDEX NAME)

CM 1

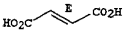
CRN 17993-66-7
CMF C18 H26 N2



CM 2

CRN 110-17-8
CMF C4 H4 O4

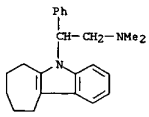
Double bond geometry as shown.



L13 ANSWER 95 OF 99 CAPLUS COPYRIGHT 2002 ACS (Continued)
RN 17929-99-6 CAPLUS
CN Cyclohept[b]indole,
5-[.alpha.-[(dimethylamino)methyl]benzyl]-5,6,7,8,9,10-hexahydro-, fumarate (1:1) (8CI) (CA INDEX NAME)

CM 1

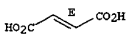
CRN 17993-67-8
CMF C23 H28 N2



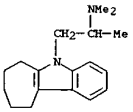
CM 2

CRN 110-17-8
CMF C4 H4 O4

Double bond geometry as shown.



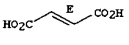
RN 100149-95-9 CAPLUS
CN Cyclohept[b]indole,
5-[2-(dimethylamino)propyl]-5,6,7,8,9,10-hexahydro-, hydrochloride (7CI) (CA INDEX NAME)



●x HCl

RN 101058-93-9 CAPLUS
CN 5H-Cyclooct[b]indole,
5-[2-(dimethylamino)propyl]-6,7,8,9,10,11-hexahydro-

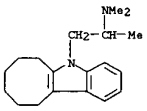
L13 ANSWER 95 OF 99 CAPLUS COPYRIGHT 2002 ACS (Continued)



L13 ANSWER 95 OF 99 CAPLUS COPYRIGHT 2002 ACS (Continued)
, fumarate (7CI) (CA INDEX NAME)

CM 1

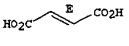
CRN 101058-92-8
CMF C19 H28 N2



CM 2

CRN 110-17-8
CMF C4 H4 O4

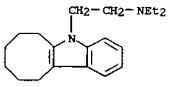
Double bond geometry as shown.



RN 106844-04-6 CAPLUS
CN 5H-Cyclooct[b]indole,
5-[2-(diethylamino)ethyl]-6,7,8,9,10,11-hexahydro-, fumarate (7CI) (CA INDEX NAME)

CM 1

CRN 106844-03-5
CMF C20 H30 N2



CM 2

CRN 110-17-8
CMF C4 H4 O4

Double bond geometry as shown.

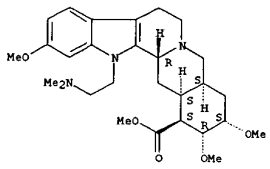
L13 ANSWER 96 OF 99 CAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 1964:31203 CAPLUS
DOCUMENT NUMBER: 60:31203
ORIGINAL REFERENCE NO.: 60:5576g-h,5577a-c
TITLE: Reserpine analogs. I. Synthesis of N-dialkylaminoalkyl derivatives of methyl 18-epireserpate
AUTHOR(S): Bombardelli, E.; Bonati, A.
CORPORATE SOURCE: Lab. Ric. Inverni Della Beffa S.p.A, Milan
SOURCE: Boll. Chim. Farm. (1963), 102(10), 703-8
DOCUMENT TYPE: Journal
LANGUAGE: Unavailable
GI For diagram(s), see printed CA Issue.
AB A stirred soln. of 4.28 g. I (R = H) and 1.38 g. Me2NCH2CH2Cl in 200 ml. dioxane was boiled, and during 1 hr. 0.64 g. NaH suspended in oil (50%) was added. After being refluxed 2 addnl. hrs., the soln. was concd. and extd. with CHCl3 and the ext. washed with satd. aq. NaCl, dried, and evapd. to give 3.8 g. I (R = Me2NCH2CH2), m. 195-7.degree. [.alpha.]20D -50.degree.; dipicrate m. 150-2.degree., dimethiodide m. 214-17.degree.. To 75 ml. liquid NH3 was added 0.5 g. KOMe. The mixt. was kept at -45.degree. for 20 min., then 4.26 g. I (R = H) was added and the mixt. shaken for 10 min. followed by the addn. of 1.75 g. of iso-PrMeNCH2CH2Cl in 15 ml. of dry Et2O. After being shaken at -45.degree. to -40.degree. for 3 hrs., the soln. was allowed to evap. and the residue dissolved in Et2O, washed with H2O, and evapd. to give 2.7 g. I (R = iso-PrMeNCH2CH2), m. 166-8.degree. [.alpha.]20D -60.degree.; dipicrate m. 152-4.degree.; dimethiodide m. 212-15.degree.. When 3.15 g. Me 18-O-(p-bromophenylsulfonyl)reserpate and 0.95 g. Et2NCH2CH2Cl (II) in 200 ml. dioxane was boiled, and then 0.320 g. NaH suspended in oil (50%) added slowly, and the mixt. refluxed 2 hrs., the reaction was still not complete. An addnl. 0.8 g. II and 0.15 g. NaH in oil were added. The filtered soln. was concd. to give 3.8 g. Me 1-diethylaminoethyl-18-O-(p-bromophenylsulfonyl)reserpate, m. 180-2.degree., [.alpha.]20D -85.degree.. A soln. of 1.2 g. of this and 0.5 ml. Et3N in 300 ml. EtOH was heated 20 hrs. in a sealed tube at 100.degree.. The soln. was evapd., and the residue extd. with CHCl3 and washed with NaHCO3 to give I (R = Et2NCH2CH2), m. 155-8.degree., [.alpha.]20D -57.5.degree.; dipicrate m. 123-6.degree.; dimethiodide m. 192-4.degree.. The following I were prepd. (R, m.p., [.alpha.]20D, m.p. dipicrate, and m.p. dimethiodide given): iso-Pr2NCH2CH2, 125-7.degree., -70.degree., 143-6.degree., 203-5.degree.; Me2N(CH2)3, 188-93.degree., -52.5.degree., 128-30.degree., 204-5.degree.;

.beta.-piperidinoethyl, 166-8.degree., -47.5.degree., 138-40.degree., 202-5.degree.; .beta.-morpholinoethyl, 158-60.degree., -54.3, 135-7.degree., 206-8.degree.. All rotations were in CHCl3 at c = 1.

IT **16617-17-7**, 18-Epireserpic acid, 1-[2-(dimethylamino)ethyl]-O-methyl-, methyl ester **16617-19-9**, 18-Epireserpic acid, 1-[2-(diethylamino)ethyl]-O-methyl-, methyl ester **16617-27-9**, 18-Epireserpic acid, 1-[2-(diisopropylamino)ethyl]-O-methyl-, methyl ester **104268-45-3**, 18-Epireserpic acid, 1-[2-(dimethylamino)ethyl]-O-methyl-, methyl ester, dimethiodide **105342-24-3**, 18-Epireserpic acid, 1-[2-(diethylamino)ethyl]-O-methyl-, methyl ester, dimethiodide **106065-35-4**, 18-Epireserpic acid, 1-[2-(diisopropylamino)ethyl]-O-methyl-, methyl ester, dimethiodide **106170-36-9**, 18-Epireserpic acid, 1-[2-(diethylamino)ethyl]-O-methyl-, methyl ester, dipicrate **106278-92-6**, 18-Epireserpic acid, 1-[2-(dimethylamino)ethyl]-O-methyl-, methyl ester, dipicrate **107989-89-9**, 18-Epireserpic acid, 1-[2-(diisopropylamino)ethyl]-O-methyl-, methyl ester, dipicrate
(prepn. of)

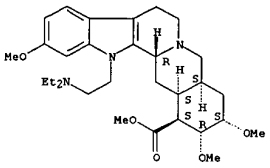
RN 16617-17-7 CAPLUS
CN 3.beta.,20.alpha.-Yohimban-16.beta.-carboxylic acid, 1-[2-(dimethylamino)ethyl]-11,17.alpha.,18.alpha.-trimethoxy-, methyl ester
(8CI) (CA INDEX NAME)

Absolute stereochemistry.



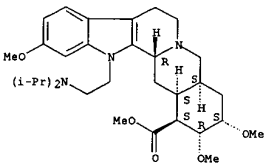
RN 16617-19-9 CAPLUS
CN 3.beta.,20.alpha.-Yohimban-16.beta.-carboxylic acid, 1-[2-(diethylamino)ethyl]-11,17.alpha.,18.alpha.-trimethoxy-, methyl ester
(8CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 16617-27-9 CAPLUS
CN 3.beta.,20.alpha.-Yohimban-16.beta.-carboxylic acid, 1-[2-(diisopropylamino)ethyl]-11,17.alpha.,18.alpha.-trimethoxy-, methyl ester
(8CI) (CA INDEX NAME)

Absolute stereochemistry.

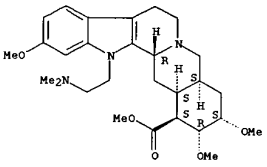


RN 104268-45-3 CAPLUS
CN 18-Epireserpic acid, 1-[2-(dimethylamino)ethyl]-O-methyl-, methyl ester,
dimethiodide (7CI) (CA INDEX NAME)

CM 1

CRN 16617-17-7
CMF C28 H41 N3 O5

Absolute stereochemistry.



CM 2

CRN 74-88-4
CMF C H3 I

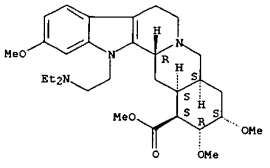
H_3C-I

RN 105342-24-3 CAPLUS
CN 18-Epireserpic acid, 1-[2-(diethylamino)ethyl]-O-methyl-, methyl ester,
dimethiodide (7CI) (CA INDEX NAME)

CM 1

CRN 16617-19-9
CMF C30 H45 N3 O5

Absolute stereochemistry.



CM 2

CRN 74-88-4
CMF C H3 I

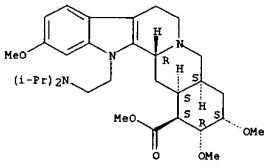
H_3C-I

RN 106065-35-4 CAPLUS
CN 18-Epireserpic acid, 1-[2-(diisopropylamino)ethyl]-O-methyl-, methyl ester, dimethiodide (7CI) (CA INDEX NAME)

CM 1

CRN 16617-27-9
CMF C32 H49 N3 O5

Absolute stereochemistry.



CM 2

CRN 74-88-4
CMF C H3 I

H_3C-I

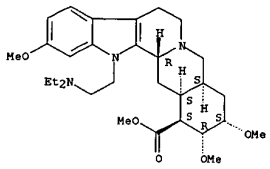
RN 106170-36-9 CAPLUS
CN 18-Epireserpic acid, 1-[2-(diethylamino)ethyl]-O-methyl-, methyl ester,
dipicrate (7CI) (CA INDEX NAME)

CM 1

CRN 16617-19-9
CMF C30 H45 N3 O5

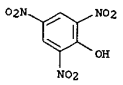
Absolute stereochemistry.

L13 ANSWER 96 OF 99 CAPLUS COPYRIGHT 2002 ACS (Continued)



CM 2

CRN 88-89-1
CMF C6 H3 N3 O7

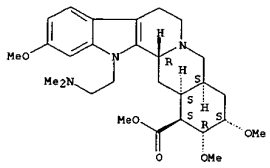


RN 106278-92-6 CAPLUS
CN 18-Epireserpic acid, 1-[2-(dimethylamino)ethyl]-O-methyl-, methyl ester,
dipicrate (7CI) (CA INDEX NAME)

CM 1

CRN 16617-17-7
CMF C28 H41 N3 O5

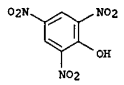
Absolute stereochemistry.



L13 ANSWER 96 OF 99 CAPLUS COPYRIGHT 2002 ACS (Continued)

CM 2

CRN 88-89-1
CMF C6 H3 N3 O7

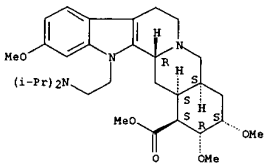


RN 107989-89-9 CAPLUS
CN 18-Epireserpic acid, 1-[2-(diisopropylamino)ethyl]-O-methyl-, methyl ester, dipicrate (7CI) (CA INDEX NAME)

CM 1

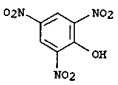
CRN 16617-27-9
CMF C32 H49 N3 O5

Absolute stereochemistry.



CM 2

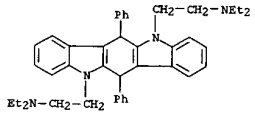
CRN 88-89-1
CMF C6 H3 N3 O7



L13 ANSWER 96 OF 99 CAPLUS COPYRIGHT 2002 ACS (Continued)

L13 ANSWER 97 OF 99 CAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 1963:415594 CAPLUS
DOCUMENT NUMBER: 59:15594
ORIGINAL REFERENCE NO.: 59:2809f-h,2810a-b
TITLE: Synthesis of indole derivatives by substitution in the
.alpha.-position
AUTHOR(S): Keberle, H.; Hoffmann, K.
CORPORATE SOURCE: CIBA S.A., Basel, Switz.
SOURCE: Gazz. Chim. Ital. (1963), 93, 238-43
DOCUMENT TYPE: Journal
LANGUAGE: French
GI For diagram(s), see printed CA Issue.
AB The .alpha.-Li derivs. of N-alkylindoles are very reactive and readily accessible and allow the synthesis of various .alpha.-substituted indole
derivs. The .alpha.-Li deriv. of 1-methylindole (I) with AcCH2NEt2 yielded the 2-[Et2NCH2CMe(OH)] deriv. of I, which treated with aq. CH2O
and Et2NH gave the 2-[Et2NCH2CMe(OH)] deriv. (II) of gramine. II.MeI heated to 100.degree. lost Me3N with the formation of III, which heated at
220.degree. was aromatized with the loss of H2O and C2H6. The 2-CHO derivs. of N-alkylindoles exhibit a very pronounced aromatic character;
they yield with monosubstituted hydrazines in good yields the corresponding hydrazones which by catalytic hydrogenation yielded the 2-hydrazinomethyl derivs.; these reacted with aq. CH2O and aliphatic and
aromatic aldehydes to yield by cyclization the corresponding IV. The NH
group of IV can be acylated, and the resulting N-acyl derivs. be reduced
with LiAlH4 to the corresponding N-alkyl derivs. Thus, IV (R, R' = Me,
R'' = H) was obtained from 1-methyl-2-indolecarboxaldehyde (V) with MeNHNH2, hydrogenation of the hydrazone, and condensation with aq. CH2O.
Similarly were prepd. VI (R, R''' = Me, R' = Et, R' = iso-Pr) and VI (R =
Me, R' = PhCH2, R'' = iso-Pr, R''' = Ph). V with H2NCH2CO2Et gave the corresponding Schiff base which was hydrogenated to the 2-EtO2CCH2NHCH2
analog of V; this acetylated with Ac2O and sapond. with KOH gave the 2-HO2
CCH2NAcCH2 analog (VII) of V. VII in dioxane heated 10 min. at 115.degree. with Ac2O and NaOAc yielded 90% N-acetyl-.gamma.-oxotetrahydro-
.beta.-carboline (VIII) and some .beta.-Ac deriv. of VIII. VII heated 6
hrs. with AcCl at 40.degree. yielded IX (R = Ac). VIII reduced with NaBH4, and the resulting alc. (X) heated at elevated temps. yielded N-methyl-.beta.-carboline. The hydrolysis of VIII yielded the deacetylated compd., the HCl salt of which aromatized upon heating. 1-Diethylaminoethyl-2-indolecarboxaldehyde (XI) with PhMgBr yielded the
2-PhCH(OH) analog (XII) of XI. XII.HCl is rather unstable and gave with

L13 ANSWER 97 OF 99 CAPLUS COPYRIGHT 2002 ACS (Continued)
the elimination of 2 moles H2O by dimerization XIII, which hydrogenated
over Pd-C yielded 1-diethylaminoethyl-2-benzylindole.
IT **106424-54-8**, Indolo[3,2-b]carbazole, 5,11-bis[2-(diethylamino)ethyl]-5,6,11,12-tetrahydro-6,12-diphenyl- (prepn. of)
RN 106424-54-8 CAPLUS
CN Indolo[3,2-b]carbazole, 5,11-bis[2-(diethylamino)ethyl]-5,6,11,12-tetrahydro-6,12-diphenyl- (7CI) (CA INDEX NAME)



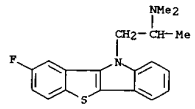
L13 ANSWER 98 OF 99 CAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 1962:442865 CAPLUS
DOCUMENT NUMBER: 57:42865
ORIGINAL REFERENCE NO.: 57:8580f-i,8581a-i
TITLE: Thianaphtheno[3,2-b]indoles
INVENTOR(S): Werner, Lincoln H.
PATENT ASSIGNEE(S): Ciba Pharmaceutical Products, Inc.
SOURCE: 10 pp.
DOCUMENT TYPE: Patent
LANGUAGE: Unavailable
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 3024248		19620306	US	19560918

GI For diagram(s), see printed CA Issue.
AB The patent is concerned with 10-RX-thianaphtheno[3,2-b]indoles having a
nucleus of the formula I, wherein X is a lower hydrocarbon and R is an amino group, and also with the salts and quarternary ammonium compds. The
compds. are antihistaminics and also have anesthetic and antifungal effects. The compds. may be prepd. by the reaction of a 10-unsubstituted
thianaphtheno[3,2-b]indole with an amino(lower alkyl) chloride. For example, 7-chlorothianaphtheno[3,2b]indole (5.16 g.) is suspended in 30
ml. toluene. Sodamide (0.8 g.) is added and the mixt. is stirred with refluxing 4 hrs. A soln. of 2.72 g. 2-diethylaminoethyl chloride in toluene is added and stirring and refluxing continued 3 more hrs. The mixt. is cooled to room temp. and filtered. The filtrate is evapd. to dryness, the oily residue is dissolved in ethyl acetate and treated with
anhyd. HCl to ppt. the hydrochloride of 7-chloro-10-(2-diethylaminoethyl)thianaphtheno[3,2-b]indole, m. 213-15.degree. (iso-PrOH). The starting material, 7-chlorothianaphtheno[3,2-b]indole, m.
269-70.degree., is obtained as follows: 27 g 6-chloro-3-hydroxythianaphthene is dissolved in 100 ml. glacial acetic acid. Phenyl-hydrazine (16 g.) is added slowly with stirring at 80.degree.. Heating is continued 30 min. The product seps. The mixt. is cooled and
the product filtered off. Also prepd. were 7-chloro-10-(2-dimethylaminopropyl)thianaphtheno[3,2-b]- indole-HCl, m. 262-4.degree. ;
the 7-chloro-10-(2-dimethylaminoethyl) analog, m. above 275.degree. ; the
7-chloro-10-(3-diethylaminopropyl) analog, m. 185-7.degree. ; the 7-chloro-10-(3-dimethylaminopropyl) analog, m. above 275.degree. ; the 7-chloro-10-(2pyrrolidinoethyl) analog, m. above 300.degree.; the 7-methoxy-10(2-dimethylaminopropyl) analog, m. 272-4.degree. (starting from 7-methoxythianaphtheno[3,2-b]indole, m. 275-7.degree.); the 7-ethoxy-10-(2-dimethylaminopropyl) analog, m. 295-7.degree. ; the 3,7-dichloro-10-(3-dimethylaminopropyl) analog, m. above 275.degree. (from
3,7-dichlorothianaphtheno[3,2-b]in- dole, m. 260-2.degree.); the 3-chloro-7-methoxy-10-(2-dimethyl-aminopropyl) analog, m. 270-2.degree.

L13 ANSWER 98 OF 99 CAPLUS COPYRIGHT 2002 ACS (Continued)
(from 3-chloro-7-methoxythianaphtheno[3,2-b]indole, m. above 300.degree.);
3-chloro-7ethoxy-10-(2-dimethylaminopropyl) analog (free base), m. 174-6.degree. (the HCl salt m. 282-5.degree.) (made from 3-chloro-7-ethoxythianaphtheno[3,2-b]indole, m. 295-300.degree.); the 3chloro-7-ethoxy-10-(3-dimethylaminopropyl) analog HCl salt, m. 292-4.degree. ; the 7-chloro-10-(2-piperidinoethyl) analog, m. 273-8.degree. ; the 7-chloro-10-(2-morpholinoethyl) analog, m. 288-92.degree. ; the methochloride of the 7-chloro-10-(2-dimethyl-aminopropyl) analog (free base), m. 247-50.degree.; the
10-(2dimethylaminopropyl) analog HCl salt, m. 202-6.degree. ; the 7-chloro-9-methyl-10-(2-dimethylaminopropyl) analog, m. 252-5.degree. (from 7-chloro-9-methylthianaphtheno[3,2-b]indole, m. 168-72.degree.); the
3,7-dimethoxy-10-(2-dimethylaminopropyl) analog, m. 244-6.degree. (from
3,7-dimethoxythianaphtheno[3,2-b]indole, m. 253-5.degree.); the free base
(m. 105-8.degree.) of 7-chloro-10-(2-dimethylaminopropyl) analog (the methanesulfonate m. 162-3.degree. ; tartrate softens at 75.degree. ; sulfate m. 197-8.degree.); the 7-chloro-3-methoxy-10-(2-dimethylaminopropyl) analog, m. 225-9.degree. (from 7-chloro-3-methoxythianaphtheno[3,2-b]indole, m. 232-4.degree.); the
3-nitro-10-(2diethylaminoethyl) analog, m. 258-9.degree. (the free base m.
8890.degree.); the 10-(2-diethylaminoethyl) analog, m. 191-3.degree. ; the
3-chloro-10-(2-dimathylaminopropyl) analog, m. 245.degree. (decompn.) (front 3-chlorothianaphtheno[3,2-b]indole, m. 281-3.degree.); the
8-chloro-10-(2-dimethylaminopropyl) analog, m. 255 6.degree. (decompn.);
the 6-chloro-10-(2-dimethylaminopropyl) analog, m. 256-9.degree. ; the
6-chloro-10-(3-dimethylaminopropyl) analog, m. 172-6.degree. ; the 8-methyl-10-(2-dimethylaminopropyl) analog, m. 204-5.degree. ; the 10-[3-(N-cyclopentyl-N-methylamino)propyl] analog, m. 200-4.degree. ; the
7-chloro-10-(2-ethylaminoethyl) analog, m. 310-14.degree.; the 7-methoxy-10-(2-piperidinoethyl) analog, m. 258-61.degree.; the 7-bromo-10-(2-dimethylaminopropyl) analog, m. 257-8.degree. (decompn.)
(from 6-bromo-3-hydroxythianaphthene, m. 158-60.degree., 7-bromothianaphtheno[3,2-b]indole, m. 280-2.degree.); the
2,7-dichloro-10-(2-dimethylaminopropyl) analog, m. 267-70.degree. (from
2,7-dichlorothianaphtheno[3,2-b]indole, m. 237-9.degree.); the 2-chloro-10-(2-dimethylaminopropyl) analog, m. 182-4.degree. ; the 2-chloro-10-(3 dimethylaminopropyl) analog, m. 261-3.degree. ; the 2-chloro-10-(2-piperidinoethyl) analog, m. 264-6.degree. ; the 4-chloro-10-(2-dimethylaminopropyl) analog, m. 225-7.degree. ; the 4-chloro-10-(2-piperidinoethyl) analog, m. 284-6.degree. (from 4-chlorothianaphthen.degree. [3,2-b]indole, m. 164-6.degree.); the 8-bromo-10-(2-dimethylaminopropyl) analog, m. 260-2.degree. (from 8-bromothianaphtheno[3,2-b]indole, m. 223-5.degree.); the 8-fluoro-10-(2-dimethylaminopropyl) analog, m. 255-7.degree. (from p-fluorophenylthioglycolic acid, m. 62-4.degree. and 8-
fluorothianaphtheno[3,2-b]indole, m. 239-41.degree.; the 7,8-dichloro-10-(2-dimethylaminopropyl) analog, m. 267-9.degree. (from 3,4-dichlorothiophenol, b0.25 76-8.degree., 3,4-dichlorophenylthioglycolic
acid, m. 71-2.degree., 7,8-dichlorothianaphtheno[3,2-b]indole, m. 247-9.degree.); the d-tartrate of 7-methoxy-10 - (5 - diethylamino-2-pentyl)thianaphtheno[3,2-b]indole, which liquifies at 80-5.degree. (from
N'-phenyl-N'-(5-diethylamino-2-pentyl)hydrazine, b1 135-42.degree.; the
3-amino-10-(2diethylaminoethyl) analog HCl salt, m. 214.degree. (decompn.); the 7-fluoro-10-(2-dintethylaminopropyl) analog, m. 267-71.degree. (decompn.) (front m-fluorophenylthioglycolic acid, m. 75-7.degree., 6-fluoro-3-hydroxythianaphthene, m. 92-5.degree., 7-fluorothianaphtheno[3,2-b]indole, m. 261-4.degree.); the tartrate of 7 -
methoxy - 10 - (6 - piperidiachexyl)thianaphtheno [3,2-b]indole, m. 81-5.degree. ; the 10-(3-dimethylaminopropyl) analog HCl salt, m. 189-90.degree.; the 9-chloro-10-(2-dimethylaminopropyl) analog, m. 252-5.degree. (from 9-chlorothianaphtheno[3,2-b]indole, m. 124-8.degree.);
the 8,9-dichloro-10-(2-dimethylaminopropyl) analog, m. 272-4.degree. (from
7,8-dichlorothianaphtheno[3,2-b]indole, m. 247-9.degree., and 8,9-dichlorothianaphtheno[3,2 b]indole, m. 188-90.degree.); and the d tartrate of 8 - methyl - 10 - (2- dimethylaminopropyl)thianaphtheno [3,2b]indole, m. 115.degree. (decompn.).
IT **437-65-0**, 10H-[1]Benzothieno[3,2-b]indole, 10-[2-(dimethylamino)propyl]-8-fluoro-, hydrochloride **437-66-1**, 10H-[1]Benzothieno[3,2-b]indole,
10-[2-(dimethylamino)propyl]-7-fluoro-, hydrochloride **98439-05-5**, 10H-[1]Benzothieno[3,2-b]indole, 7-chloro-10-[2-(ethylamino)ethyl]-, hydrochloride **98439-06-6**, 10H-[1]Benzothieno[3,2-b]indole,
7-chloro-10-[2-(dimethylamino)ethyl]-, hydrochloride **98783-33-6**, 10H-[1]Benzothieno[3,2-b]indole, 8-bromo-10-[2-(dimethylamino)propyl]-, hydrochloride **98783-34-7**, 10H-[1]Benzothieno[3,2-b]indole,
7-bromo-10-[2-(dimethylamino)propyl]-, hydrochloride **98862-13-6**, 10H-[1]Benzothieno[3,2-b]indole, 8,9-dichloro-10-[2-(dimethylamino)propyl]-, hydrochloride **98883-46-6**, 10H-[1]Benzothieno[3,2-b]indole, 4-chloro-10-[2-(dimethylamino)propyl]-, hydrochloride **98883-47-7**, 10H-[1]Benzothieno[3,2-b]indole,
6-chloro-10-[2-(dimethylamino)propyl]-, hydrochloride **98883-49-9**, 10H-[1]Benzothieno[3,2-b]indole, 7-chloro-10-[2-(dimethylamino)propyl]- **98883-51-3**, 10H-[1]Benzothieno[3,2-b]indole,
8-chloro-10-[2-(dimethylamino)propyl]-, hydrochloride **98883-52-4**, 10H-[1]Benzothieno[3,2-b]indole, 9-chloro-10-[2-(dimethylamino)propyl]-, hydrochloride **98999-60-1**, 10H-[1]Benzothieno[3,2-b]indole,
2-chloro-10-[2-(dimethylamino)propyl]-, hydrochloride **98999-62-3**, 10H-[1]Benzothieno[3,2-b]indole, 3-chloro-10-[2-(dimethylamino)propyl]-, hydrochloride **99750-74-0**, 10H-[1]Benzothieno[3,2-b]indole,
7-chloro-10-[2-(dimethylamino)propyl]-9-

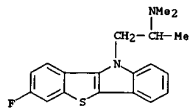
L13 ANSWER 98 OF 99 CAPLUS COPYRIGHT 2002 ACS (Continued)
methyl-, hydrochloride **99750-75-1**, 10H-[1]Benzothieno[3,2-b]indole, 7-chloro-10-[2-(diethylamino)ethyl]-, hydrochloride **99886-37-0**, 10H-[1]Benzothieno[3,2-b]indole, 7-chloro-10-[2-(dimethylamino)propyl]-, methanesulfonate **99889-25-5**, 10H-[1]Benzothieno[3,2-b]indole, 3-chloro-10-[2-(dimethylamino)propyl]-7-ethoxy-, hydrochloride **99889-26-6**, 10H-[1]Benzothieno[3,2-b]indole, 3-chloro-10-[2-(dimethylamino)propyl]-7-ethoxy- **99905-66-5**, 10H-[1]Benzothieno[3,2-b]indole, 7-chloro-10-[2-(dimethylamino)propyl]-3-methoxy-, hydrochloride **99997-72-5**, 10H-[1]Benzothieno[3,2-b]indole, 10-[2-(diethylamino)ethyl]-, hydrochloride **100000-74-6**, 10H-[1]Benzothieno[3,2-b]indole, 10-[2-(diethylamino)ethyl]-3-nitro-, hydrochloride **100000-75-7**, 10H-[1]Benzothieno[3,2-b]indole, 10-[2-(diethylamino)ethyl]-3-nitro- **100000-76-8**, 10H-[1]Benzothieno[3,2-b]indole, 3-chloro-10-[2-(dimethylamino)propyl]-7-methoxy- **100022-21-7**, 10H-[1]Benzothieno[3,2-b]indole, 3-chloro-10-[2-(dimethylamino)propyl]-7-methoxy-, hydrochloride **100195-01-5**, 10H-[1]Benzothieno[3,2-b]indole, 10-[2-(dimethylamino)propyl]-7-ethoxy-, hydrochloride **100195-12-8**, 10H-[1]Benzothieno[3,2-b]indole, 10-[2-(dimethylamino)propyl]-3,7-dimethoxy-, hydrochloride **101762-96-3**, 10H-[1]Benzothieno[3,2-b]indole, 3-amino-10-[2-(diethylamino)ethyl]-, dihydrochloride **101942-65-8**, 10H-[1]Benzothieno[3,2-b]indole, 7,8-dichloro-10-[2-(dimethylamino)propyl]-, hydrochloride **106480-41-5**, 10H-[1]Benzothieno[3,2-b]indole, 10-[2-(dimethylamino)propyl]-7-methoxy-, hydrochloride **106785-31-3**, 10H-[1]Benzothieno[3,2-b]indole, 10-[2-(dimethylamino)propyl]-, hydrochloride
(prepn. of)
RN 437-65-0 CAPLUS
CN 10H-[1]Benzothieno[3,2-b]indole-10-ethanamine, 8-fluoro-N,N,.alpha.-trimethyl-, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

RN 437-66-1 CAPLUS
CN 10H-[1]Benzothieno[3,2-b]indole-10-ethanamine, 7-fluoro-N,N,.alpha.-trimethyl-, monohydrochloride (9CI) (CA INDEX NAME)

L13 ANSWER 98 OF 99 CAPLUS COPYRIGHT 2002 ACS (Continued)



● HCl

RN 98439-05-5 CAPLUS
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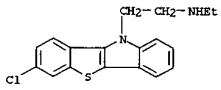

●x HCl

RN 98439-06-6 CAPLUS
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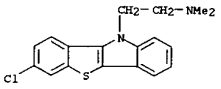
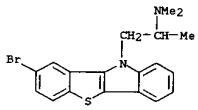


●x HCl

RN 98783-33-6 CAPLUS
CN 10H-[1]Benzothieno[3,2-b]indole, 8-bromo-10-[2-(dimethylamino)propyl]-, hydrochloride (6CI, 7CI) (CA INDEX NAME)

L13 ANSWER 98 OF 99 CAPLUS COPYRIGHT 2002 ACS (Continued)



●x HCl

RN 98783-34-7 CAPLUS
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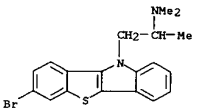
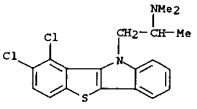


●x HCl

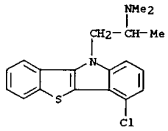
RN 98862-13-6 CAPLUS
CN 10H-[1]Benzothieno[3,2-b]indole, 8,9-dichloro-10-[2-(dimethylamino)propyl]-, hydrochloride (6CI, 7CI) (CA INDEX NAME)



●x HCl

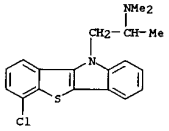
RN 98883-46-6 CAPLUS
CN 10H-[1]Benzothieno[3,2-b]indole, 4-chloro-10-[2-(dimethylamino)propyl]-,

L13 ANSWER 98 OF 99 CAPLUS COPYRIGHT 2002 ACS (Continued)
hydrochloride (7CI) (CA INDEX NAME)



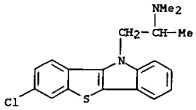
●x HCl

RN 98883-47-7 CAPLUS
CN 10H-[1]Benzothieno[3,2-b]indole, 6-chloro-10-[2-(dimethylamino)propyl]-, hydrochloride (7CI) (CA INDEX NAME)



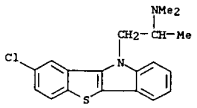
●x HCl

RN 98883-49-9 CAPLUS
CN 10H-[1]Benzothieno[3,2-b]indole, 7-chloro-10-[2-(dimethylamino)propyl]-
(7CI) (CA INDEX NAME)



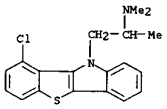
L13 ANSWER 98 OF 99 CAPLUS COPYRIGHT 2002 ACS (Continued)

RN 98883-51-3 CAPLUS
CN 10H-[1]Benzothieno[3,2-b]indole,
8-chloro-10-[2-(dimethylamino)propyl]-,
hydrochloride (7CI) (CA INDEX NAME)



●x HCl

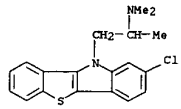
RN 98883-52-4 CAPLUS
CN 10H-[1]Benzothieno[3,2-b]indole,
9-chloro-10-[2-(dimethylamino)propyl]-,
hydrochloride (7CI) (CA INDEX NAME)



●x HCl

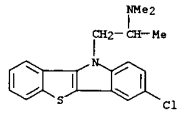
RN 98999-60-1 CAPLUS
CN 10H-[1]Benzothieno[3,2-b]indole,
2-chloro-10-[2-(dimethylamino)propyl]-,
hydrochloride (7CI) (CA INDEX NAME)

L13 ANSWER 98 OF 99 CAPLUS COPYRIGHT 2002 ACS (Continued)



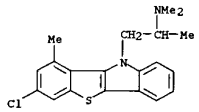
●x HCl

RN 98999-62-3 CAPLUS
CN 10H-[1]Benzothieno[3,2-b]indole,
3-chloro-10-[2-(dimethylamino)propyl]-,
hydrochloride (7CI) (CA INDEX NAME)



●x HCl

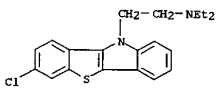
RN 99750-74-0 CAPLUS
CN 10H-[1]Benzothieno[3,2-b]indole,
7-chloro-10-[2-(dimethylamino)propyl]-9-
methyl-, hydrochloride (6CI, 7CI) (CA INDEX NAME)



●x HCl

RN 99750-75-1 CAPLUS

L13 ANSWER 98 OF 99 CAPLUS COPYRIGHT 2002 ACS (Continued)
CN 10H-[1]Benzothieno[3,2-b]indole,
7-chloro-10-[2-(diethylamino)ethyl]-,
hydrochloride (6CI, 7CI) (CA INDEX NAME)

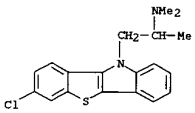


●x HCl

RN 99886-37-0 CAPLUS
CN 10H-[1]Benzothieno[3,2-b]indole,
7-chloro-10-[2-(dimethylamino)propyl]-,
methanesulfonate (6CI, 7CI) (CA INDEX NAME)

CM 1

CRN 98883-49-9
CMF C19 H19 Cl N2 S

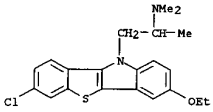


CM 2

CRN 75-75-2
CMF C H4 O3 S

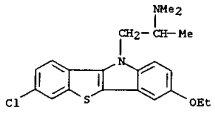
RN 99889-25-5 CAPLUS
CN 10H-[1]Benzothieno[3,2-b]indole,
3-chloro-10-[2-(dimethylamino)propyl]-7-
ethoxy-, hydrochloride (7CI) (CA INDEX NAME)

L13 ANSWER 98 OF 99 CAPLUS COPYRIGHT 2002 ACS (Continued)

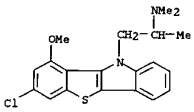


●x HCl

RN 99889-26-6 CAPLUS
CN 10H-[1]Benzothieno[3,2-b]indole,
3-chloro-10-[2-(dimethylamino)propyl]-7-
ethoxy- (7CI) (CA INDEX NAME)

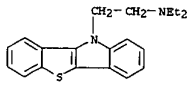


RN 99905-66-5 CAPLUS
CN 10H-[1]Benzothieno[3,2-b]indole,
7-chloro-10-[2-(dimethylamino)propyl]-3-
methoxy-, hydrochloride (6CI, 7CI) (CA INDEX NAME)



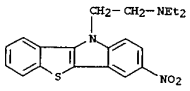
●x HCl

RN 99997-72-5 CAPLUS
CN 10H-[1]Benzothieno[3,2-b]indole, 10-[2-(diethylamino)ethyl]-,
hydrochloride (6CI, 7CI) (CA INDEX NAME)



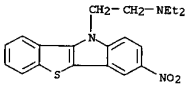
●x HCl

RN 100000-74-6 CAPLUS
CN 10H-[1]Benzothieno[3,2-b]indole, 10-[2-(diethylamino)ethyl]-3-nitro-, hydrochloride (6CI, 7CI) (CA INDEX NAME)

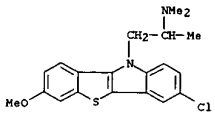


●x HCl

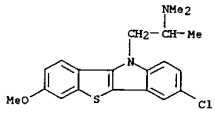
RN 100000-75-7 CAPLUS
CN 10H-[1]Benzothieno[3,2-b]indole, 10-[2-(diethylamino)ethyl]-3-nitro- (6CI, 7CI) (CA INDEX NAME)



RN 100000-76-8 CAPLUS
CN 10H-[1]Benzothieno[3,2-b]indole, 3-chloro-10-[2-(dimethylamino)propyl]-7-methoxy- (6CI, 7CI) (CA INDEX NAME)

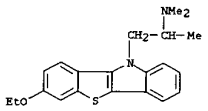


RN 100022-21-7 CAPLUS
CN 10H-[1]Benzothieno[3,2-b]indole, 3-chloro-10-[2-(dimethylamino)propyl]-7-methoxy-, hydrochloride (6CI, 7CI) (CA INDEX NAME)



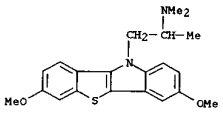
●x HCl

RN 100195-01-5 CAPLUS
CN 10H-[1]Benzothieno[3,2-b]indole, 10-[2-(dimethylamino)propyl]-7-ethoxy-, hydrochloride (6CI, 7CI) (CA INDEX NAME)



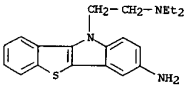
●x HCl

RN 100195-12-8 CAPLUS
CN 10H-[1]Benzothieno[3,2-b]indole, 10-[2-(dimethylamino)propyl]-3,7-dimethoxy-, hydrochloride (6CI, 7CI) (CA INDEX NAME)



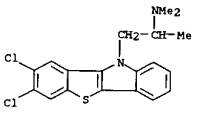
●x HCl

RN 101762-96-3 CAPLUS
CN 10H-[1]Benzothieno[3,2-b]indole, 3-amino-10-[2-(diethylamino)ethyl]-, dihydrochloride (6CI, 7CI) (CA INDEX NAME)



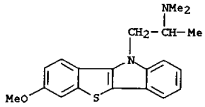
●2 HCl

RN 101942-65-8 CAPLUS
CN 10H-[1]Benzothieno[3,2-b]indole, 7,8-dichloro-10-[2-(dimethylamino)propyl]-, hydrochloride (6CI, 7CI) (CA INDEX NAME)



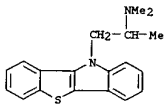
●x HCl

RN 106480-41-5 CAPLUS
CN 10H-[1]Benzothieno[3,2-b]indole, 10-[2-(dimethylamino)propyl]-7-methoxy-, hydrochloride (6CI, 7CI) (CA INDEX NAME)



● HCl

RN 106785-31-3 CAPLUS
CN 10H-[1]Benzothieno[3,2-b]indole, 10-[2-(dimethylamino)propyl]-, hydrochloride (6CI, 7CI) (CA INDEX NAME)



● HCl

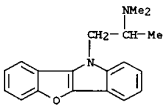
L13 ANSWER 99 OF 99 CAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 1962:403967 CAPLUS
DOCUMENT NUMBER: 57:3967
ORIGINAL REFERENCE NO.: 57:792h-i,793a-g
TITLE: Benzofuro[3,2-b]indoles
AUTHOR(S): Schroeder, D. C.; Corcoran, P. O.; Holden, C. A.; Mulligan, M. C.
CORPORATE SOURCE: Ciba Pharm. Prods., Inc., Summit, NJ
SOURCE: J. Org. Chem. (1962), 27, 586-91
DOCUMENT TYPE: Journal
LANGUAGE: Unavailable

AB Several benzofuro[3,2-b]indoles were synthesized and some of the intermediates involved investigated. O-Carboxymethylsalicyclic acid (75 g.) refluxed 3.5 hrs. with 71. g. HCl in 520 ml. anhyd, alc. and 117 ml. C6H6 gave Et O-(carbethoxymethyl)salicylate in 89% yield, b0.1 123-8.degree.. Et bromoacetate (0.03 mole) added to 0.03 mole KOH and the appropriate Et salicylate in anhyd. alc., the mixt. refluxed 12 hrs., cooled, filtered, and the filtrate evapd. gave a solid. This material collected and recrystd. from alc. gave the following substituted Et O-carbethoxymethylsalicylates (5-substituent, % yield, and m.p. given): Cl, 33, 43-5.degree.; I, 37, 73-5.degree.; NO2, 76, 70-1.degree.; Br, -, -. The O-carbethoxymethylsalicylate (0.22 mole) added to 0.22 mole NaOEt in 280 ml. C6H6, the mixt. refluxed 4 hrs., cooled, poured into H2O and dil, NaOH, the layers sepd., the aq. portion treated with dil. HCl gave the product. This material was recrystd. from alc. Three 3(2H)-Benzofuranones were prepd. as follows. The 2-carbethoxy-3(2H)-benzofuranone (0.1 mole) was suspended in 500 ml. 5% NaOH and left at room temp. until the solid dissolved; this time varied from 1 week to 4 weeks. Dil. H2SO4 was added, the product extd. with C6H6, evapd., and the crude product recrystd, from alc. The following 3(2H)-benzofuranones were thus obtained (5,3,2-substituents, % yield, and m.p. given): H, O, H, 65, 97.degree.; Cl, O, H, 27, 114.5-16.0.degree.; I, O, H, 27, 130-1.degree.; H, O, CO2Et, 70, 60-2o.degree.; Cl, O, CO2Et, 55, 126-7.degree. I, O, CO2Et, 90, 120-2.degree.. Benzofuro[3,2-b]indoles were prepd. by a known method. By limiting the batch size to 10 g. or less, the initial exothermic reaction was controlled when the benzofuranone was warmed with PhNHNH2. Benzofuro[3,2-b]indole was N-alkylated in the same manner as previously described for thianaphtheno[3,2-b]indoles. The following benzofuro[3,2-b]indoles were thus obtained (substituents at 8, 2, and 10 positions, % yield, m.p. given): H, H, H, 90, 197-9.degree.; Cl, H, H, 25, 185-7.degree.; H, NO2, H, 4,255.degree.; H, H, CH2CH2NC2H5O, 76, 109-10.degree., H, H, CH2CHMeNMe2HCl, 27, 235-6.degree.. Monohydrazides were prepd. in predominance by adding a slight excess of N2H4.H2O to the Et O-(carbethoxymethyl)salicylate in alc. soln. and leaving 24 hrs. at room temp.; when the amt. of N2H4 was increased to a 2- or 3-fold excess and the time lengthened to 3 days, formation of the dihydrazide was enhanced. In both cases there was a mixt. of products, but these were sepd. by crystn. The following substituted O-(carboxymethyl)salicylic acids were thus obtained (substituents at 1, 2-OCH2COR, and 5-positions, % yield, and m.p. given): OEt, H, NHNH2, 53, 117-19.degree.; OEt, NO2, NHNH2, 25, 153.degree.; OEt, I, NHNH2, 47, 133-5.degree.; NHNH2, H, NHNH2, 30, 164-5.degree.; NHNH2, NO2, NHNH2, 23, 174-6.degree.. Phenylhydrazide of Et O-(carboxymethyl)salicylate was prepd. in the same manner as the hydrazides, except that a reflux period of 3 hrs. was required. Although 2 equivs. of PhNHNH2 was used, only the monophenylhydrazide was isolated in 49% yield, m. 120-1.degree.. The monobenzylamide of 5-bromo-O-(carboxymethyl)salicylic acid was prepd. by the method used for the hydrazides. However, the product was sepd. in a different fashion. After completion of the reaction, H2O was added to ppt. the monoamide in 46% yield, m. 104-5.degree.. The diamide was isolated from the mother liquor in 10% yield, m. 115.degree.. The acylhydrazones were similarly prepd. A slight excess of the aldehyde was added to the hydrazide in alc., the mixt. refluxed 2-3 hrs., cooled, the product collected, and crystd. The following substituted O-(carboxymethyl)salicylic acids were obtained (R of the 1-COR, R2 of the 2-OCH2COR2, and 5-substituent, % yield, and m.p. given): OEt, NO2, NHN:CHC6H4NMe2-p, 40, 179-81.degree.; OEt, NO2, NHN:CHC5H4N-2, 93, 212-13.degree.; OEt, I, NHN:CHC6H6N-4, 77, 21718.degree.. 1-(CC13CH: NHNCO)-2-(CC13CH: NNHCOCH2O) C6H4 was obtained in 75% yield, m. 201-2.degree. from the dihydrazide with 31 g. chloral in 70 ml. iso-PrOH by heating 1.5 hrs. and recrystn. of the product from CHC13-iso-PrOH. The following 3(2H)-benzofuranones were also obtained (5-, 3-, 2-substituents, % yield, and m.p. given): H, O, CON:NPh, 7.5, 180-1.degree.; H, :NNHPh, CO2Et, 60, 126-8.degree.; H, :NNHPh, CON:NPh, 35, 189-90.degree.; H, ONH3NH2+, CO2Et, 74, 137-8.degree.; Cl, :NNHCONH2, CO2Et, 25, 243-4.degree.; H, :NNHPh, H, 48, 168-70.degree.; H, :NNHCSNH2, H, 85, 176-8.degree.; I, :NNHCSNH2, H, 60, 212-13.degree..

IT **111637-35-5**, 10H-Benzofuro[3,2-b]indole, 10-[2-(dimethylamino)propyl]-, hydrochloride
(prepn. of)

RN 111637-35-5 CAPLUS

CN 10H-Benzofuro[3,2-b]indole, 10-[2-(dimethylamino)propyl]-, hydrochloride (7CI) (CA INDEX NAME)



● HCl